

=> d his l5-

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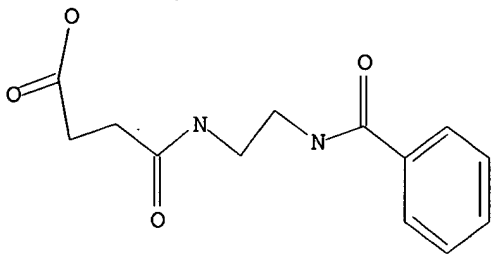
L5 STRUCTURE UPLOADED
L6 52 L5 SSS FULL
L7 STRUCTURE UPLOADED
L8 6 L7 SSS FULL

FILE 'CAPLUS' ENTERED AT 00:31:48 ON 21 APR 2003

L9 4 L8
L10 24 L6
L11 24 L6 OR L8

=> d que stat l6

L5 STR



G1 Cb,Ak

G2 OH,SH,NH2,CO2H,COOH,CHO,C(O)CH3

Structure attributes must be viewed using STN Express query preparation.

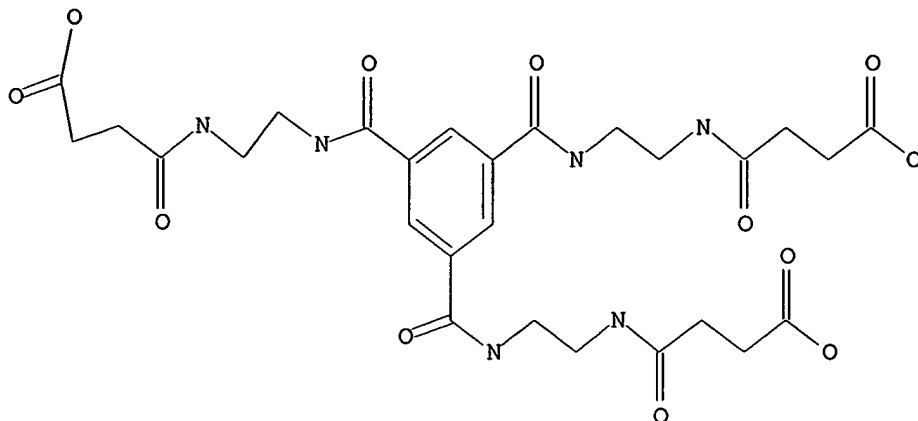
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100.0% PROCESSED 152086 ITERATIONS
SEARCH TIME: 00.00.03

52 ANSWERS

=> d que stat l8

L7 STR



G1 Cb,Ak

G2 OH,SH,NH₂,CO₂H,COOH,CHO,C(O)CH₃

Structure attributes must be viewed using STN Express query preparation.
L8 6 SEA FILE=REGISTRY SSS FUL L7

100.0% PROCESSED 207 ITERATIONS
SEARCH TIME: 00.00.01

6 ANSWERS

=> d 19 total ibib abs hitstr

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:708779 CAPLUS

DOCUMENT NUMBER: 131:351620

TITLE: Solution phase biopolymer synthesis of
oligodeoxyribonucleotides using multifunctional liquid
phase carriers

INVENTOR(S): Koster, Hubert; Worl, Ralf

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955718	A2	19991104	WO 1999-US8939	19990426
WO 9955718	A3	19991216		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,

ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 US 2002016451 A1 20020207 US 1998-67337 19980427
 AU 9936643 A1 19991116 AU 1999-36643 19990426
 EP 1073668 A2 20010207 EP 1999-918819 19990426
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 US 2002007048 A1 20020117 US 2000-484484 20000118
 PRIORITY APPLN. INFO.: US 1998-67337 A 19980427
 WO 1999-US8939 W 19990426

AB Multifunctional liquid phase carriers (LPCs) and methods of using LPCs for the preparation of biopolymers are provided. The LPCs are highly sym. compds. that possess more than two points of attachment for biopolymer synthesis. The LPCs have the formula $Sp(X1)_n$, where Sp is a highly sym. moiety such that all X1 groups are equivalent X1 is a functional group that is suitable for biopolymer synthesis, including OH, SH, NH₂, COOH and the like. Biopolymers that may be produced using the methods provided include oligonucleotides, peptides, protein nucleic acids (PNAs) and oligosaccharides. Analogs of the biopolymers may also be prepared using the methods. Thus decamer d(GACCGGCAGT) was prepared using multifunctional liquid phase carriers.

IT 221898-84-6P 222306-76-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

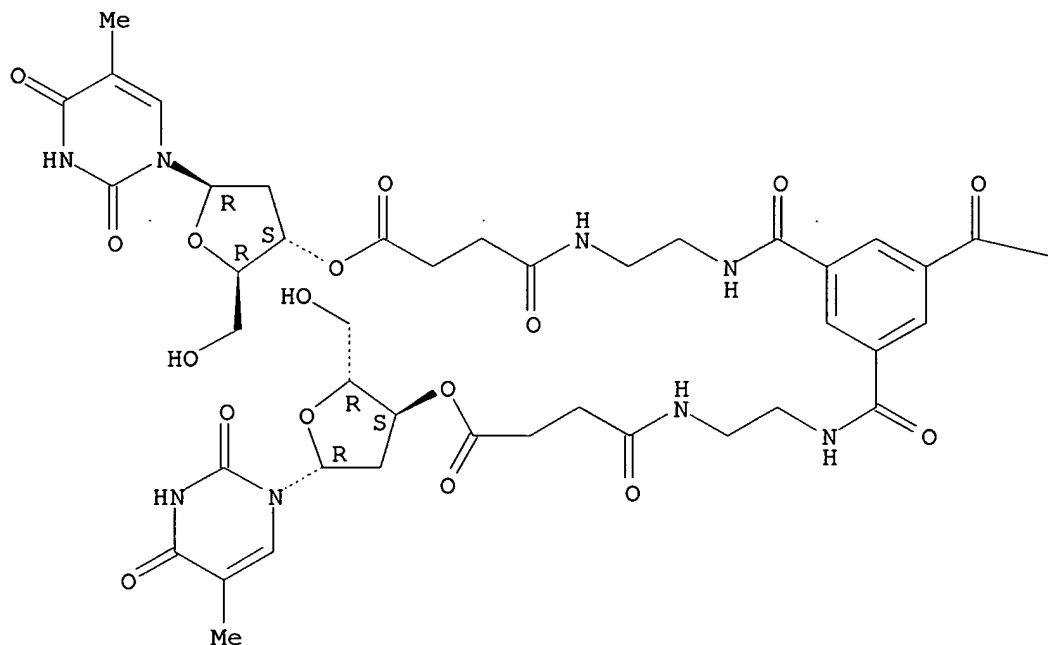
(solution phase biopolymer synthesis of oligodeoxyribonucleotides using multifunctional liquid phase carriers)

RN 221898-84-6 CAPLUS

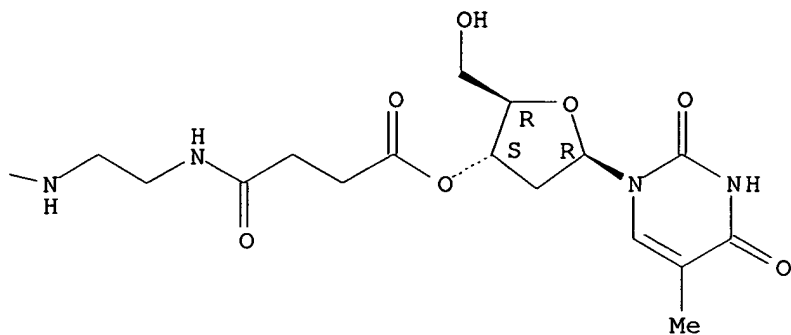
CN Thymidine, 3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

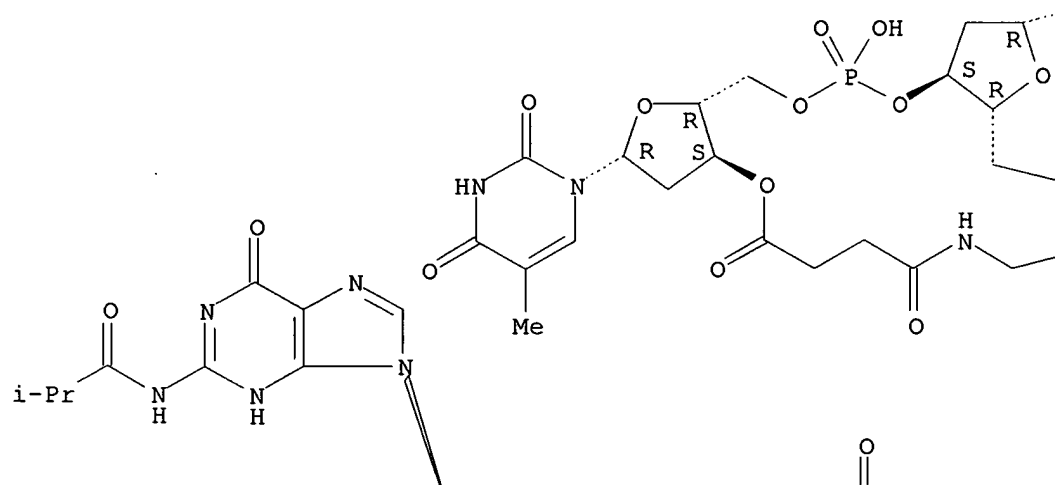


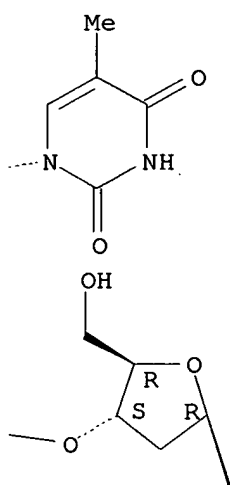
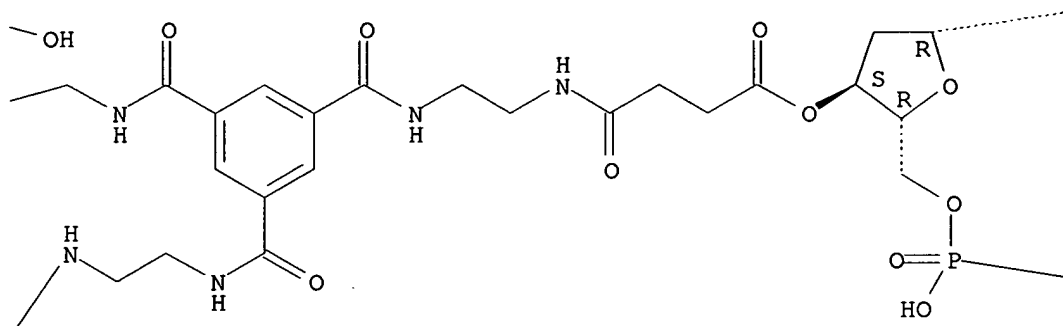
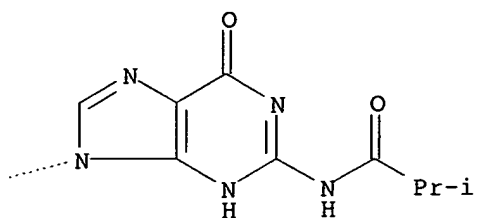
RN 222306-76-5 CAPLUS

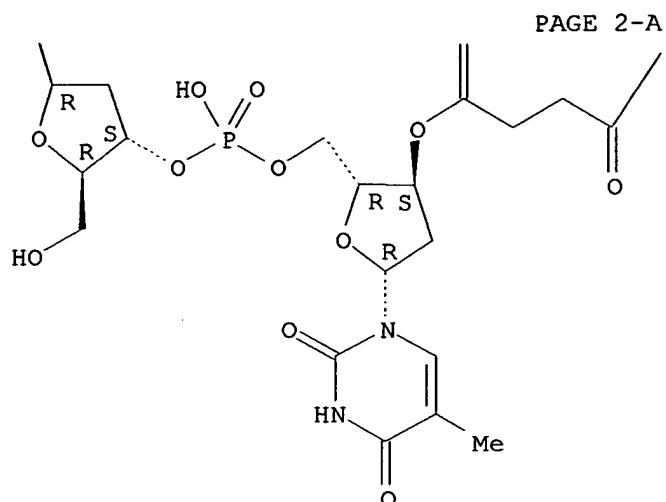
CN Thymidine, 2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-,
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Absolute stereochemistry.

PAGE 1-A

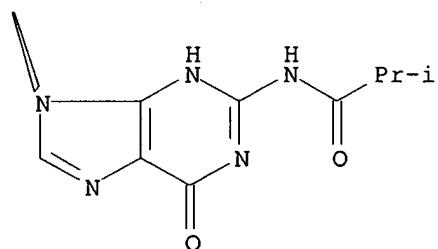






PAGE 2-B

PAGE 2-C



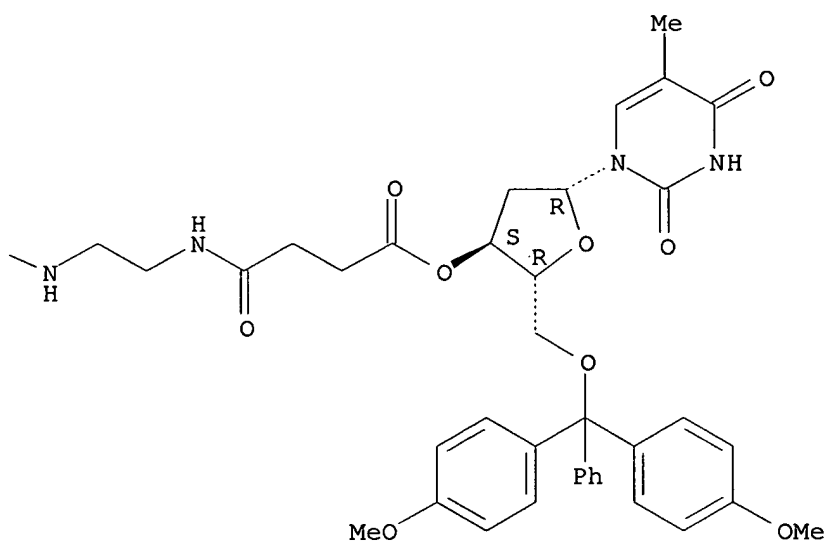
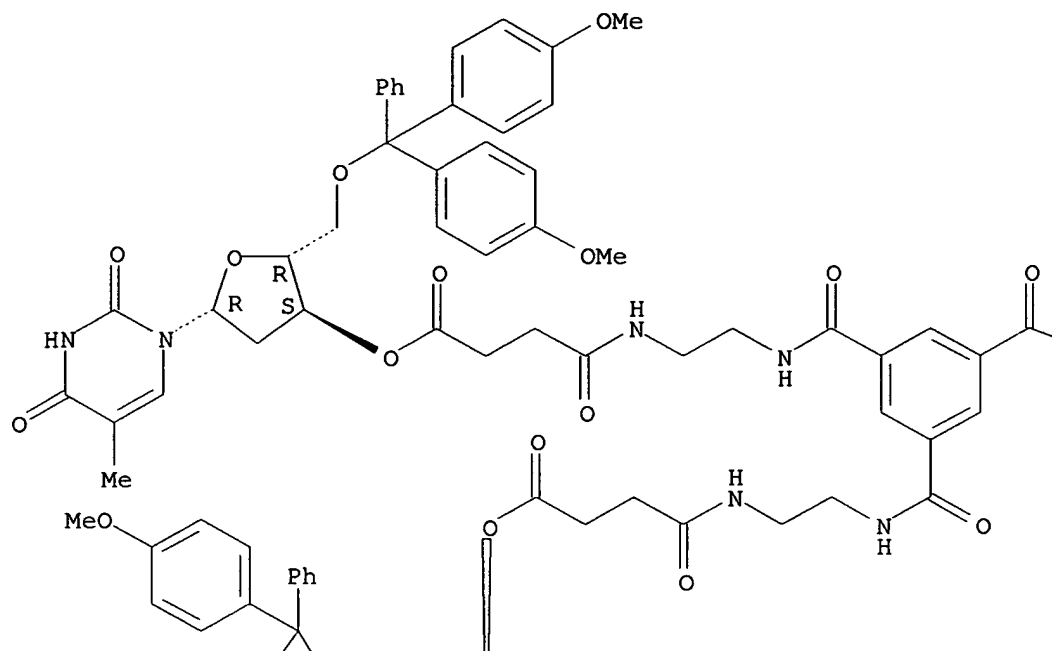
IT 221898-83-5P

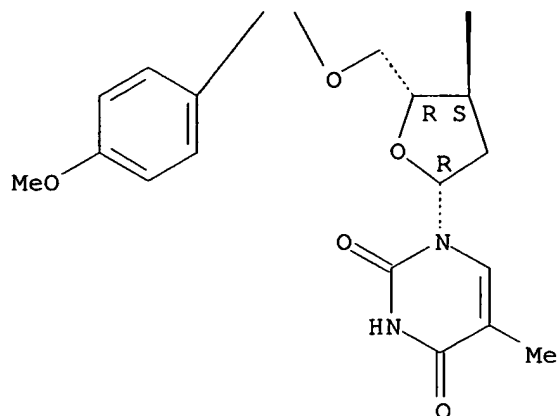
RL: SPN (Synthetic preparation); PREP (Preparation)
(solution phase biopolymer synthesis of oligodeoxyribonucleotides using
multifunctional liquid phase carriers)

RN 221898-83-5 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3',3''',3''''-
[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-
ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:176582 CAPLUS

DOCUMENT NUMBER: 131:5469

TITLE: The use of liquid phase carriers for large scale oligodeoxyribonucleotide synthesis in solution via phosphoramidite chemistry

AUTHOR(S): Worl, Ralf; Koster, Hubert

CORPORATE SOURCE: Faculty of Chemistry, Department of Biochemistry and Molecular Biology, University of Hamburg, Hamburg, D-20146, Germany

SOURCE: Tetrahedron (1999), 55(10), 2957-2972

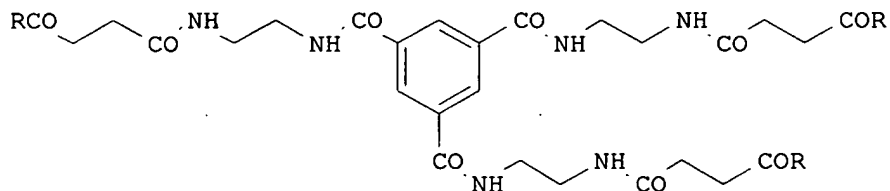
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Nucleoside derivs. coupled to a multifunctional highly sym. primary amine I (R = 3'-O-thymidine) built the fundamental of a convenient method for large scale oligodeoxyribonucleotide synthesis in solution The basic purification

for the fast isolation of intermediates is obtained by gel permeation chromatog. Monomer and dimer phosphoramidites are used for the preparation of short oligodeoxyribonucleotides. Total cycle yields between 81 and 95 % and average cycle yields of 87 % were obtained. MALDI-TOF-mass spectrometry was used for the anal. of the fully protected intermediates during synthesis.

IT 221898-84-6P 222306-75-4P 222306-76-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

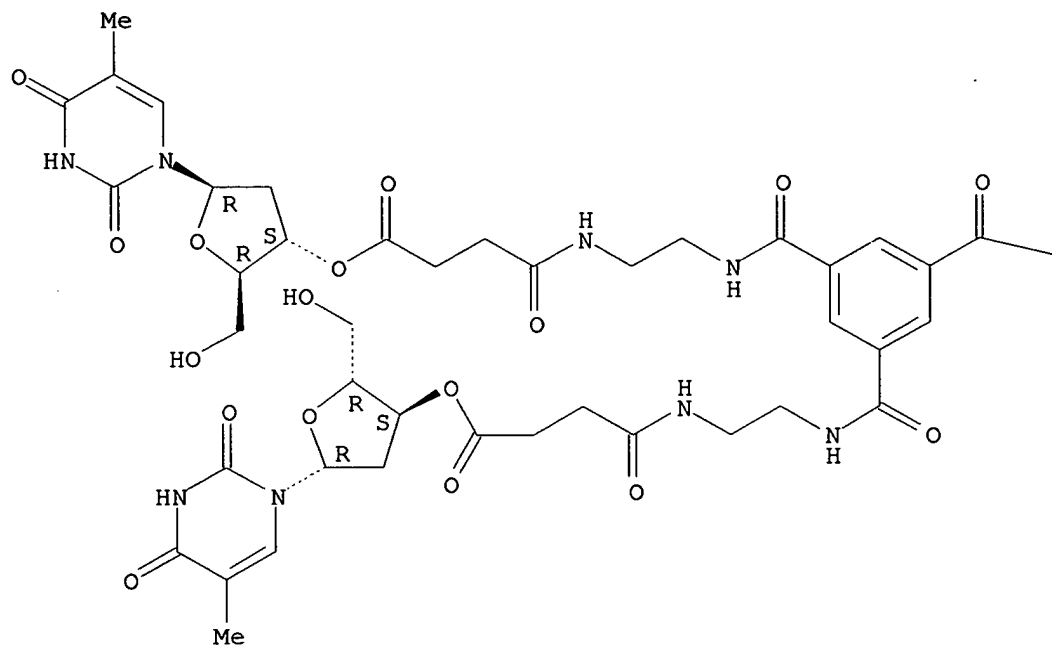
(use of liquid phase carriers for large scale oligodeoxyribonucleotide synthesis in solution via phosphoramidite chem.)

RN 221898-84-6 CAPLUS

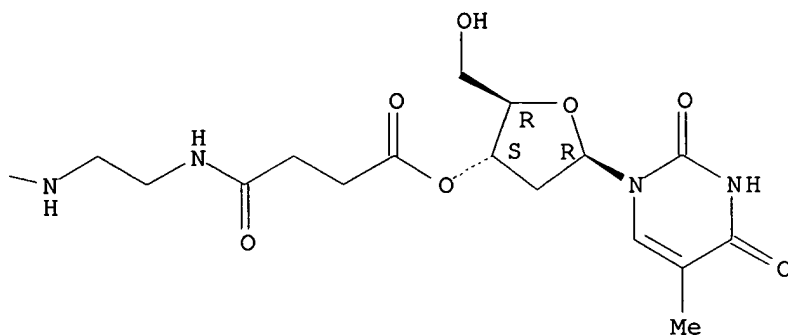
CN Thymidine, 3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

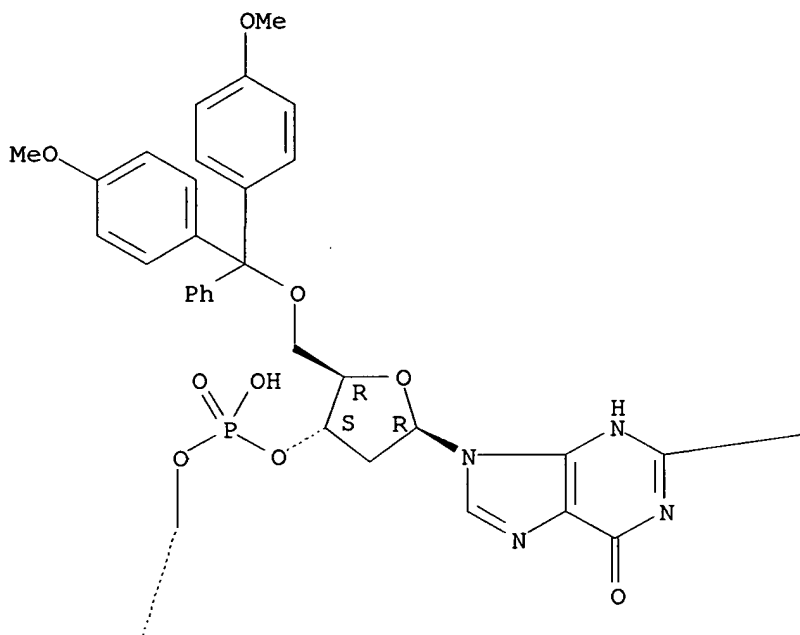


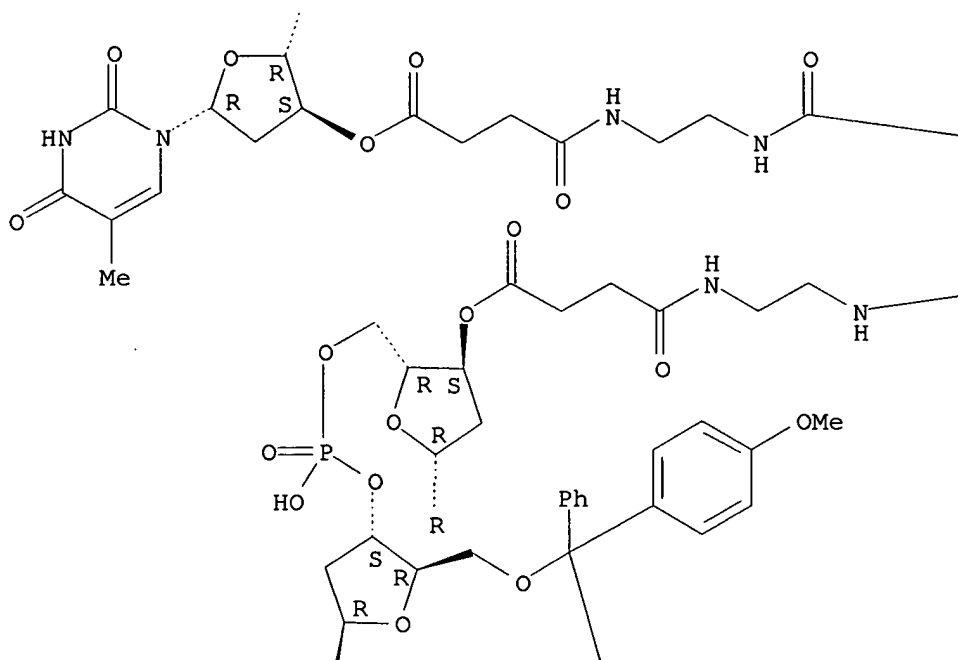
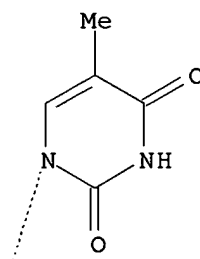
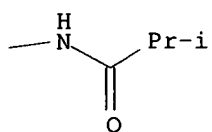
RN 222306-75-4 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-, 3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

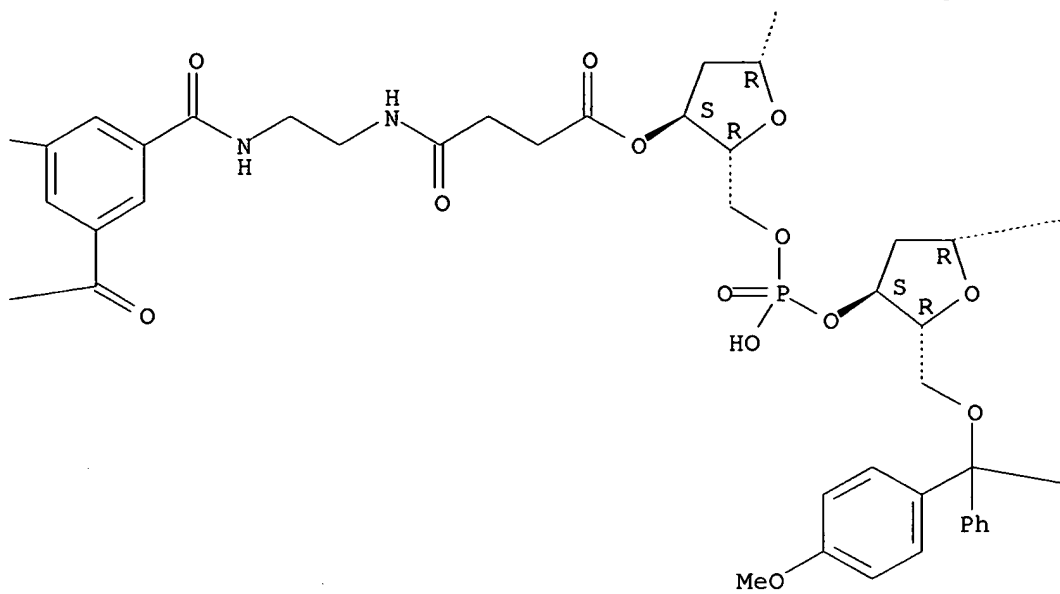
Absolute stereochemistry.

PAGE 1-A

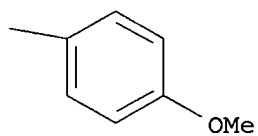
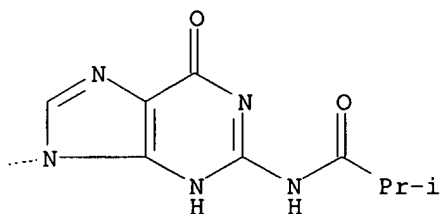


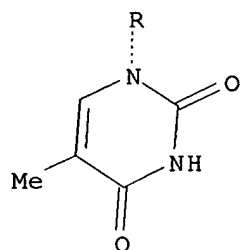
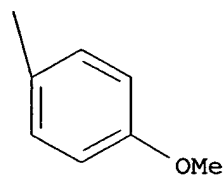
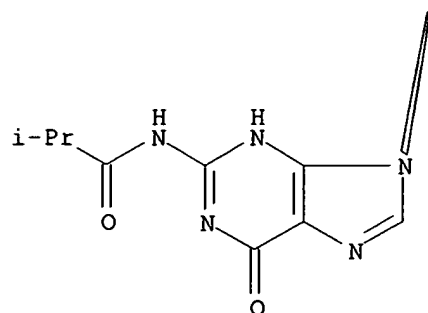


PAGE 2-B



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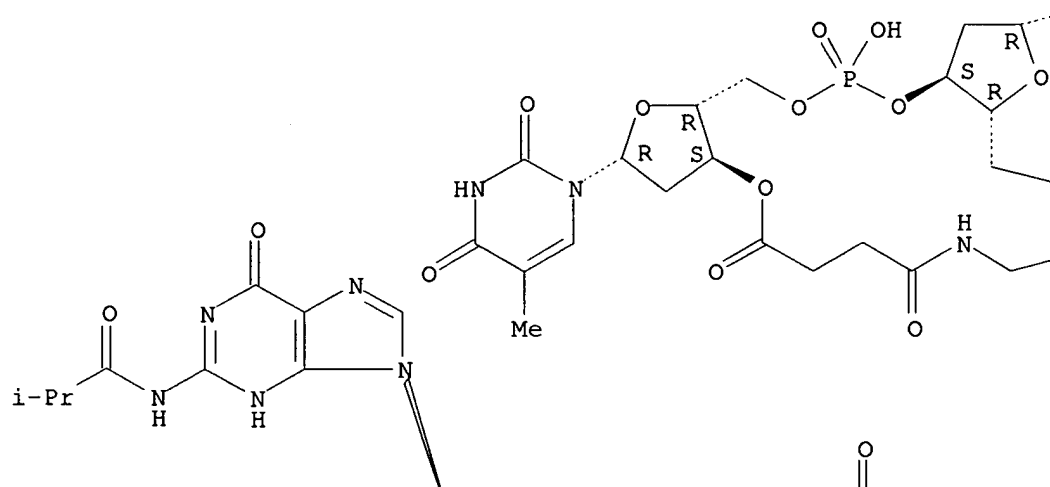




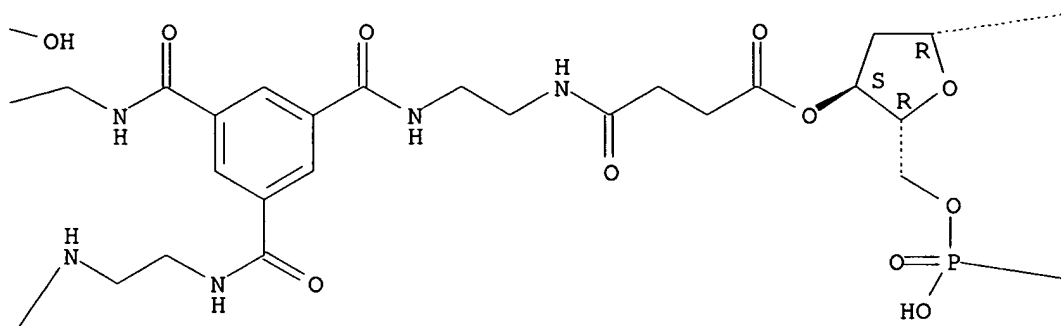
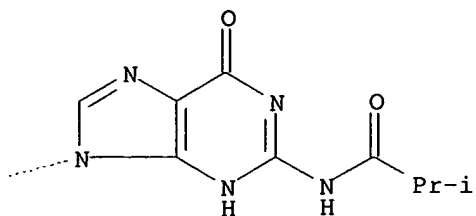
RN 222306-76-5 CAPLUS

CN Thymidine, 2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-,
3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-
ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

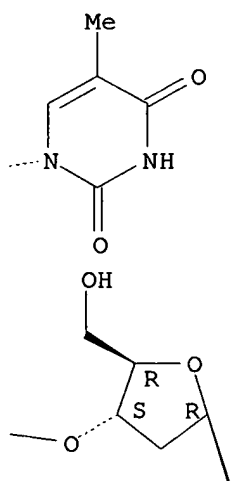
Absolute stereochemistry.

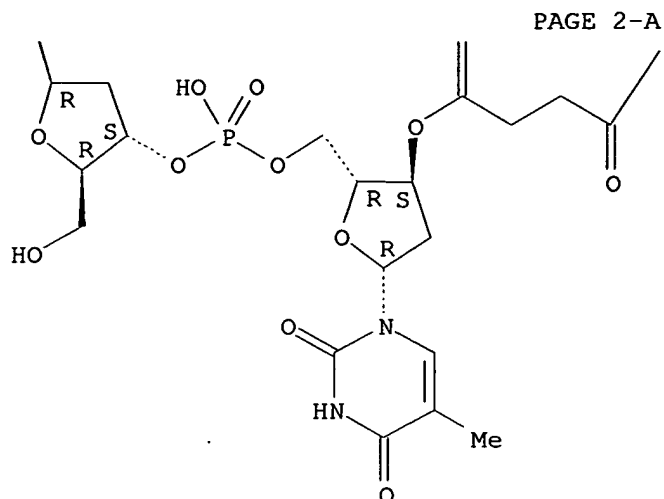


PAGE 1-B



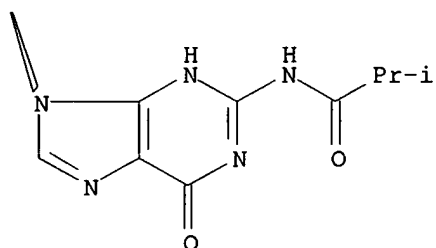
PAGE 1-C





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IT 224968-02-9P

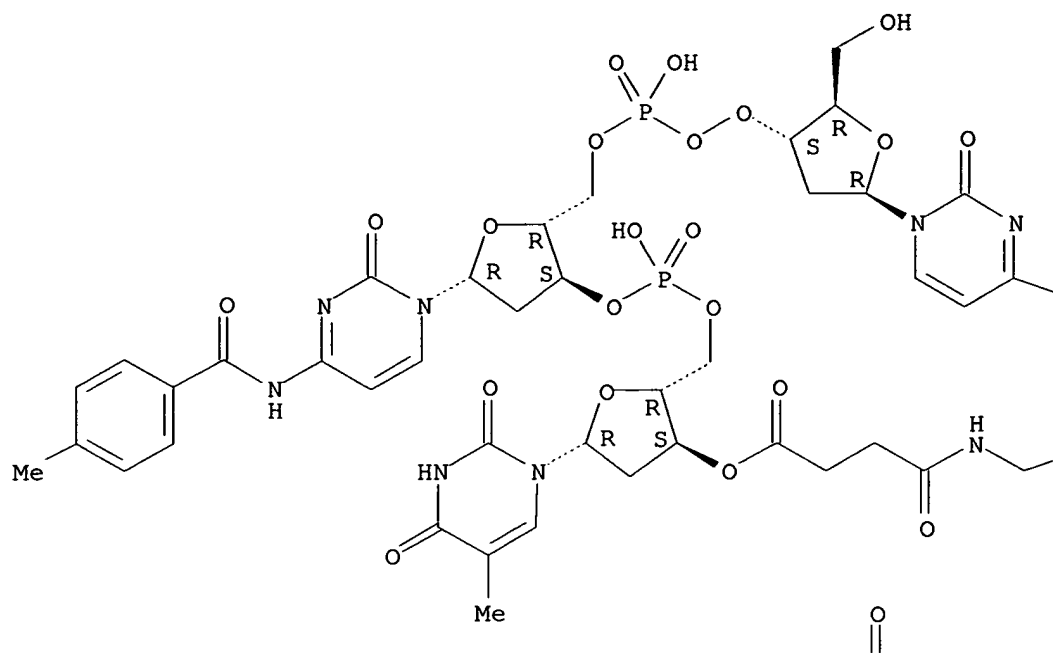
RL: SPN (Synthetic preparation); PREP (Preparation)
(use of liquid phase carriers for large scale oligodeoxyribonucleotide synthesis in solution via phosphoramidite chem.)

RN 224968-02-9 CAPLUS

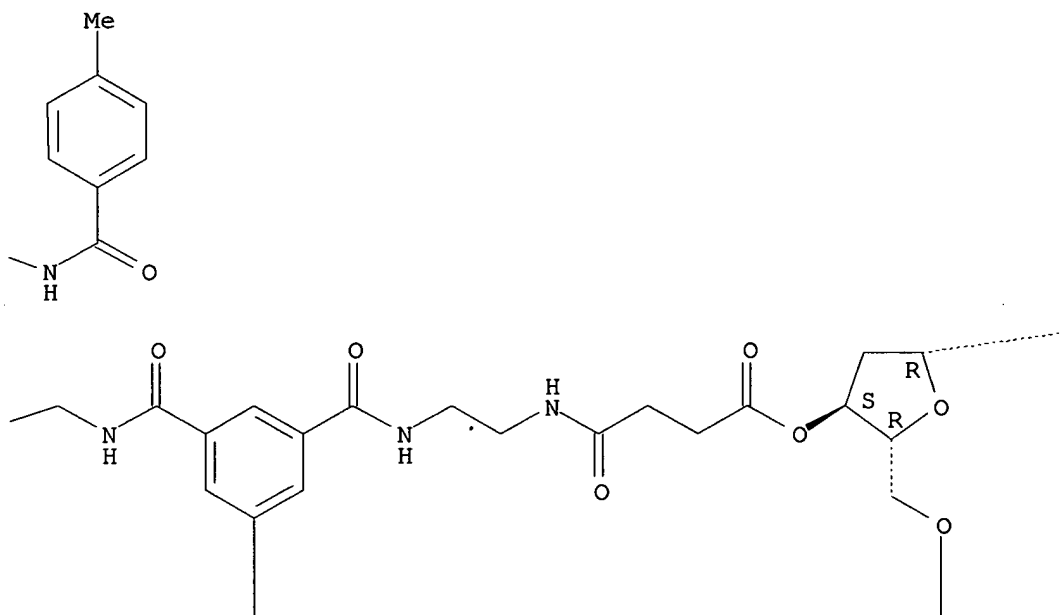
CN 5'-Thymidylic acid, 3'-[4-[[2-[[3,5-bis[[[2-[[4-[2'-deoxy-N-(4-methylbenzoyl)cytidyl- (3'→5')-2'-deoxy-N-(4-methylbenzoyl)cytidyl- (3'→5')-thymidin-3'-O-yl]-1,4-dioxobutyl]amino]ethyl]amino]carbonyl]benzoyl]amino]ethyl]amino]-4-oxobutanoate] (9CI) (CA INDEX NAME)

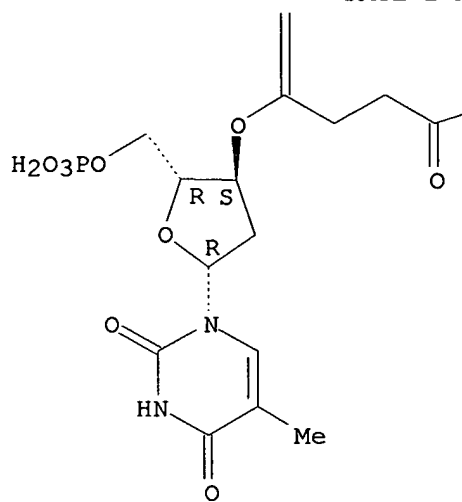
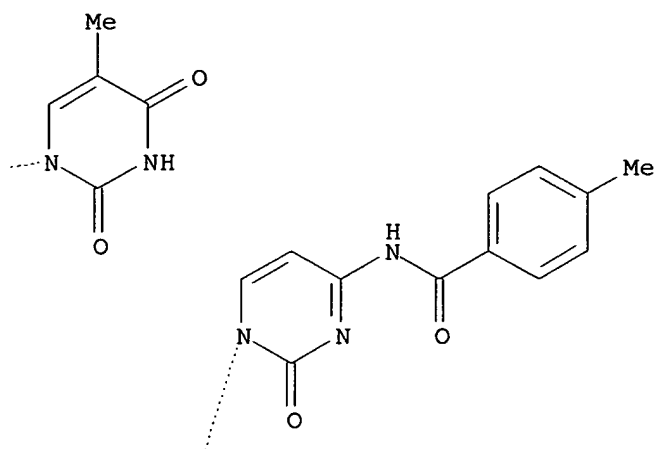
Absolute stereochemistry.

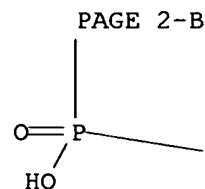
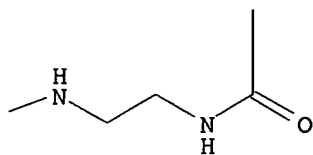
PAGE 1-A



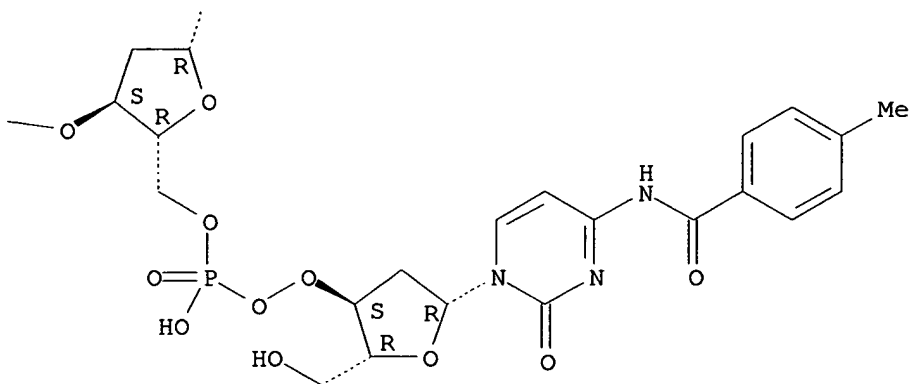
PAGE 1-B





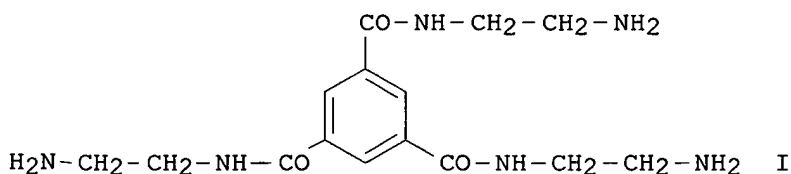


PAGE 2-C



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999:176579 CAPLUS
 DOCUMENT NUMBER: 130:267701
 TITLE: Synthesis of new liquid phase carriers for use in large scale oligodeoxyribonucleotide synthesis in solution
 AUTHOR(S): Worl, Ralf; Koster, Hubert
 CORPORATE SOURCE: Faculty of Chemistry, Department of Biochemistry and Molecular Biology, University of Hamburg, Hamburg, D-20146, Germany
 SOURCE: Tetrahedron (1999), 55(10), 2941-2956
 CODEN: TETRAB; ISSN: 0040-4020
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The synthesis of multifunctional sym. primary amines, e.g. I, and the covalent binding of 5'-O-dimethoxytrityl-deoxynucleoside derivs. to their amino groups is described. Different strategies for dedimethoxytritylation including the use of strong acidic ion exchangers or protic acids and modified silica gels and/or gel permeation chromatog. are developed. The resulting liquid phase carriers are suitable for large scale oligodeoxyribonucleotide synthesis in solution using phosphoramidites and gel permeation chromatog. for fast isolation of intermediates.

IT **221898-83-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

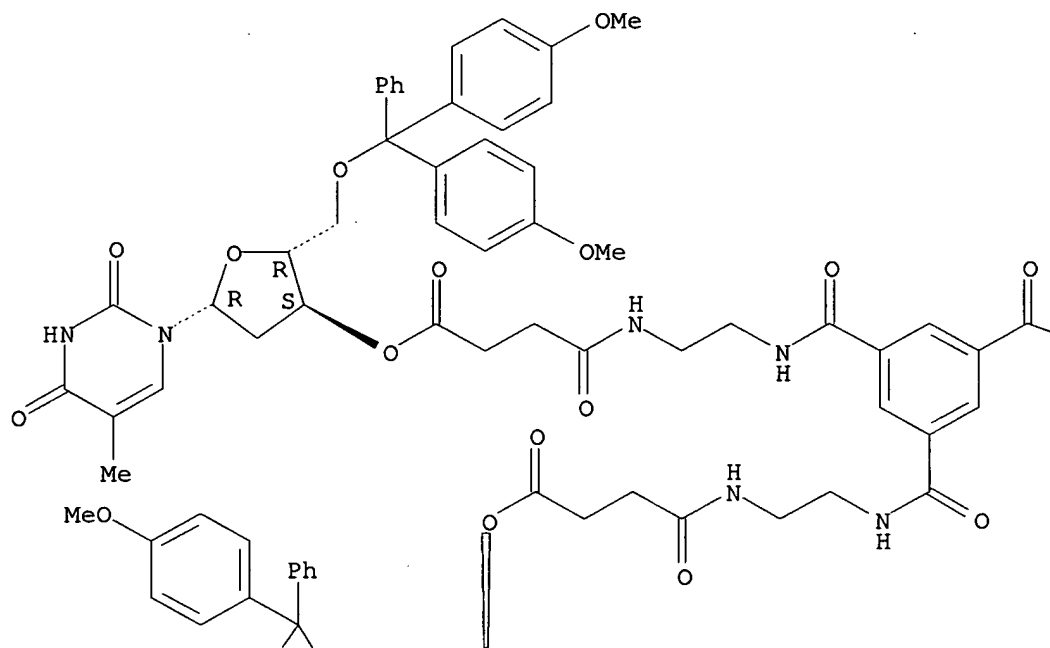
(synthesis of new liquid phase carriers for use in large scale oligodeoxyribonucleotide synthesis in solution)

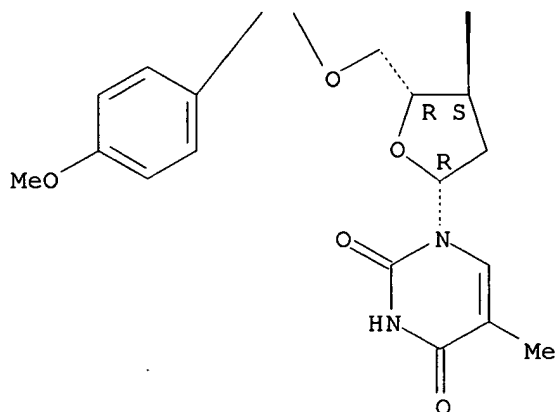
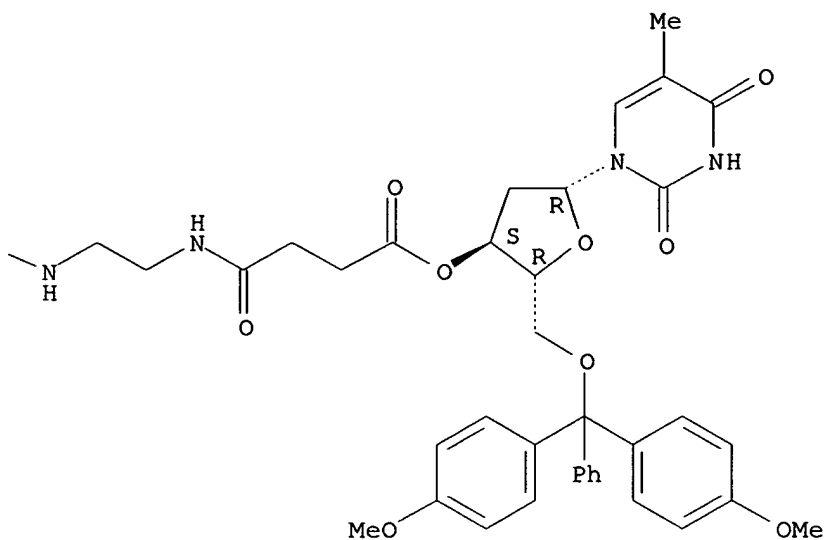
RN 221898-83-5 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyl]tris(carbonylimino-2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





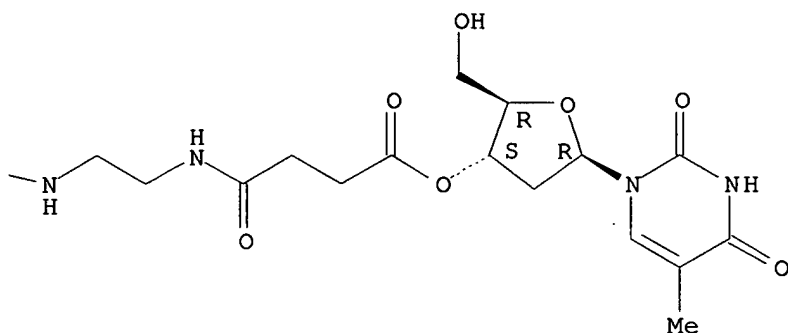
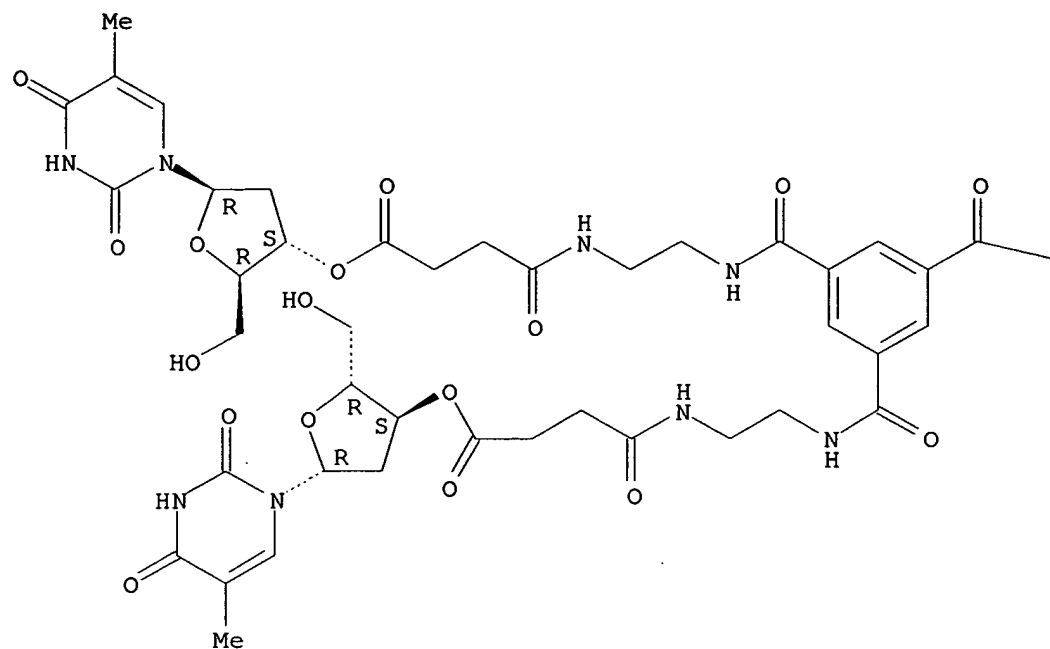
IT 221898-84-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of new liquid phase carriers for use in large scale
oligodeoxyribonucleotide synthesis in solution)

RN 221898-84-6 CAPLUS

CN Thymidine, 3',3'',3'''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:604447 CAPLUS

DOCUMENT NUMBER: 123:170182

TITLE: Preparation of amino acid derivatives, polymers or organic compounds linking them, and metastasis, cell adhesion, or cell migration inhibitors containing them

INVENTOR(S): Nishikawa, Naoyuki; Komazawa, Hiroyuki; Okada,

PATENT ASSIGNEE(S): Hisashi; Inaba, Tadashi; Saiki, Ikuo; Azuma, Ichiro
 SOURCE: Fuji Photo Film Co Ltd, Japan
 Jpn. Kokai Tokkyo Koho, 7 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07082225	A2	19950328	JP 1993-228641	19930914
PRIORITY APPLN. INFO.:			JP 1993-228641	19930914

OTHER SOURCE(S): MARPAT 123:170182

AB R1P1COCH(CH2V)NR3COXCONR4CH(CH2W)COP2R2 (I; X = direct bond, C1-3 linear or branched alkylene, C4-8 cycloalkylene, C6H4, which may have substituents and unsatd, bond; V, W = CO2H, CHNH2; P1-2 = direct bond, residue of amino acids or peptides, R1-2 = OH, organic group; R3-4 = H, alkyl; configuration of the asym. C is R, S, or RS) or their pharmaceutically acceptable salts and compds., in which ≥ 2 I or their salts are covalently linked to polymers or organic compds., are claimed. Metastasis inhibitors, cell adhesion inhibitors, and cell migration inhibitors containing I, their salts, or polymers or organic compds.

to

which I or their salts are linked are also claimed. A phosphate buffer solution containing HOCOCH(CH2CO2H)NHCOCONHCH(CH2CO2H)CO2H (500 μ g/mouse) and B16-BL6 melanoma cells was i.v. injected to mice. Number of metastatic colonies to lung after 14 days was 16, vs. 101 for a untreated control and 93 for GRGDS peptide.

IT **167173-48-0**

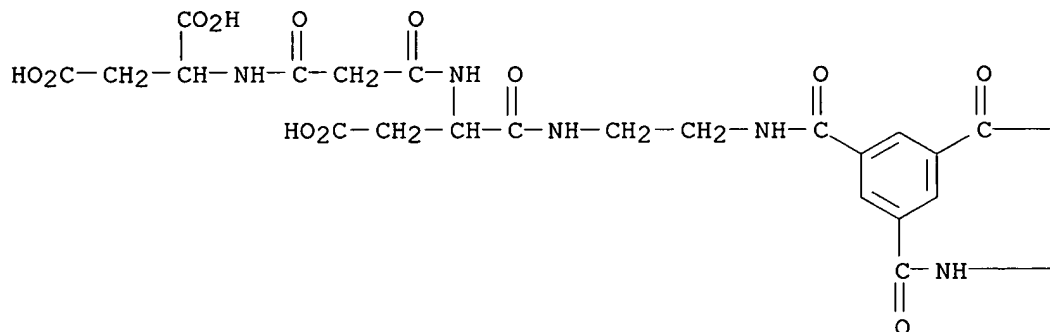
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

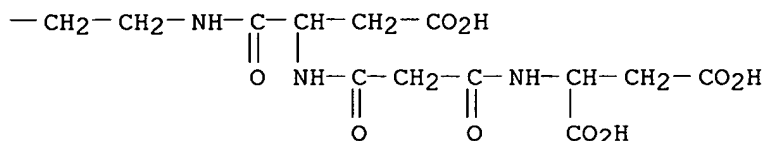
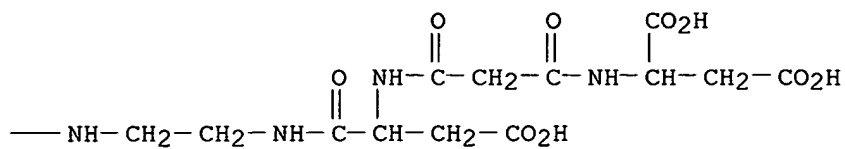
(dicarboxylic acid bisamides with amino acids or peptides as metastasis, cell adhesion, and cell migration inhibitors)

RN 167173-48-0 CAPLUS

CN L-Aspartic acid, 1,1',1''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino[1-(carboxymethyl)-2-oxo-2,1-ethanediyl]]]tris[3-oxo- β -alanyl-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A





=> d l11 total ibib abs hitstr

L11 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:906637 CAPLUS
 DOCUMENT NUMBER: 137:381966
 TITLE: Methods and compositions for analyzing proteins
 INVENTOR(S): Singh, Sharat; Salimi-Moosavi, Hossein; Tahir, Syed
 Hasan; Wallweber, Gerald J.; Kirakossian, Hrair;
 Matray, Tracy J.; Hernandez, Vincent S.
 PATENT ASSIGNEE(S): Aclara Biosciences, Inc., USA
 SOURCE: PCT Int. Appl., 141 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002095356	A2	20021128	WO 2002-US16098	20020521
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003013126	A1	20030116	US 2002-154042	20020521
PRIORITY APPLN. INFO.:			US 2001-292548P	P 20010521
			US 2001-334901P	P 20011024

AB The invention concerns methods, compns. and kits are disclosed for determining one or more target polypeptides in a sample where the target polypeptides have undergone a post-translational modification. A mixture comprising the

sample and a first reagent comprising a cleavage-inducing moiety and a first binding agent for a binding site on a target polypeptide is subjected to conditions under which binding of resp. binding moieties occurs. The binding site is the result of post-translational modification activity involving the target polypeptide. The method may be employed to determine the target polypeptide itself. In another embodiment the presence and/or amount of the target polypeptide is related to the presence and/or amount and/or activity of an agent such as an enzyme involved in the post-translational modification of the target polypeptide. The interaction between the first binding agent and the binding site brings the cleavage-inducing moiety into close proximity to a cleavable moiety, which is associated with the polypeptide and is susceptible to cleavage only when in proximity to the cleavage-inducing moiety. In this way, an electrophoretic tag for each of the polypeptides may be released. Released electrophoretic tags are separated and the presence and/or amount of the target polypeptides are determined based on the corresponding electrophoretic tags.

IT **476360-20-0P**

RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(methods and compns. for analyzing proteins)

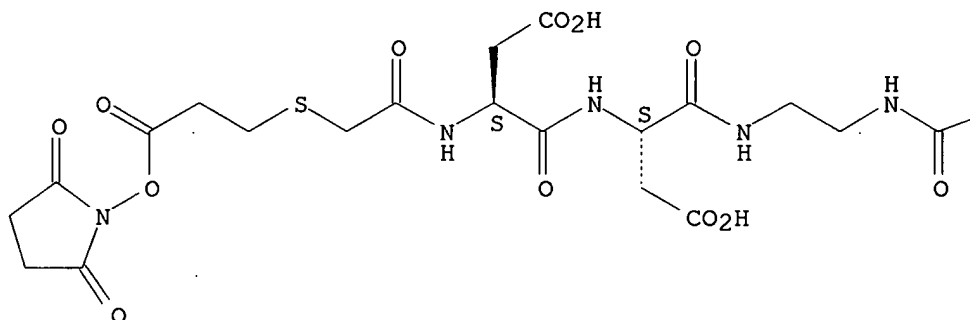
RN 476360-20-0 CAPLUS

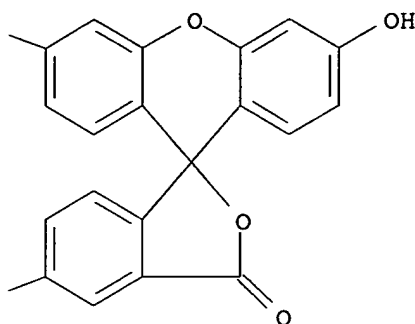
CN L- α -Asparagine, N-[[[3-[(2,5-dioxo-1-pyrrolidinyl)oxy]-3-oxopropyl]thio]acetyl]-L- α -aspartyl-N-[2-[[[3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

HO—





L11 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:260225 CAPLUS

DOCUMENT NUMBER: 132:294010

TITLE: Preparation of diaminopropionic acid derivatives as intracellular adhesion molecule-1 (ICAM-1) binding inhibitors

INVENTOR(S): Fotouhi, Nader; Gillespie, Paul; Guthrie, Robert William; Pietranico-Cole, Sherrie Lynn; Yun, Weiya

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

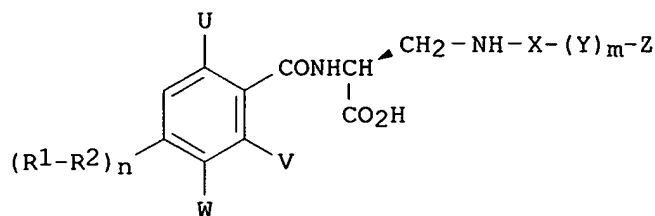
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021920	A1	20000420	WO 1999-EP7620	19991012
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6331640	B1	20011218	US 1999-407534	19990929
CA 2344058	AA	20000420	CA 1999-2344058	19991012
BR 9914602	A	20010703	BR 1999-14602	19991012
EP 1121342	A1	20010808	EP 1999-953772	19991012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527416	T2	20020827	JP 2000-575829	19991012
US 2002052512	A1	20020502	US 2001-879700	20010612
PRIORITY APPLN. INFO.:			US 1998-104120P	P 19981013
			US 1999-407534	A3 19990929
			WO 1999-EP7620	W 19991012
OTHER SOURCE(S):			MARPAT 132:294010	
GI				



AB Diaminopropionic acid derivs. I [R1 = substituted 1-naphthyl, 4-indolyl, 4-benzimidazolyl, 4-benzodiazolyl, 4-benzotriazolyl, or phenyl; R2 = CHR3NHCO (R3 = H, carboxy, alkyl), CH2CH2CO, 1,2-cyclopropanediylcarbonyl, OCH2CO, CH:CHCHR3, CH2CH2CH(OH), CONHCHR3, or CH2NH-5,1-tetrazolediyl; U, V, W = H, halo, alkyl provided that U and V are not both hydrogen; X = CO, phenylalkylene, sulfonyl; Y = alkylene which may be substituted by amino or cycloalkyl, alkenylene, alkylenethio; Z = H, alkylthio, CO2H, CONH2, 1-adamantyl, diphenylmethyl, 3-[[[(5-chloro-2-pyridinyl)amino]carbonyl]-2-pyrazinyl, hydroxy, phenylmethoxy, 2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]phenyl, [(2,6-dichlorophenyl)methoxy], Ph, (un)substituted cycloalkyl or aryl or fused ring system which may contain 0-3 heteroatoms; m, n = 0, 1] or their pharmaceutically acceptable salts or esters were prepared and are useful for treating rheumatoid arthritis, psoriasis, multiple sclerosis, Crohn's disease, ulcerative colitis, atherosclerosis, restenosis, pancreatitis, transplant rejection, delayed graft function and diseases of ischemia reperfusion injury, including acute myocardial infarction and stroke. Thus, N-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]-3-(3-methoxybenzoylamino)-L-alanine was prepared by the solid-phase method and showed IC50 = 1.2 nM in the LFA-1 (lymphocyte function-associated antigen-1)/ICAM-1 protein-protein assay.

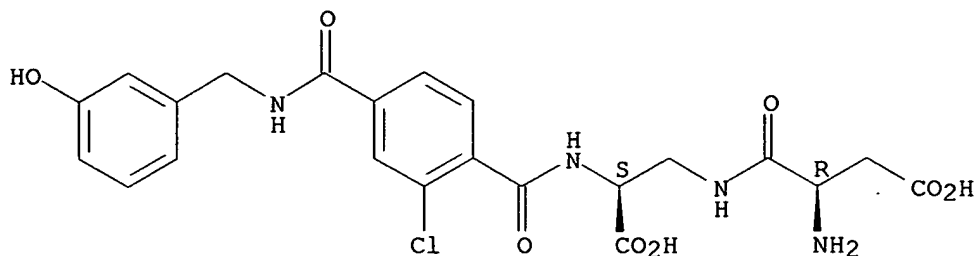
IT **264275-09-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diaminopropionic acid derivs. as intracellular adhesion mol.-1 (ICAM-1) binding inhibitors)

RN 264275-09-4 CAPLUS

CN β -Alanine, D- α -aspartyl-2-[2-chloro-4-[[[(3-hydroxyphenyl)methyl]amino]carbonyl]benzoyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999:708779 CAPLUS
 DOCUMENT NUMBER: 131:351620
 TITLE: Solution phase biopolymer synthesis of oligodeoxyribonucleotides using multifunctional liquid phase carriers
 INVENTOR(S): Koster, Hubert; Worl, Ralf
 PATENT ASSIGNEE(S): USA
 SOURCE: PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955718	A2	19991104	WO 1999-US8939	19990426
WO 9955718	A3	19991216		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002016451	A1	20020207	US 1998-67337	19980427
AU 9936643	A1	19991116	AU 1999-36643	19990426
EP 1073668	A2	20010207	EP 1999-918819	19990426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002007048	A1	20020117	US 2000-484484	20000118
PRIORITY APPLN. INFO.: US 1998-67337 A 19980427				
WO 1999-US8939 W 19990426				

AB Multifunctional liquid phase carriers (LPCs) and methods of using LPCs for the preparation of biopolymers are provided. The LPCs are highly sym. compds. that possess more than two points of attachment for biopolymer synthesis. The LPCs have the formula $Sp(X1)_n$, where Sp is a highly sym. moiety such that all $X1$ groups are equivalent $X1$ is a functional group that is suitable for biopolymer synthesis, including OH, SH, NH_2 , COOH and the like. Biopolymers that may be produced using the methods provided include

oligonucleotides, peptides, protein nucleic acids (PNAs) and oligosaccharides. Analogs of the biopolymers may also be prepared using the methods. Thus decamer d(GACCGGCAGT) was prepared using multifunctional liquid phase carriers.

IT **221898-84-6P 222306-76-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

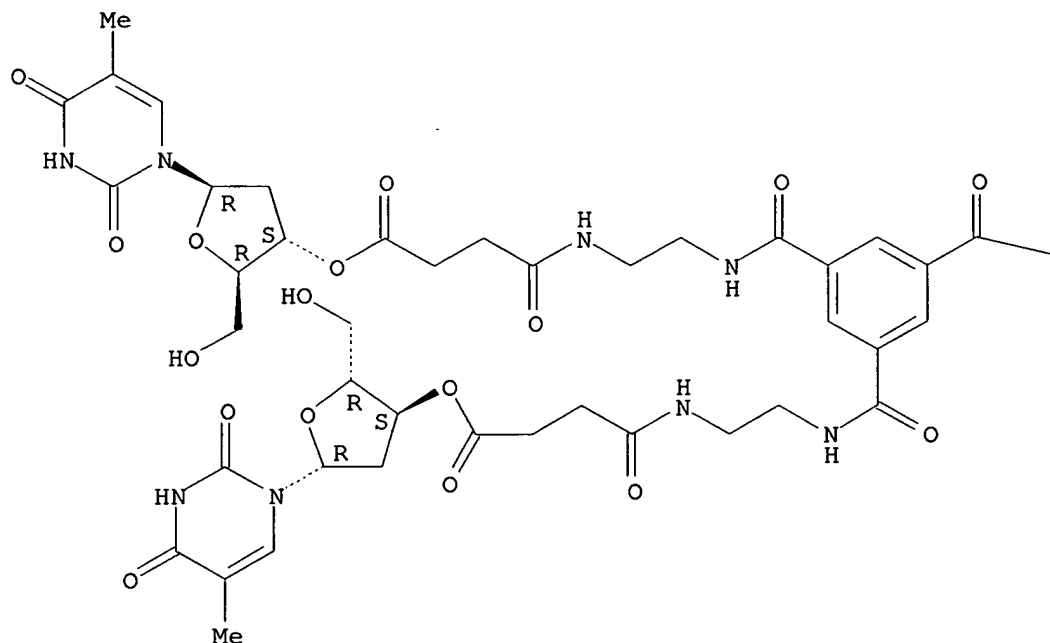
(solution phase biopolymer synthesis of oligodeoxyribonucleotides using multifunctional liquid phase carriers)

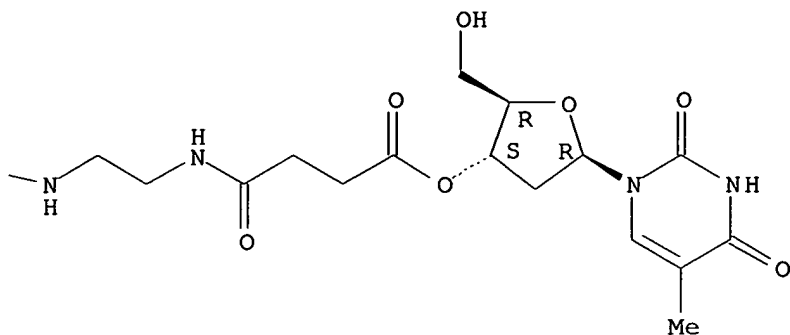
RN 221898-84-6 CAPLUS

CN Thymidine, 3',3'',3'''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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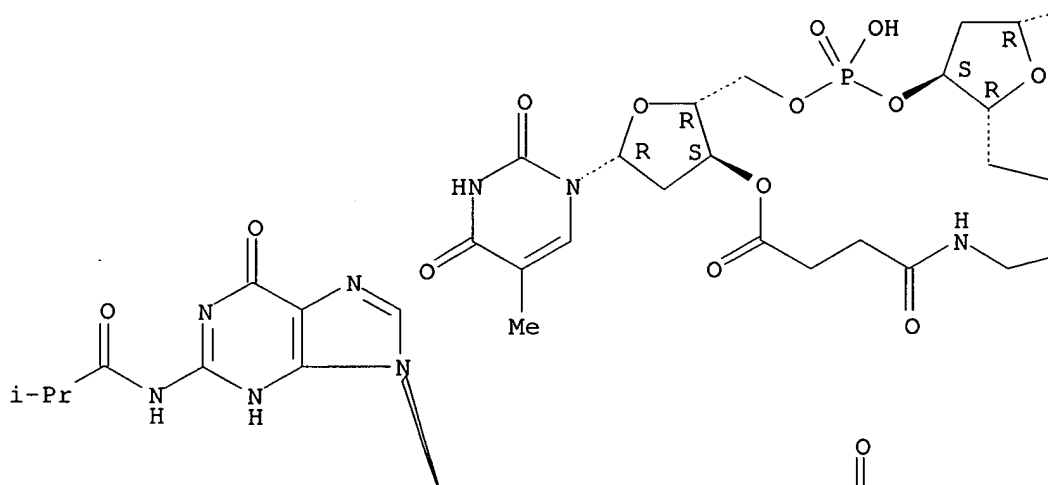


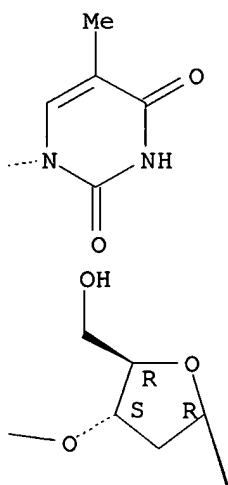
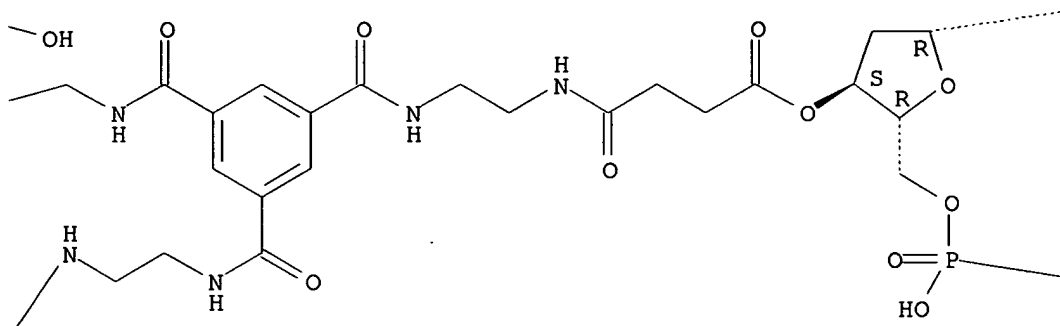
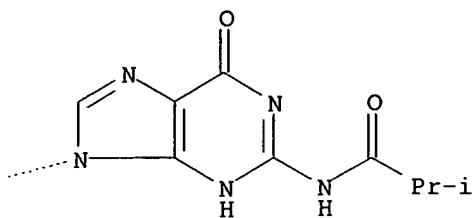


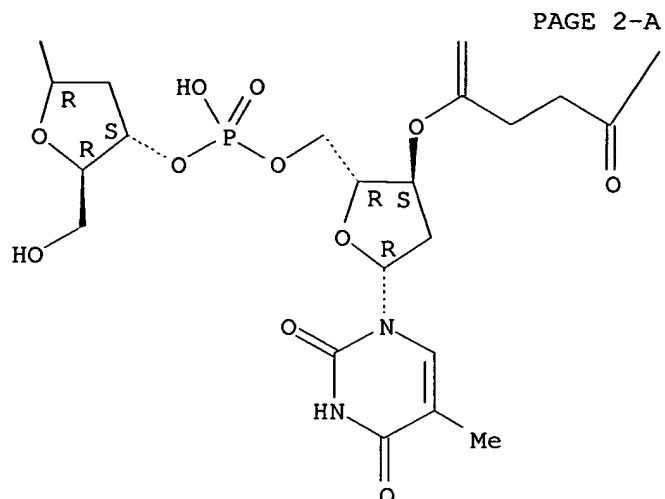
RN 222306-76-5 CAPLUS

CN Thymidine, 2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-,
3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-
ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

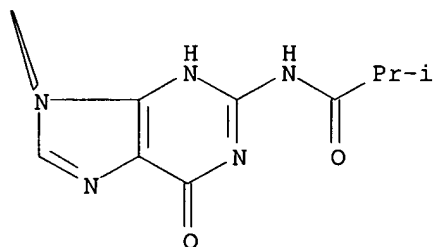






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IT 221898-83-5P

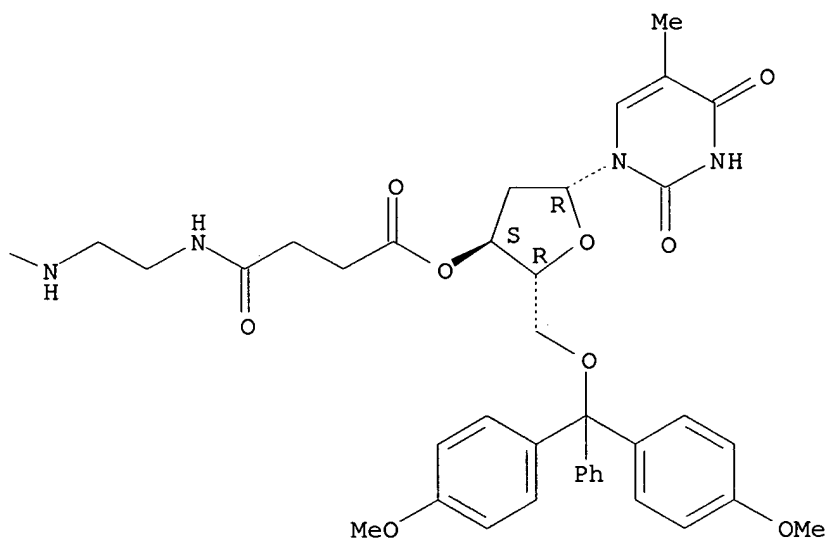
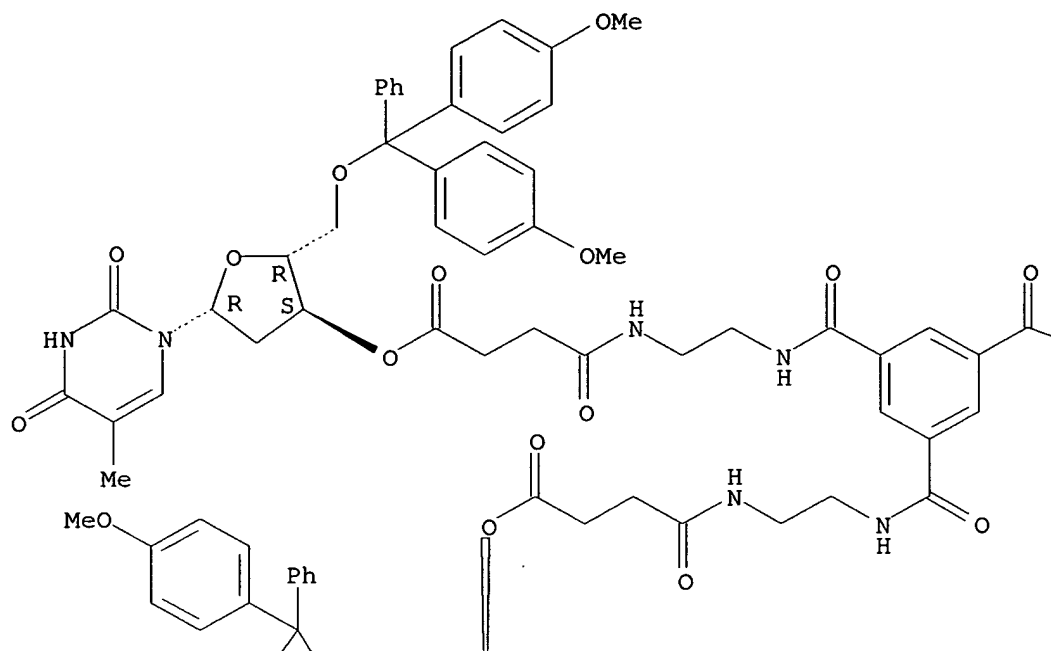
RL: SPN (Synthetic preparation); PREP (Preparation)

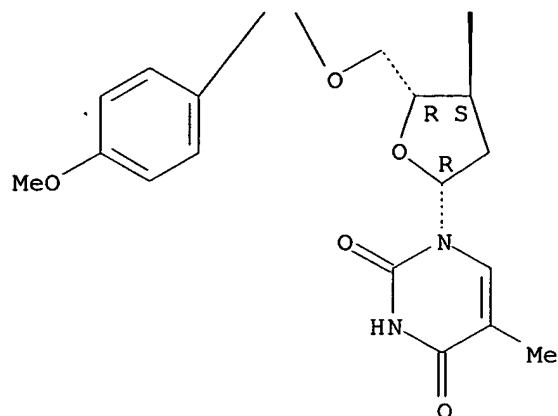
(solution phase biopolymer synthesis of oligodeoxyribonucleotides using multifunctional liquid phase carriers)

RN 221898-83-5 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L11 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:417986 CAPLUS

DOCUMENT NUMBER: 131:87716

TITLE: Preparation of sulfonamides as eosinophil function inhibitors, antiallergy agents, and antiasthmatic agents

INVENTOR(S): Miyakawa, Motonori; Murai, Satoshi; Ishige, Hirohide; Suda, Masahiro; Fujimoto, Kyoko; Watanuki, Mitsuru; Nakamura, Tsutomu

PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 83 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11180945	A2	19990706	JP 1997-346815	19971216
PRIORITY APPLN. INFO.:			JP 1997-346815	19971216

OTHER SOURCE(S): MARPAT 131:87716

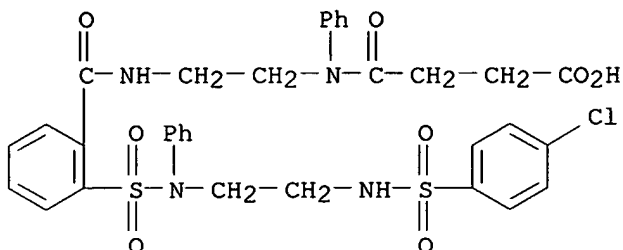
AB R1XYNR2SO2ZCONR3R4 [R1-R3 = H, C1-9 alkyl, C3-7 cycloalkyl, (un)substituted aryl, (un)substituted heterocyclyl, etc.; X = SO2NH, CONH, NHCONH, NHCSNH; Y = C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene,; Z = phenylene, heterocyclylene; R4 = H, C1-9 alkyl, sulfonyl, Ph, (un)substituted heterocyclyl; etc.], their salts, their hydrates, or their solvates are prepared Their synthetic intermediates are also claimed. 4-ClC6H4SO2NH(CH2)2NPhSO2C6H4CO2H-2 (11.8 g) was chlorinated with SOCl2 and amidated with 4.6 g Et m-aminobenzoate to give 10.7 g of the corresponding amide, which at 0.1 μ M inhibited 97.9% release of eosinophil peroxidase.

IT **230304-26-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides as eosinophil function inhibitors, antiallergy agents, and antiasthmatic agents)

RN 230304-26-4 CAPLUS

CN Butanoic acid, 4-[[[2-[[[2-[[[2-[[[4-chlorophenyl)sulfonyl]amino]ethyl]phenylamino]sulfonyl]benzoyl]amino]ethyl]phenylamino]-4-oxo- (9CI) (CA INDEX NAME)



L11 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:176582 CAPLUS

DOCUMENT NUMBER: 131:5469

TITLE: The use of liquid phase carriers for large scale oligodeoxyribonucleotide synthesis in solution via phosphoramidite chemistry

AUTHOR(S): Worl, Ralf; Koster, Hubert

CORPORATE SOURCE: Faculty of Chemistry, Department of Biochemistry and Molecular Biology, University of Hamburg, Hamburg, D-20146, Germany

SOURCE: Tetrahedron (1999), 55(10), 2957-2972

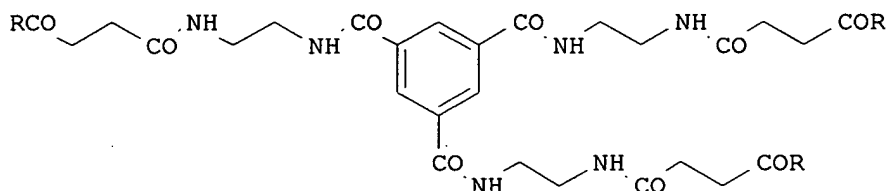
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB Nucleoside derivs. coupled to a multifunctional highly sym. primary amine I (R = 3'-O-thymidine) built the fundamental of a convenient method for large scale oligodeoxyribonucleotide synthesis in solution The basic purification

for the fast isolation of intermediates is obtained by gel permeation chromatog. Monomer and dimer phosphoramidites are used for the preparation of short oligodeoxyribonucleotides. Total cycle yields between 81 and 95 % and average cycle yields of 87 % were obtained. MALDI-TOF-mass spectrometry was used for the anal. of the fully protected intermediates during synthesis.

IT 221898-84-6P 222306-75-4P 222306-76-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

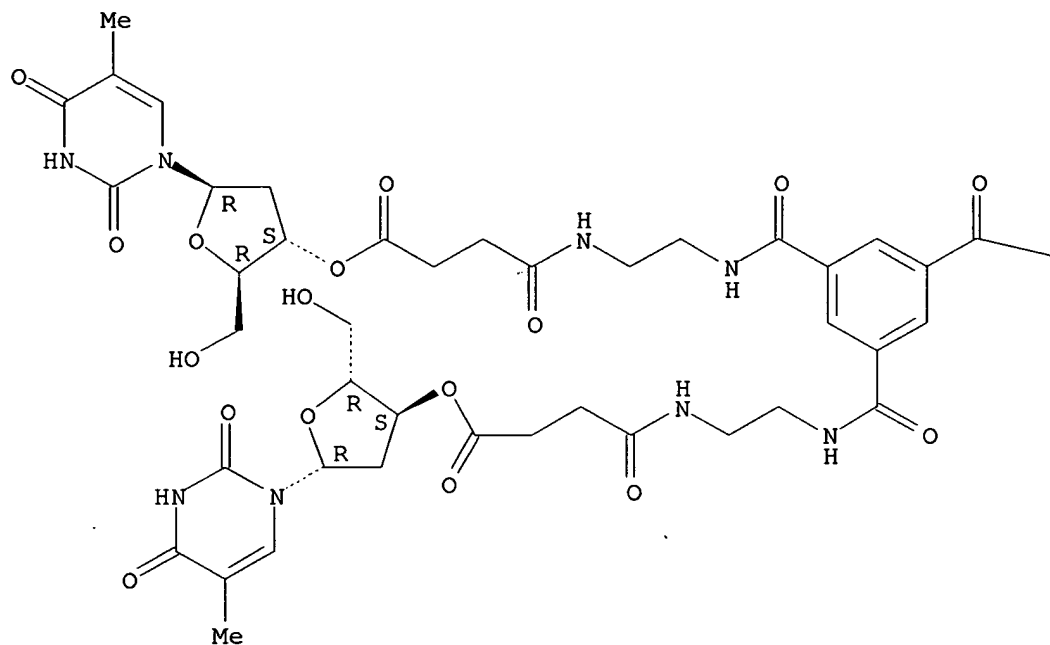
(use of liquid phase carriers for large scale oligodeoxyribonucleotide
synthesis in solution via phosphoramidite chem.)

RN 221898-84-6 CAPLUS

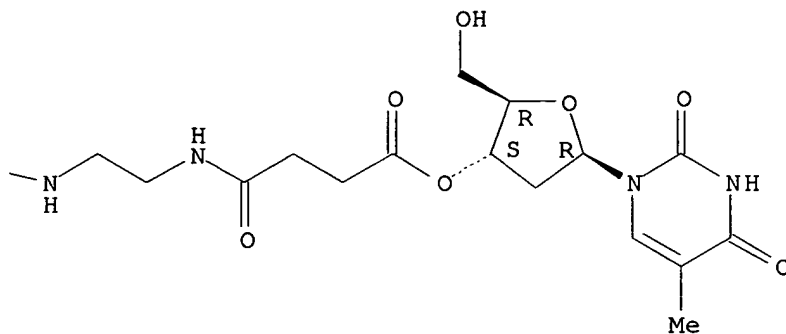
CN Thymidine, 3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-
2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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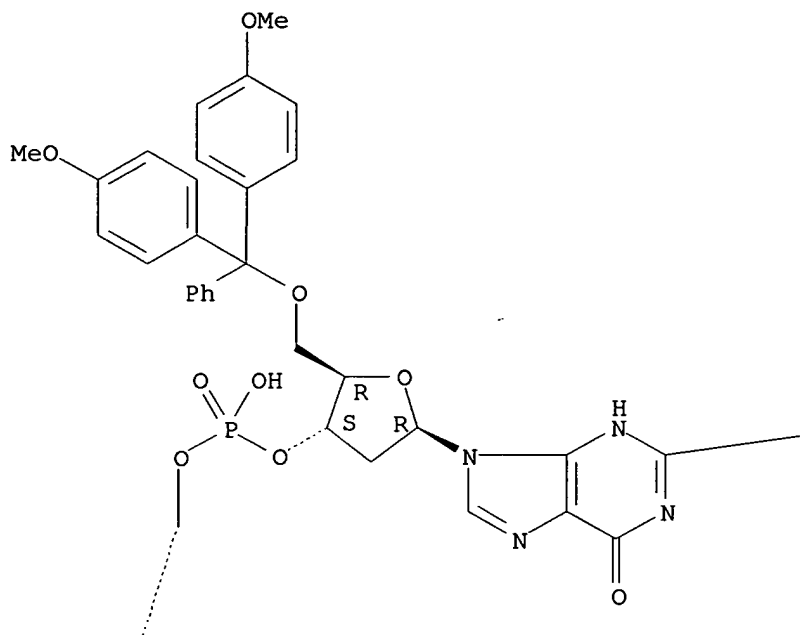


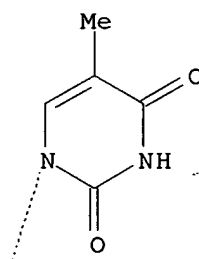
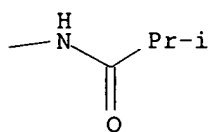
RN 222306-75-4 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-, 3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

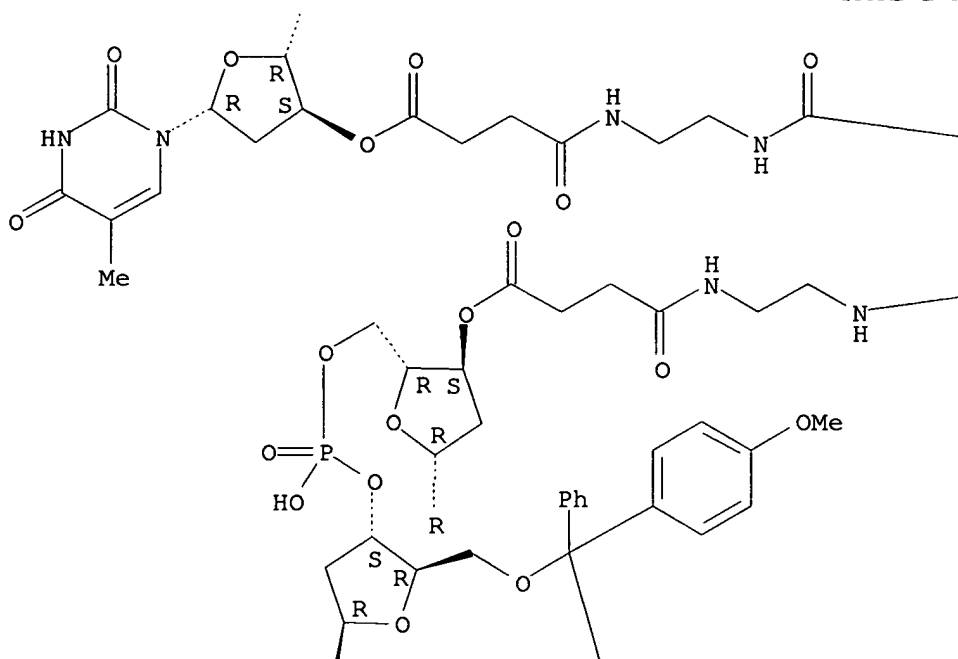
Absolute stereochemistry.

PAGE 1-A

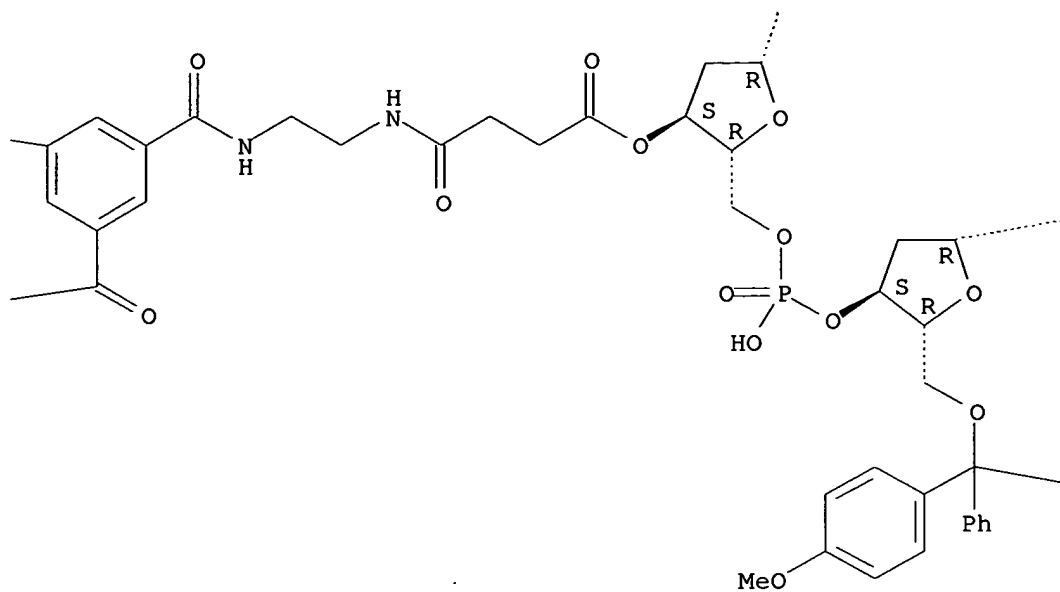




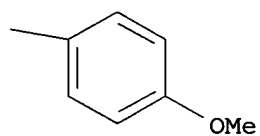
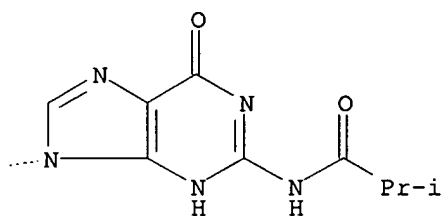
PAGE 2-A

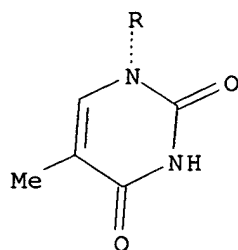
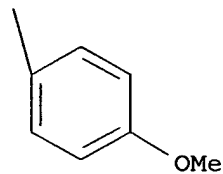
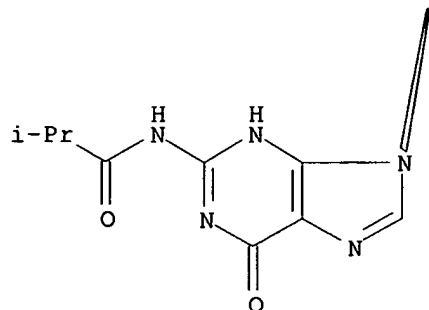


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PAGE 2-C

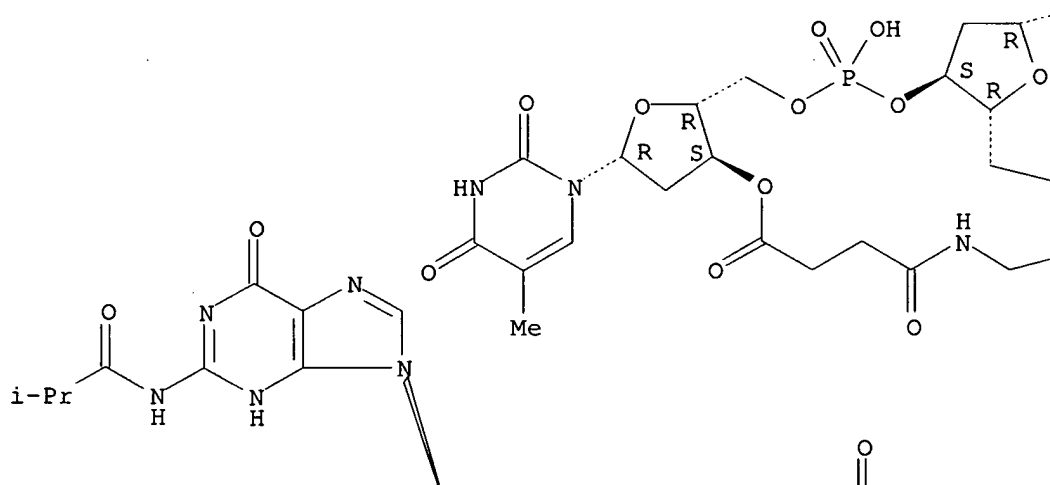




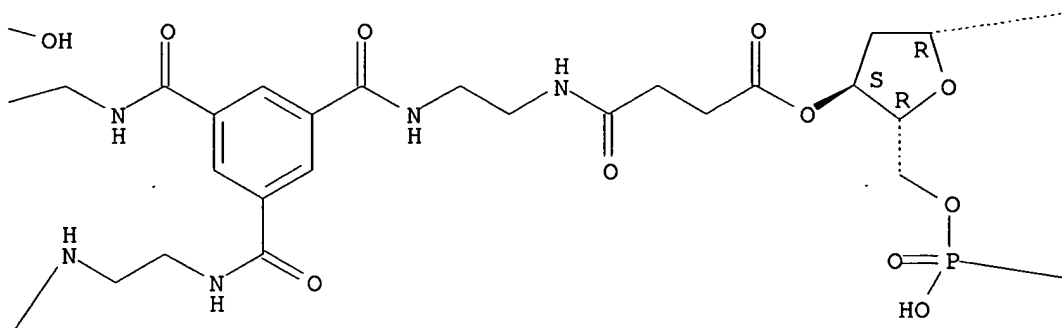
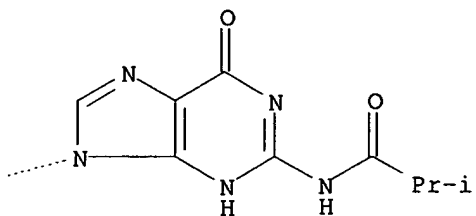
RN 222306-76-5 CAPLUS

CN Thymidine, 2'-deoxy-N-(2-methyl-1-oxopropyl)guanylyl-(3'→5')-,
3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-
ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

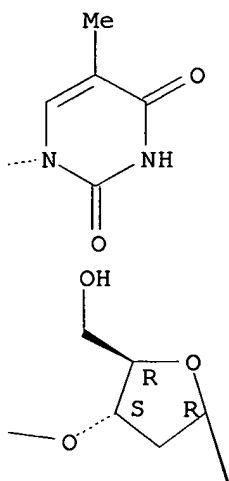
Absolute stereochemistry.

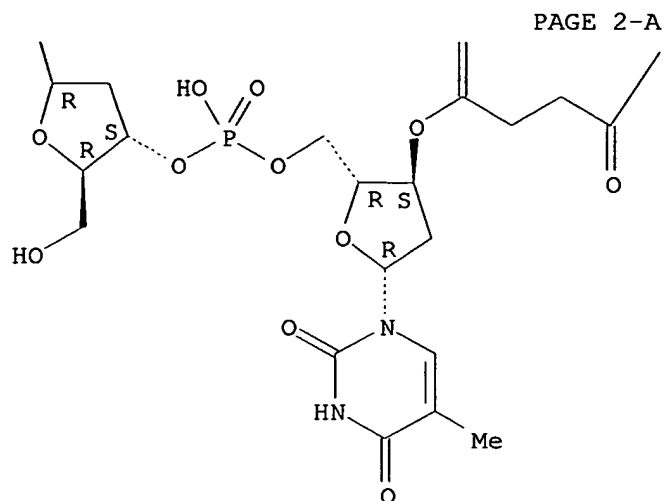


PAGE 1-B



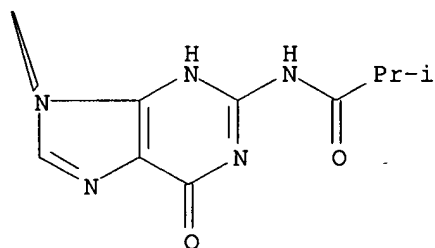
PAGE 1-C





PAGE 2-B

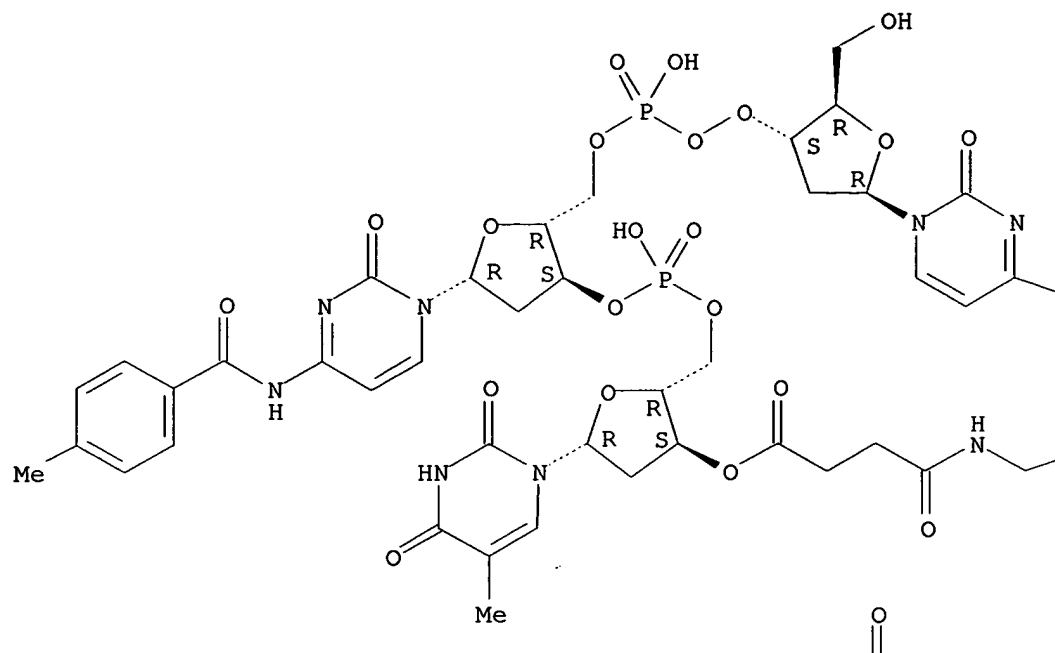
PAGE 2-C



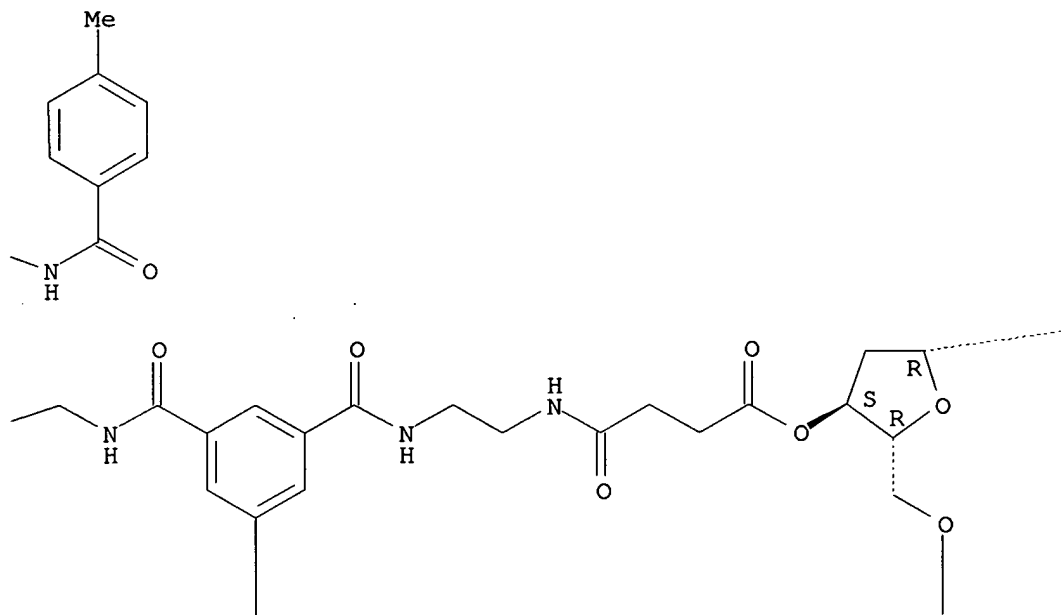
IT **224968-02-9P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (use of liquid phase carriers for large scale oligodeoxyribonucleotide
 synthesis in solution via phosphoramidite chem.)
 RN 224968-02-9 CAPLUS
 CN 5'-Thymidylic acid, 3'-[4-[[2-[[3,5-bis[[[2-[[4-[2'-deoxy-N-(4-
 methylbenzoyl)cytidyl-(3'→5')-2'-deoxy-N-(4-
 methylbenzoyl)cytidyl-(3'→5')-thymidin-3'-O-yl]-1,4-
 dioxobutyl]amino]ethyl]amino]carbonyl]benzoyl]amino]ethyl]amino]-4-
 oxobutanoate] (9CI) (CA INDEX NAME)

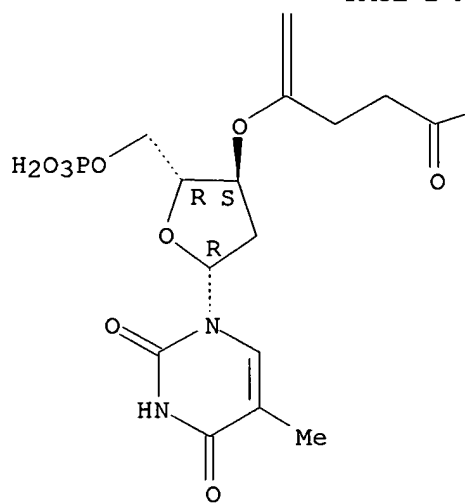
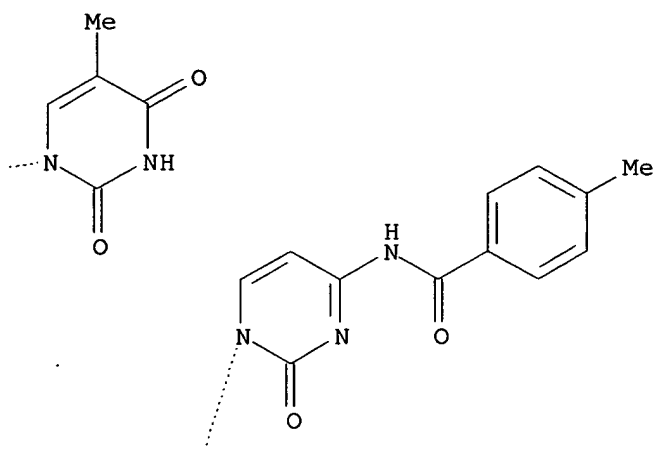
Absolute stereochemistry.

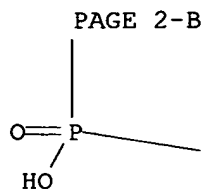
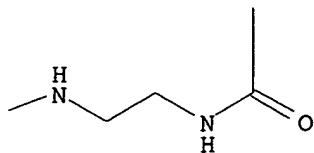
PAGE 1-A



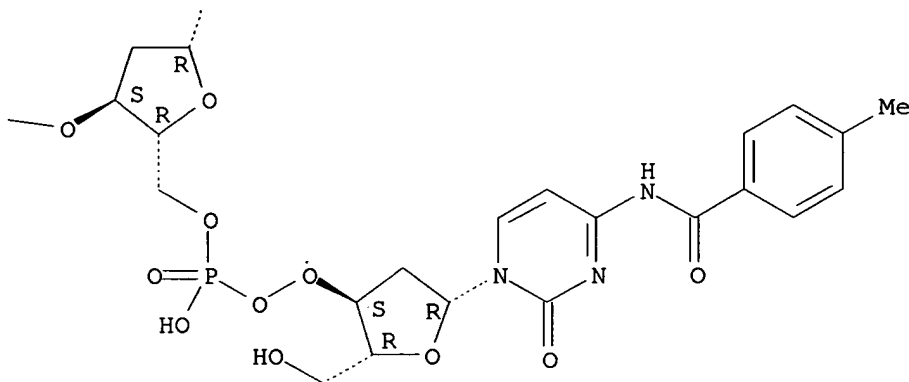
PAGE 1-B







PAGE 2-C



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:176579 CAPLUS

DOCUMENT NUMBER: 130:267701

TITLE: Synthesis of new liquid phase carriers for use in large scale oligodeoxyribonucleotide synthesis in solution

AUTHOR(S): Worl, Ralf; Koster, Hubert

CORPORATE SOURCE: Faculty of Chemistry, Department of Biochemistry and Molecular Biology, University of Hamburg, Hamburg, D-20146, Germany

SOURCE: Tetrahedron (1999), 55(10), 2941-2956

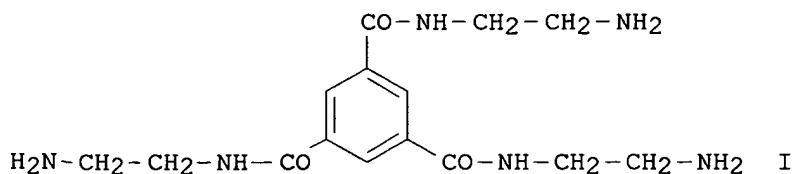
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The synthesis of multifunctional sym. primary amines, e.g. I, and the covalent binding of 5'-O-dimethoxytrityl-deoxynucleoside derivs. to their amino groups is described. Different strategies for dedimethoxytritylation including the use of strong acidic ion exchangers or protic acids and modified silica gels and/or gel permeation chromatog. are developed. The resulting liquid phase carriers are suitable for large scale oligodeoxyribonucleotide synthesis in solution using phosphoramidites and gel permeation chromatog. for fast isolation of intermediates.

IT **221898-83-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

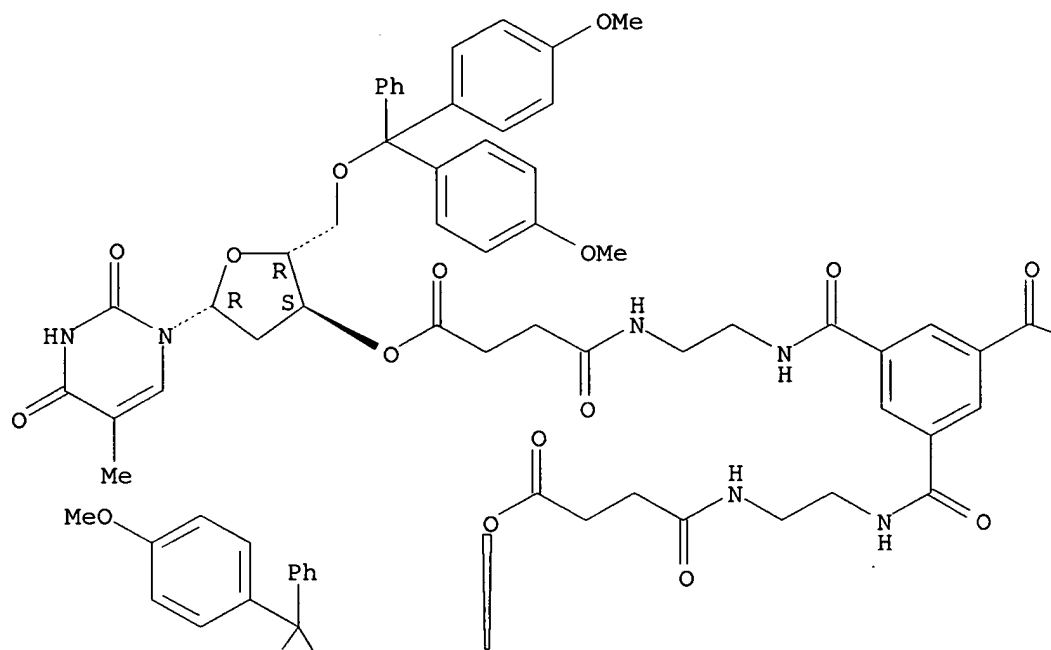
(synthesis of new liquid phase carriers for use in large scale oligodeoxyribonucleotide synthesis in solution)

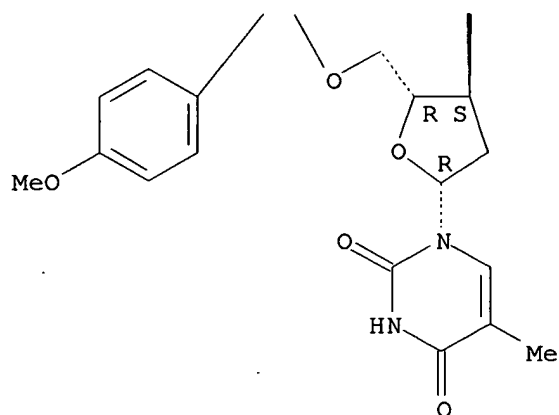
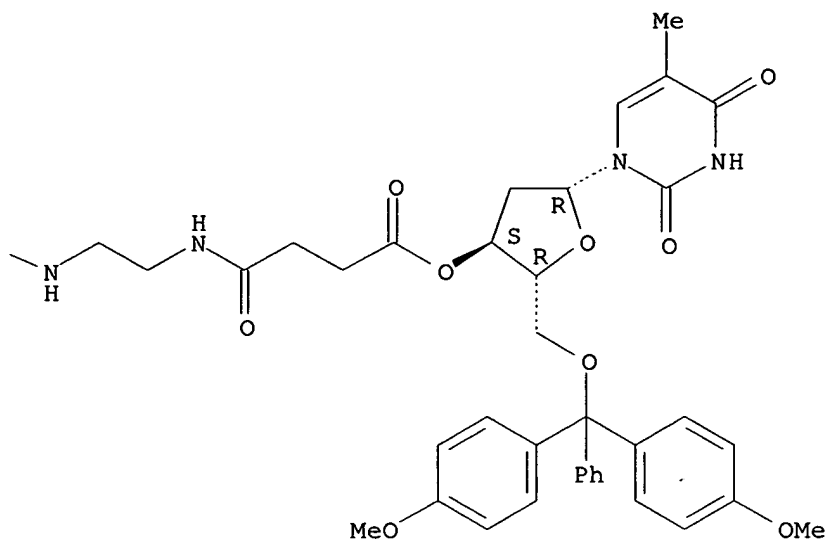
RN 221898-83-5 CAPLUS

CN Thymidine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-, 3',3''',3''''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediyylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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IT 221898-84-6P

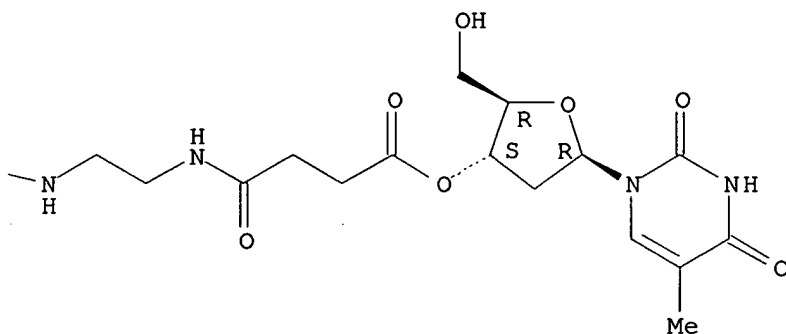
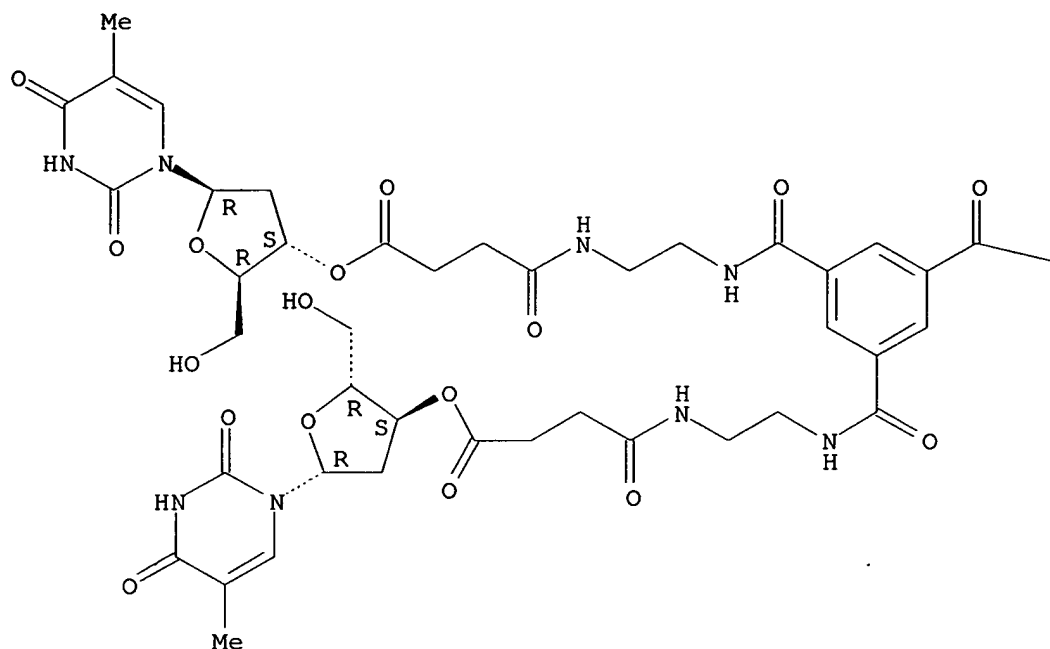
RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of new liquid phase carriers for use in large scale
oligodeoxyribonucleotide synthesis in solution)

RN 221898-84-6 CAPLUS

CN Thymidine, 3',3'',3'''-[4,4',4''-[1,3,5-benzenetriyltris(carbonylimino-
2,1-ethanediylimino)]tris[4-oxobutanoate]] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:517570 CAPLUS

DOCUMENT NUMBER: 129:235599

TITLE: Synthesis of sulfonyleurea conjugated copolymer via PEO spacer and its in vitro short-term bioactivity in insulin secretion from islets of Langerhans

AUTHOR(S): Hwang, Jun Seok; Chae, Su Young; Lee, Moon Kyu; Bae,

You Han
 CORPORATE SOURCE: Dep. Mater. Sci. Eng., Kwangju Inst. Sci. Technol.,
 Kwangju, 506-303, S. Korea
 SOURCE: Biomaterials (1998), 19(13), 1189-1195
 CODEN: BIMADU; ISSN: 0142-9612
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB In order to reduce the number of immunoprotected islets required in xeno- or allogenic transplants for reversing diabetes, analogs of glyburide (a sulfonylurea), an extremely hydrophobic insulin secretagogue, were synthesized and used in an attempt to produce water soluble sulfonylurea (SU) grafted polymers. After synthesizing various polymers containing glyburide analogs, a poly(N-vinyl-2-pyrrolidone-co-sulfonylurea succinyl PEO (Mw = 3000) acrylate) was found to be soluble in a cell culture medium at pH 7.4. However, solubility was only obtained by decreasing solution pH from 11 to 7.4. When the copolymer was added to the islet cell culture media at a concentration of 5 µg ml⁻¹ (based on the theor. SU content of the copolymer), insulin secretion was enhanced by about 30% at low glucose concns. of 50 to 100 mg dl⁻¹ compared to the control. This is equivalent to 40-60% bioactivity of glyburide. The polymer's effect on insulin secretion at a higher glucose concentration of 200 mg dL⁻¹ was not significant. Considering the previous results where a similar but insol. polymer without a PEO spacer was used and the polymer showed SU bioactivity only at a glucose concentration of 50 mg dl⁻¹, the observations from this study indicates that the solubility of SU-grafted polymers may affect the binding of SU groups to SU receptors on the pancreatic β-cells, resulting in improved pharmacodynamic effect of SU.

IT 212573-85-8P

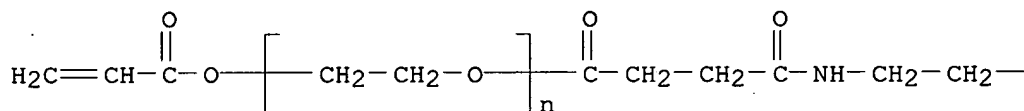
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(monomer; preparation and short-term bioactivity in insulin secretion of glyburide analog copolymer containing polyoxyethylene spacer)

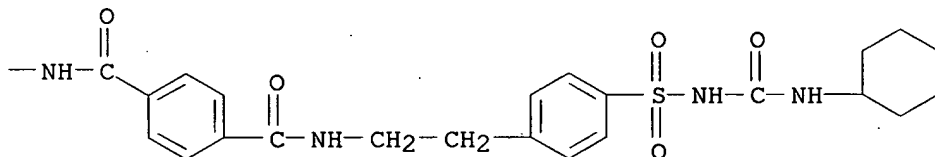
RN 212573-85-8 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α-[4-[[2-[[4-[[[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]amino]carbonyl]benzoyl]amino]ethyl]amino]-1,4-dioxobutyl]-ω-[(1-oxo-2-propenyl)oxy]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 212573-86-9P 212716-40-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and short-term bioactivity in insulin secretion of glyburide analog copolymer containing polyoxyethylene spacer)

RN 212573-86-9 CAPLUS

CN 2-Pyrrolidinone, 1-ethenyl-, polymer with α -[4-[[2-[[4-[[[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]amino]carbonyl]benzoyl]amino]ethyl]amino]-1,4-dioxobutyl]- ω -(1-oxo-2-propenyl)oxy]poly(oxy-1,2-ethanediyl), graft (9CI) (CA INDEX NAME)

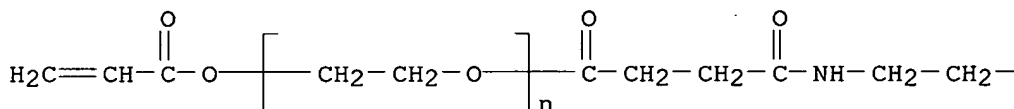
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CRN 212573-85-8

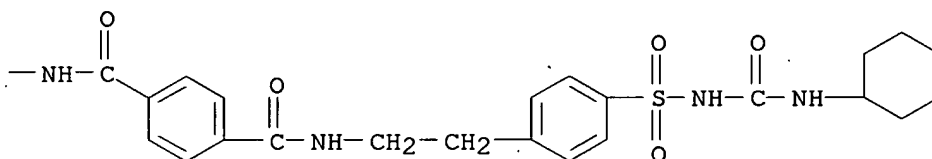
CMF (C2 H4 O)_n C32 H39 N5 O9 S

CCI PMS

PAGE 1-A



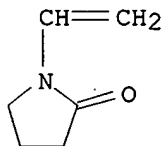
PAGE 1-B



CM 2

CRN 88-12-0

CMF C6 H9 N O



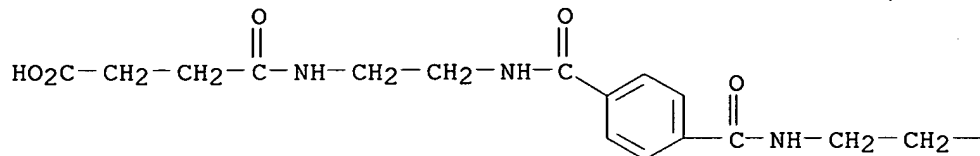
RN 212716-40-0 CAPLUS

CN 2-Pyrrolidinone, 1-ethenyl-, polymer with oxirane, 4-[[2-[[4-[[[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]amino]carbonyl]benzoyl]amino]ethyl]amino]-4-oxobutanoate, graft (9CI) (CA INDEX NAME)

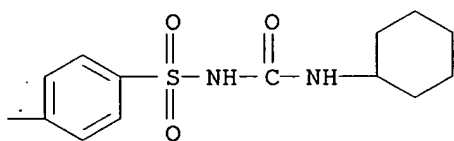
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CRN 212573-91-6
CMF C29 H37 N5 O8 S

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PAGE 1-B

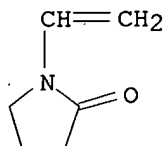


CM 2

CRN 212652-48-7
CMF (C6 H9 N O . C2 H4 O) x
CCI PMS

CM 3

CRN 88-12-0
CMF C6 H9 N O



CM 4

CRN 75-21-8
CMF C2 H4 O



REFERENCE COUNT:

24

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:195727 CAPLUS

DOCUMENT NUMBER: 126:199837

TITLE: Preparation of photoreactive peptide derivatives for photoaffinity labeling of major histocompatibility complex (MHC) molecules

INVENTOR(S): Leuscher, Immanuel; Anjuere, Fabienne; Layere, Andreas; Romero, Pedro; Cerrotini, Jean-Charles

PATENT ASSIGNEE(S): Ludwig Institute for Cancer Research, USA

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

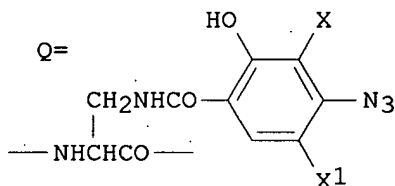
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9702282	A1	19970123	WO 1996-US10869	19960625
W: AU, CA, CN, FI, JP, NO, NZ				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5827073	A	19981027	US 1995-498461	19950705
CA 2225636	AA	19970123	CA 1996-2225636	19960625
AU 9665418	A1	19970205	AU 1996-65418	19960625
AU 700981	B2	19990114		
EP 837876	A1	19980429	EP 1996-925264	19960625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000500116	T2	20000111	JP 1997-505187	19960625
PRIORITY APPLN. INFO.:			US 1995-498461	19950705
			WO 1996-US10869	19960625

GI



AB This invention relates to a method of producing synthetic photoreactive peptide derivs., which involves (a) producing a synthetic peptide using linear synthesis, (b) substituting an amino acid of said peptide with a photoreactive amino acid at a position such that said photoreactive amino acid does not change the binding abilities of said peptide, and (c) specifically radioiodinating said photoreactive amino acid. These photoreactive peptide derivs. can be used to determine whether specific peptides are able to bind to specific MHC mols. Thus, a photoreactive derivative of the melanoma derived MAGE-1 peptide 161-169 (EADPTGHSY), i.e. H-EADPTGDap(ASA)SY(PO3H2)-OH [I; Dap(ASA) = N β -(4-azidosalicyloyl)-2,3-diaminopropionic acid residue (Q), wherein X = X1 = H], was synthesized by conventional solid phase peptide synthesis based on the Fmoc strategy

using Fmoc-Dap(ASA)-OH (wherein X = X1 = H preparation given) and Fmoc-Tyr(PO3H2)-OH and was next subjected to iodination with NaI and chloramine T and then dephosphorylated with alkaline phosphatase to give a mixture of 3-iodinated H-EADPTGDap(ASA)SY-OH (II; X = iodo, X1 = H), 5-iodinated II (X = H, X1 = iodo), and 3,5-diiodinated II (X = X1 = iodo). 125I-radiolabeled II was similarly prepared by iodination of I (X = X1 = H) with Na125I and chloramine T followed by dephosphorylation and was incubated with HLA-A1 transfected CIR cells in the presence of β 2-microglobulin and irradiated with UV using a 15 W mercury fluorescence lamp to show remarkable specificity for photoaffinity labeling of mols. HLA-A1 and lack of significant labeling of other cellular components.

IT 187603-73-2P 187603-74-3P 187603-75-4P

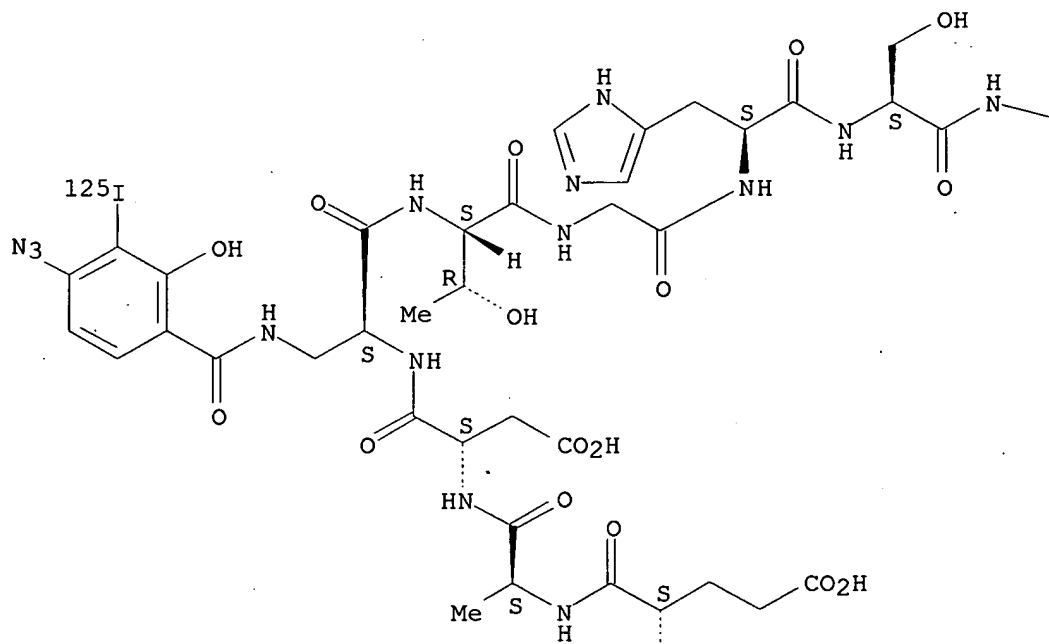
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of photoreactive peptide derivs. for photoaffinity labeling of major histocompatibility complex (MHC) mols.)

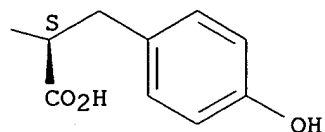
RN 187603-73-2 CAPLUS

CN L-Tyrosine, L- α -glutamyl-L-alanyl-L- α -aspartyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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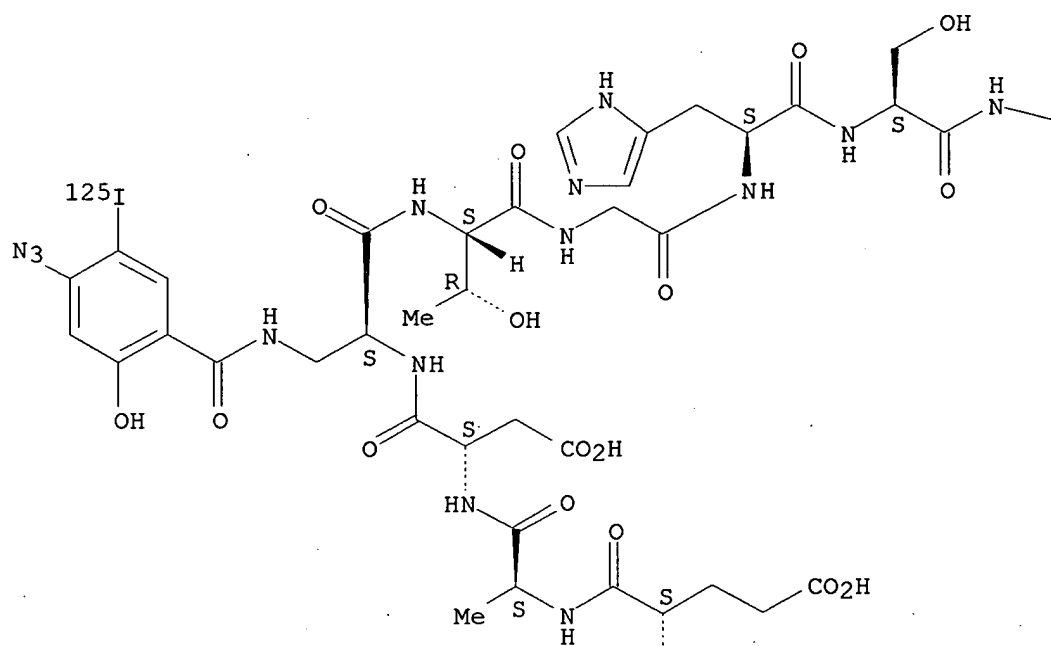


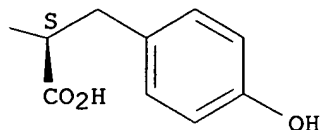
NH₂

RN 187603-74-3 CAPLUS

CN L-Tyrosine, L- α -glutamyl-L-alanyl-L- α -aspartyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

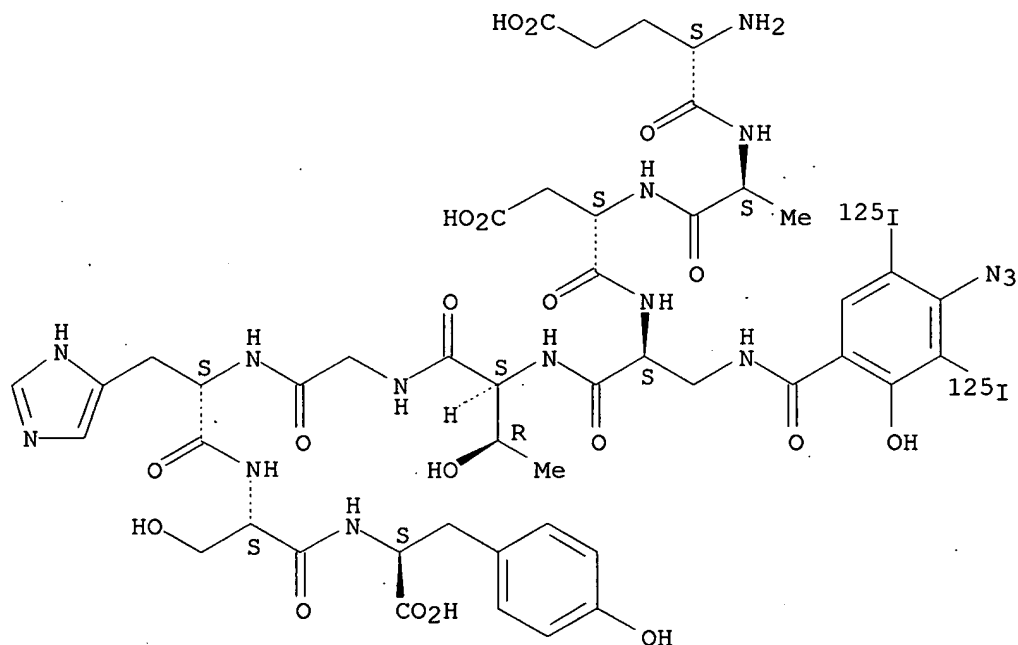




RN 187603-75-4 CAPLUS

CN L-Tyrosine, L- α -glutamyl-L-alanyl-L- α -aspartyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 187603-45-8P 187603-55-0P 187603-56-1P
187603-57-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

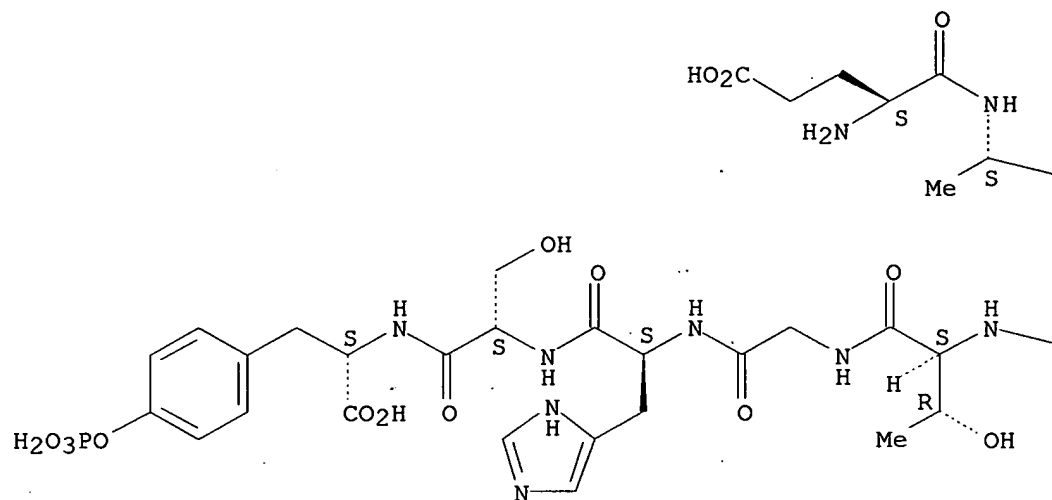
(preparation of photoreactive peptide derivs. for photoaffinity labeling of major histocompatibility complex (MHC) mols.)

RN 187603-45-8 CAPLUS

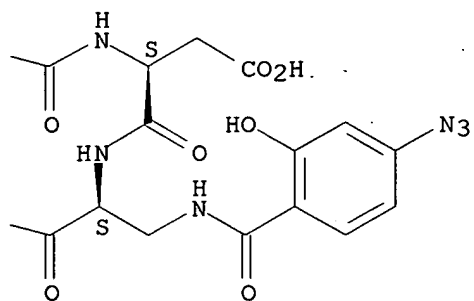
CN L-Tyrosine, L- α -glutamyl-L-alanyl-L- α -aspartyl-3-[(4-azido-2-hydroxybenzoyl)amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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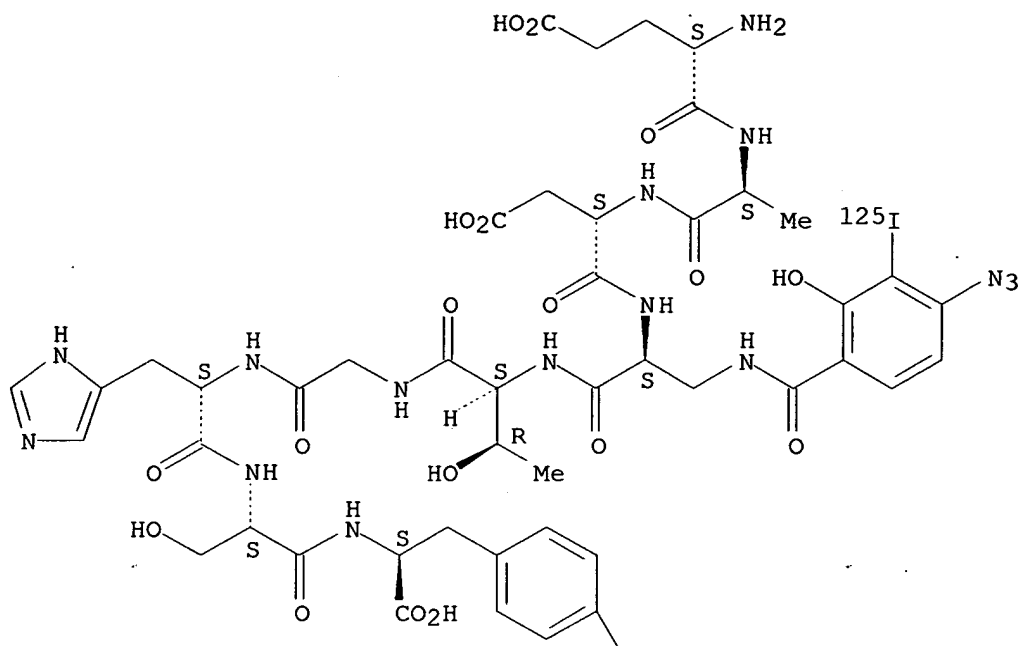


RN 187603-55-0 CAPLUS

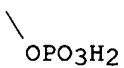
CN L-Tyrosine, L- α -glutamyl-L-alanyl-L- α -aspartyl-3-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



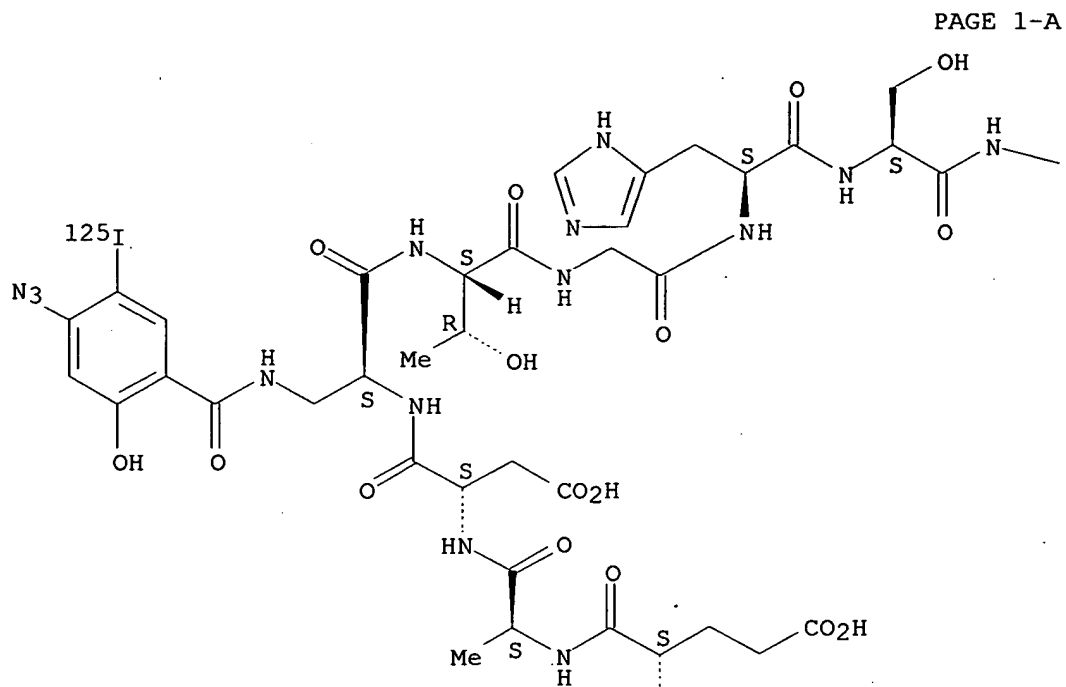
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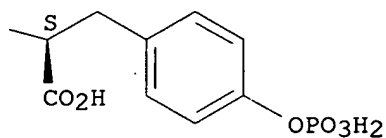
RN 187603-56-1 CAPLUS

CN L-Tyrosine, L- α -glutamyl-L-alanyl-L- α -aspartyl-3-[[4-azido-2-hydroxy-5-(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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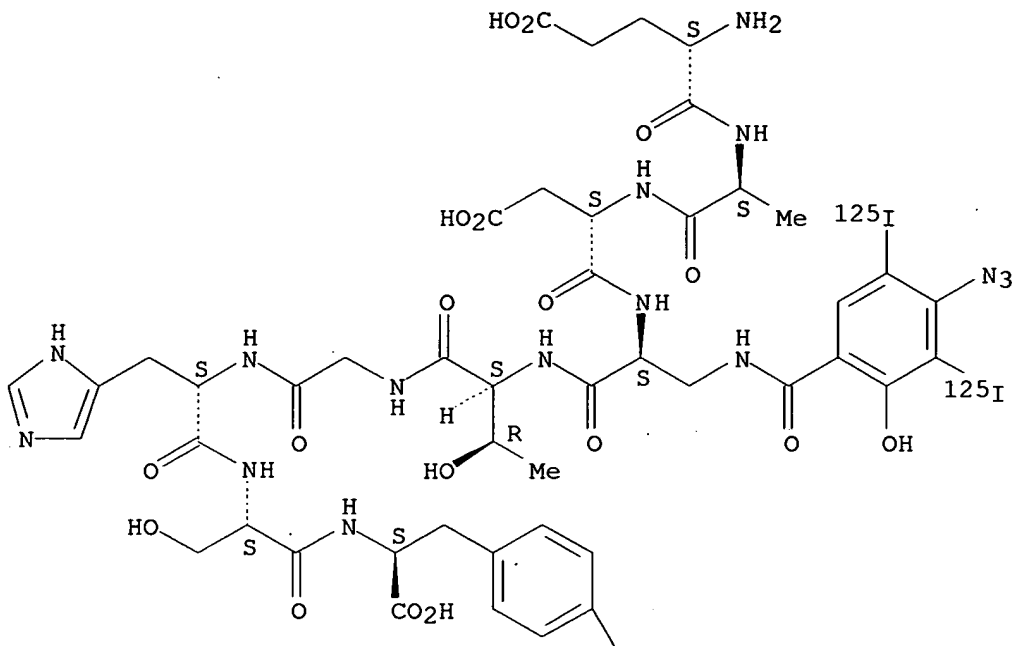


PAGE 2-A



RN 187603-57-2 CAPLUS
 CN L-Tyrosine, L- α -glutamyl-L-alanyl-L- α -aspartyl-3-[[4-azido-2-hydroxy-3,5-di(iodo-125I)benzoyl]amino]-L-alanyl-L-threonylglycyl-L-histidyl-L-seryl-, 9-(dihydrogen phosphate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OPO₃H₂

L11 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:604447 CAPLUS

DOCUMENT NUMBER: 123:170182

TITLE: Preparation of amino acid derivatives, polymers or organic compounds linking them, and metastasis, cell adhesion, or cell migration inhibitors containing them

INVENTOR(S): Nishikawa, Naoyuki; Komazawa, Hiroyuki; Okada, Hisashi; Inaba, Tadashi; Saiki, Ikuo; Azuma, Ichiro

PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07082225	A2	19950328	JP 1993-228641	19930914
PRIORITY APPLN. INFO.:			JP 1993-228641	19930914
OTHER SOURCE(S): MARPAT 123:170182				
AB R1P1COCH(CH2V)NR3COXCONR4CH(CH2W)COP2R2 (I; X = direct bond, C1-3 linear				

or branched alkylene, C4-8 cycloalkylene, C6H4, which may have substituents and unsatd, bond; V, W = CO2H, CHNH2; P1-2 = direct bond, residue of amino acids or peptides, R1-2 = OH, organic group; R3-4 = H, alkyl; configuration of the asym. C is R, S, or RS) or their pharmaceutically acceptable salts and compds., in which ≥ 2 I or their salts are covalently linked to polymers or organic compds., are claimed. Metastasis inhibitors, cell adhesion inhibitors, and cell migration inhibitors containing I, their salts, or polymers or organic compds.

to

which I or their salts are linked are also claimed. A phosphate buffer solution containing HOCOCH(CH2CO2H)NHCOCNHCH(CH2CO2H)CO2H (500 μ g/mouse) and B16-BL6 melanoma cells was i.v. injected to mice. Number of metastatic colonies to lung after 14 days was 16, vs. 101 for a untreated control and 93 for GRGDS peptide.

IT 167173-48-0

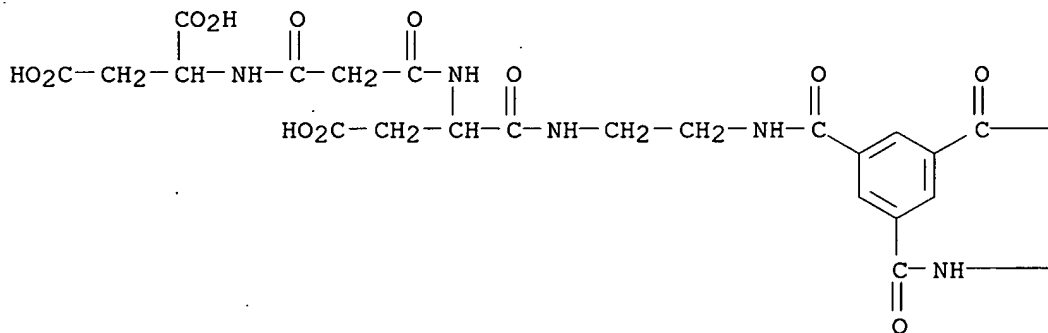
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

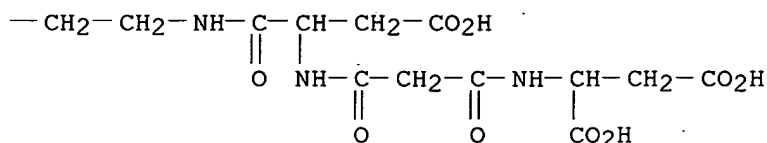
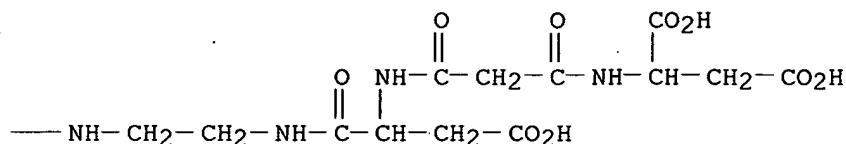
(dicarboxylic acid bisamides with amino acids or peptides as metastasis, cell adhesion, and cell migration inhibitors)

RN 167173-48-0 CAPLUS

CN L-Aspartic acid, 1,1',1''-[1,3,5-benzenetriyltris(carbonylimino-2,1-ethanediylimino[1-(carboxymethyl)-2-oxo-2,1-ethanediyl]]]tris[3-oxo- β -alanyl-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A





L11 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:158175 CAPLUS

DOCUMENT NUMBER: 120:158175

TITLE: Biological recognition layers on solid phases and their preparation

INVENTOR(S): Barner, Richard; Huber, Walter; Huebscher, Josef; Hurst, Juerg; Schlatter, Daniel

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 578148	A2	19940112	EP 1993-110595	19930702
EP 578148	A3	19940914		
EP 578148	B1	20000419		
R: BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT				
CA 2098960	AA	19940111	CA 1993-2098960	19930622
ES 2146596	T3	20000816	ES 1993-110595	19930702
JP 06174722	A2	19940624	JP 1993-170419	19930709
US 5986066	A	19991116	US 1997-878105	19970618
PRIORITY APPLN. INFO.:		CH 1992-2178	A	19920710
		US 1993-85716	B1	19930630
		US 1995-492257	B1	19950622

AB A layer of analyte-recognizing mols. is covalently immobilized on a solid phase in such a way that the analyte-recognizing regions of these mols. are oriented away from the surface of the solid phase and are not altered by the covalent binding, and the regions of these mols. which do not recognize the analyte are bound to special binding sites on the solid phase (or on an organic layer of carrier mols. coating the solid phase). The analyte-recognizing mols. are addnl. photochem. crosslinked to one another and to the orienting carrier mols. with the special binding sites. Thus, a sensor surface for immobilization of an antibody to hepatitis B surface antigen was prepared as follows. The sensor surface was silanized with octenyltrichlorosilane and treated with KMnO_4 and NaIO_4 to oxidize the

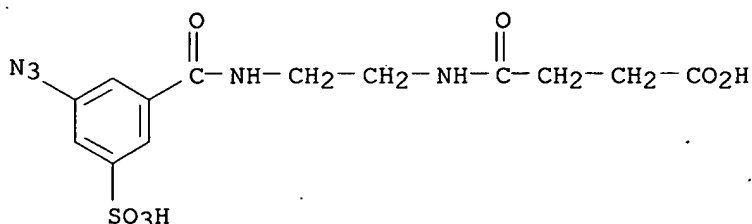
terminal double bonds to carboxy groups. The carboxy groups were activated with ClCO₂Et and esterified with N-hydroxysuccinimide for immobilization of protein A and bovine serum albumin. The surface was then treated with 6-(p- azidobenzenesulfonylamino)caproic acid N-hydroxysuccinimide ester (preparation given), followed by the antibody. Exposure to an Hg vapor lamp for 30 s resulted in crosslinking of protein A, albumin, and the Fc region of the antibody without altering the antigen-binding sites of the antibody.

IT **153312-03-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and esterification with hydroxysuccinimide)

RN 153312-03-9 CAPLUS

CN Butanoic acid, 4-[[2-[(3-azido-5-sulfobenzoyl)amino]ethyl]amino]-4-oxo-
(9CI) (CA INDEX NAME)

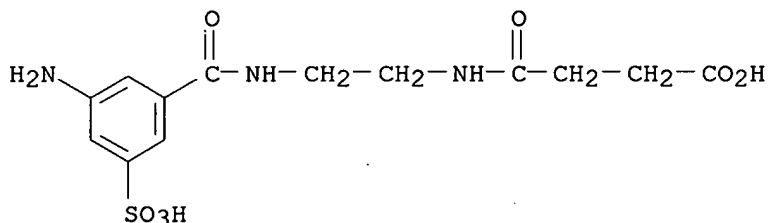


IT **153312-05-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and substitution reaction with azide)

RN 153312-05-1 CAPLUS

CN Butanoic acid, 4-[[2-[(3-amino-5-sulfobenzoyl)amino]ethyl]amino]-4-oxo-
(9CI) (CA INDEX NAME)

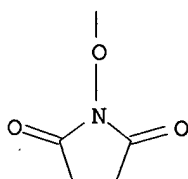
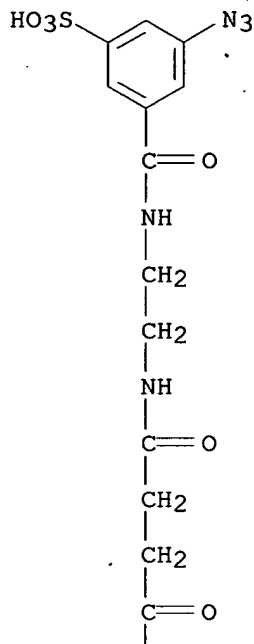


IT **153312-04-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for antibody and receptor immobilization,
ligand-recognizing site orientation away from solid phase in relation
to)

RN 153312-04-0 CAPLUS

CN Benzenesulfonic acid, 3-azido-5-[[[2-[[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-
1,4-dioxobutyl]amino]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:483431 CAPLUS
DOCUMENT NUMBER: 117:83431
TITLE: Preparation of labeled cyclosporine derivatives for
immunoassay reagents and method for determining
cyclosporine
INVENTOR(S): Morrison, Marjorie A.; Meucci, Victoria P.; Lunetta,
Steven E.; Zajac, Mariola B.; Simpson, Elizabeth A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 473961	A2	19920311	EP 1991-113328	19910808
EP 473961	A3	19930414		
EP 473961	B1	19960103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
AT 132504	E	19960115	AT 1991-113328	19910808
ES 2084069	T3	19960501	ES 1991-113328	19910808
CA 2049089	AA	19920216	CA 1991-2049089	19910813
AU 9182471	A1	19920220	AU 1991-82471	19910814
AU 642035	B2	19931007		
JP 04253999	A2	19920909	JP 1991-205233	19910815
JP 3171460	B2	20010528		
US 5489668	A	19960206	US 1993-148164	19931104
US 5750413	A	19980512	US 1995-433104	19950504

PRIORITY APPLN. INFO.:

US 1990-567842	A	19900815
US 1992-952488	B1	19920928
US 1993-148164	A3	19931104

OTHER SOURCE(S): MARPAT 117:83431

AB Cyclosporine (I) derivs. useful as detectable tracer compds. for the immunochem. determination of I are disclosed. The I derivs. (Markush included) comprise a detectable moiety coupled to the amino acid of the 1st, 2nd, 3rd, 8th, or 10th position in I. A preferred derivative comprises a fluorescent moiety coupled to the OH group of the amino acid at the 1st position of I, and is especially useful for determination of I by fluorescence polarization immunoassay. A related method and kit are also disclosed. Preparation of a variety of fluorescein derivative-labeled I derivs. is described.

A fluorescence polarization immunoassay protocol for I determination in e.g. serum

is also described; a standard curve (0-400 ng I/mL) is included.

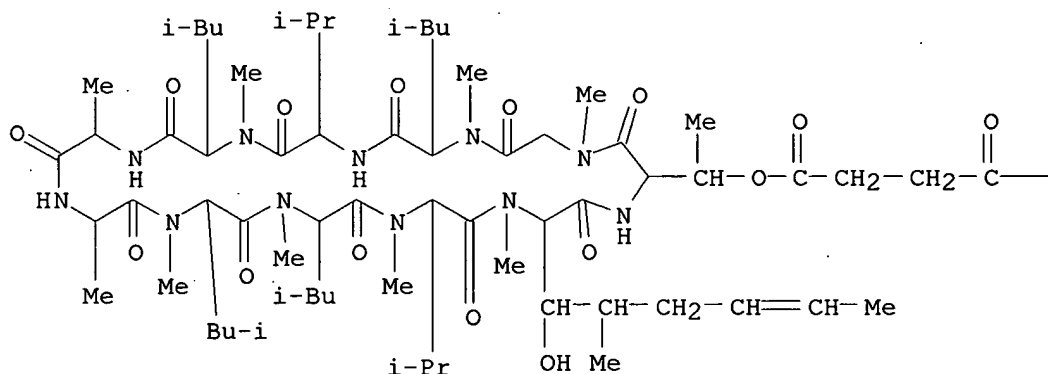
IT 141749-59-9P

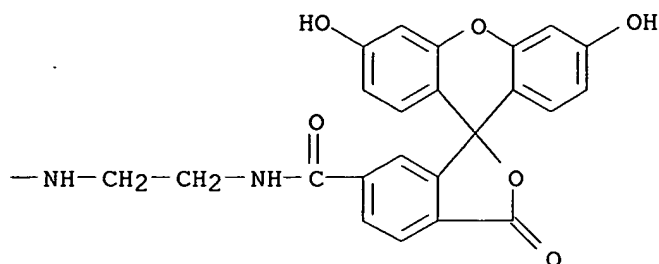
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for cyclosporine immunoassay)

RN 141749-59-9 CAPLUS

CN Cyclosporin A, 7-[O-[4-[[2-[(3',6'-dihydroxy-1-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]ethyl]amino]-1,4-dioxobutyl]-L-threonine]- (9CI) (CA INDEX NAME)

PAGE 1-A





L11 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:473639 CAPLUS

DOCUMENT NUMBER: 111:73639

TITLE: Affinity labeling of forskolin-binding proteins.
Comparison between glucose carrier and adenylate cyclase

AUTHOR(S): Pfeuffer, Elke; Pfeuffer, Thomas

CORPORATE SOURCE: Med. Sch., Univ. Wuerzburg, Wuerzburg, D-8700, Fed. Rep. Ger.

SOURCE: FEBS Letters (1989), 248(1-2), 13-17

CODEN: FEBLAL; ISSN: 0014-5793

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An [¹²⁵I]iodoazidosalicylic acid derivative of forskolin was synthesized for identification of the diterpene's binding sites on the catalytic subunit of adenylate cyclase and on glucose transport proteins. The affinity label was selectively incorporated into proteins of Mr 40,000-60,000 in membranes from human erythrocytes and from various other tissues. The iodoazidosalicylic acid derivative also specifically labeled the catalytic moiety of adenylate cyclase from rabbit myocardial membranes. However, the structural requirements of the 2 forskolin-binding sites must be different, since the affinity of the photolabel for the glucose carriers is much higher than that for the cyclase catalyst. Furthermore, the label is readily competed with by D-glucose and cytochalasin B for its binding site on the glucose carrier but not on adenylate cyclase.

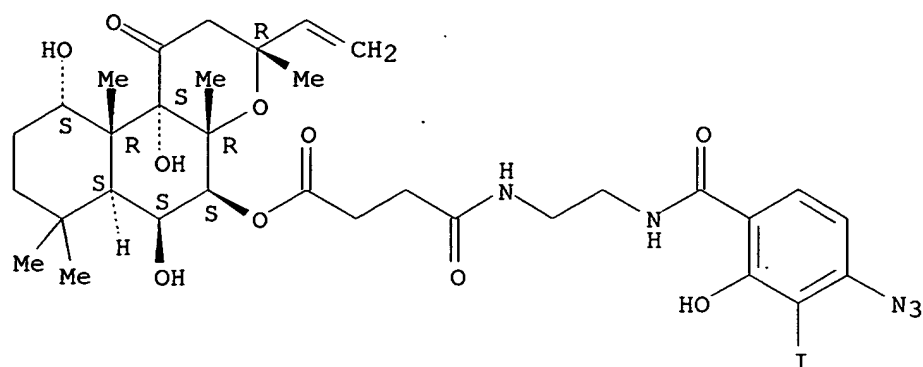
IT 121878-20-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and forskolin-binding proteins affinity labeling using)

RN 121878-20-4 CAPLUS

CN Butanoic acid, 4-[[2-[(4-azido-2-hydroxy-3-iodobenzoyl)amino]ethyl]amino]-4-oxo-, 3-ethenyldodecahydro-6,10,10b-trihydroxy-3,4a,7,7,10a-pentamethyl-1-oxo-1H-naphtho[2,1-b]pyran-5-yl ester, [3R-(3α,4aβ,5β,6.β,6aα,10α,10aβ,10bα)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



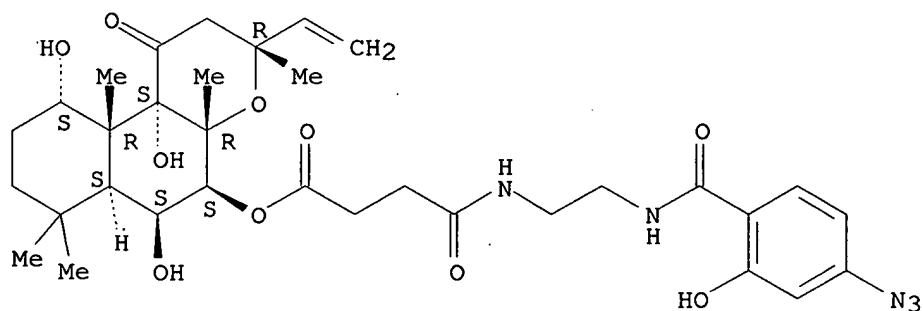
IT 121878-21-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and iodination of)

RN 121878-21-5 CAPLUS

CN Butanoic acid, 4-[[2-[(4-azido-2-hydroxybenzoyl)amino]ethyl]amino]-4-oxo-, 3-ethenyldodecahydro-6,10,10b-trihydroxy-3,4a,7,7,10a-pentamethyl-1-oxo-1H-naphtho[2,1-b]pyran-5-yl ester, [3R-(3 α ,4 α β ,5 β ,6 β ,6 α .alpha.,10 α ,10 α β ,10 β α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



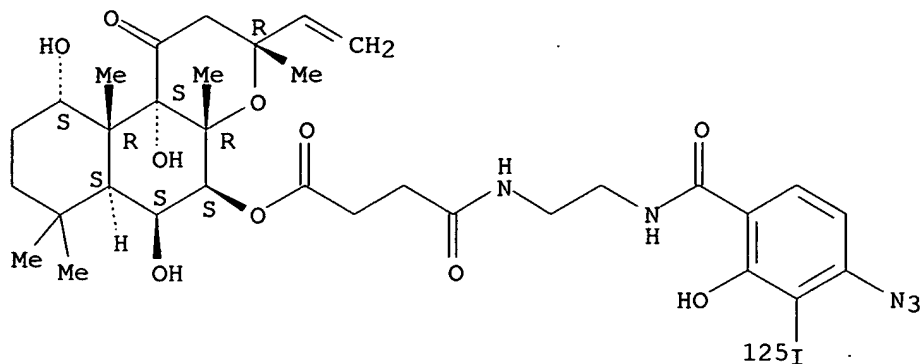
IT 121878-22-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 121878-22-6 CAPLUS

CN Butanoic acid, 4-[[2-[[4-azido-2-hydroxy-3-(iodo-125I)benzoyl]amino]ethyl]amino]-4-oxo-, 3-ethenyldodecahydro-6,10,10b-trihydroxy-3,4a,7,7,10a-pentamethyl-1-oxo-1H-naphtho[2,1-b]pyran-5-yl ester, [3R-(3 α ,4 α β ,5 β ,6 β ,6 α ,10 α ,10 α .beta.,10 β α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:95408 CAPLUS

DOCUMENT NUMBER: 90:95408

TITLE: Photographic dye image formation

INVENTOR(S): Kikuchi, Shoji; Wada, Hajime; Endo, Takaya; Deguchi, Hidetaka; Komaita, Toshiki; Ishikawa, Wataru

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 27 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53105226	A2	19780913	JP 1977-8369	19770128
JP 60039217	B4	19850905		

PRIORITY APPLN. INFO.: JP 1977-8369 19770128

AB Photog. dye images are formed by using 2-equivalent couplers of the general formula ROC(R1R2COZnZ1M) (R = coupler residue; R1, R2 = H, halogen, monovalent moiety; Z = divalent organic moiety; n = 1, 0; M = H, alkali metal, NH_4 , alkaline earth metal; Z1 = O when n = 0, Z1 = CO_2 when n = 1) and aromatic primary amine developers. Thus, 1-hydroxy-4-(α -carboxyethoxy)-N-[8-(2,4-di-tert-amylphenoxy)butyl]-2-naphthamide 10 g was dissolved in a di-Bu phthalate-EtOAc mixture, dispersed in a gelatin solution, and the dispersion was added to a Ag(Br,I) emulsion (6 mol% AgI) 500 g. The emulsion was coated on a film support, sensitometrically exposed, and developed with a developer containing N-ethyl-N- β -methanesulfonamidoethyl-3-methyl-4-aminoaniline- H_2SO_4 salt to give a relative sensitivity, γ , D_{max} , and λ_{max} of 146, 1.27, 2.43, and 695 nm, resp., vs. 100, 1.05, 2.13, and 695 nm, resp., for a control with 1-hydroxy-4-chloro-N-[8-(2,4-di-tert-amylphenoxy)butyl]-2-naphthamide.

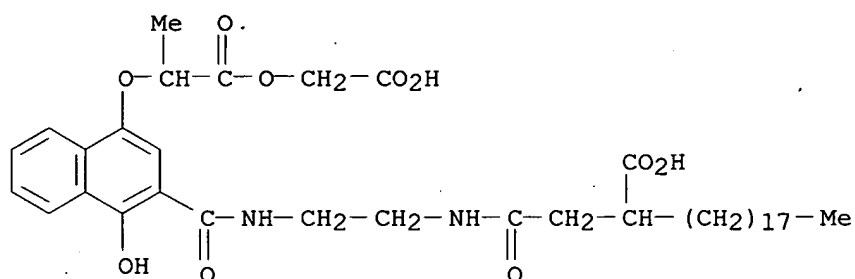
IT 69273-04-7P 69273-08-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 69273-04-7 CAPLUS

CN Eicosanoic acid, 2-[2-[[2-[[[4-[2-[(carboxymethyl)amino]-1-(hydroxymethyl)-2-oxoethoxy]-1-hydroxy-2-naphthalenyl]carbonyl]amino]ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

CN Eicosanoic acid, 2-[2-[[2-[[[4-[2-(carboxymethoxy)-1-methyl-2-oxoethoxy]-1-hydroxy-2-naphthalenyl]carbonyl]amino]ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53052423	A2	19780512	JP 1976-127426	19761023
JP 56006539	B4	19810212		
US 4134766	A	19790116	US 1977-843704	19771019
GB 1580081	A	19801126	GB 1977-43806	19771020
DE 2747435	A1	19780907	DE 1977-2747435	19771021
CA 1102166	A1	19810602	CA 1977-289202	19771021
AU 502593	B2	19790802	AU 1977-29960	19771024
AU 7729960	A1	19790503		

PRIORITY APPLN. INFO.:

JP 1976-127426

19761023

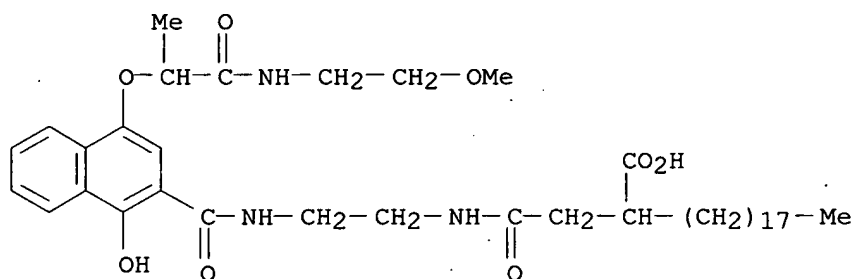
AB Imagewise exposed Ag halide color photog. materials are developed with an aromatic primary amine-type developing agent in the presence of color couplers of the general formula $\text{ROCR}_1\text{R}_2\text{COZ}(\text{Z1O})_n(\text{Z2O})_m(\text{Z3O})_p\text{R}_3$ (R = yellow, cyan, or magenta coupler residue; $\text{R}_1, \text{R}_2 = \text{H}$, halogen, or other monovalent moiety; $\text{R}_3 = \text{H}$, alkyl, aryl, aralkyl; Z = O, NH, N-substituted imino, divalent organic moiety; Z1, Z2, Z3 = alkylene, arylene, aralkylene; n, m, p = 0 or pos. integer, and n, m, p can not be 0 simultaneously). The above 2-equivalent color couplers exhibit excellent coupling reaction speed and do not cause color fog or stain. Thus, 1-hydroxy-4-(β -methoxyethoxycarbonylmethoxy)-N-[δ -(2,4-di-tert-amylphenoxy)butyl]-2-naphthamide 10 g was dissolved in a di-Bu phthalate-EtOAc mixture, the solution was dispersed in a gelatin solution in the presence of Alkanol B, and the dispersion was added to 500 g of a high-sensitivity neg. Ag(Br,I) emulsion (AgI 60 mol%). The emulsion was coated on a cellulose triacetate film support, sensitometrically exposed, and developed to give a relative sensitivity, γ -value, D_{max} , and λ_{max} of 155, 1.40, 2.40, and 695 nm, resp., vs. 100, 1.07, 2.10, and 695 nm, resp., for a control with 1-hydroxy-4-chloro-N-[δ -(2,4-di-tert-amylphenoxy)butyl]-2-naphthamide as the coupler.

IT 69019-47-2P 69203-32-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 69019-47-2 CAPLUS

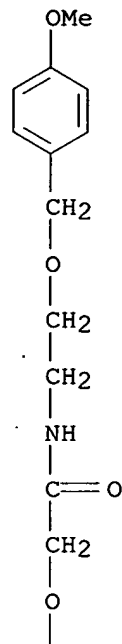
CN Eicosanoic acid, 2-[2-[[2-[[[1-hydroxy-4-[2-[(2-methoxyethyl)amino]-1-methyl-2-oxoethoxy]-2-naphthalenyl]carbonyl]amino]ethyl]amino]-2-oxoethyl]-
(9CI) (CA INDEX NAME)



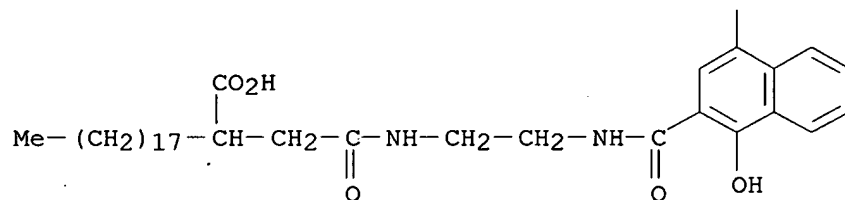
RN 69203-32-3 CAPLUS

CN Eicosanoic acid, 2-[2-[[2-[[[1-hydroxy-4-[2-[[2-[(4-methoxyphenyl)methoxy]ethyl]amino]-2-oxoethoxy]-2-naphthalenyl]carbonyl]amino]ethyl]amino]-2-oxoethyl]-
(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L11 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:14608 CAPLUS

DOCUMENT NUMBER: 90:14608

TITLE: X-ray-sensitive material containing coupler capable of providing black image

AUTHOR(S): Anon.

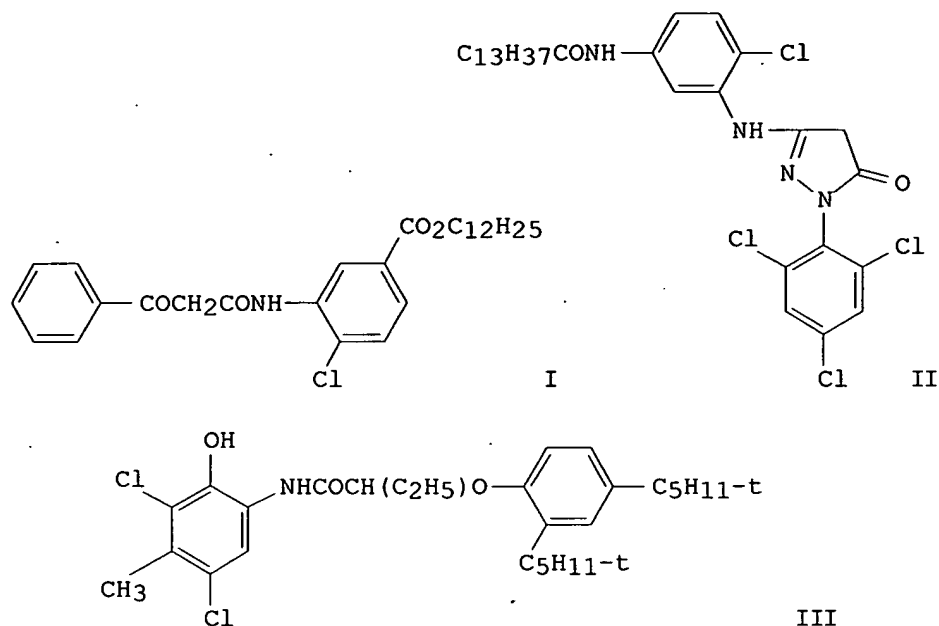
CORPORATE SOURCE: UK

SOURCE: Research Disclosure (1978), 171, 37-8
CODEN: RSDSBB; ISSN: 0374-4353

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Ag-saving type x-ray-sensitive photog. materials providing black images instead of the conventional less desirable blue images contain a mixture of a cyan coupler, a magenta coupler, and a yellow coupler of substantially similar coupling speed, and can be processed in conventional color x-ray developers. Thus, Na dichlorohydroxytriazine 0.52 and 4-hydroxy-6-methyl-1,3,3a,7-tetraazaindene 0.06 g were added to 100 g of a 11.5% aqueous gelatin solution containing Ag halide 0.085 mol. The above emulsion was mixed with a dispersion containing gelatin 10.5, I (yellow coupler) 4.25, II (magenta coupler) 3.84, and III (cyan coupler) 3.16 g, coated on a poly(ethylene terephthalate) support at 2.3 g Ag/m², overcoated with a 0.0015 mm gelatin layer, dried, exposed to light through an optical wedge, developed in a N-hydroxyethyl-N-ethyl-p-phenylenediamine-containing developer, and fixed to give a black image.

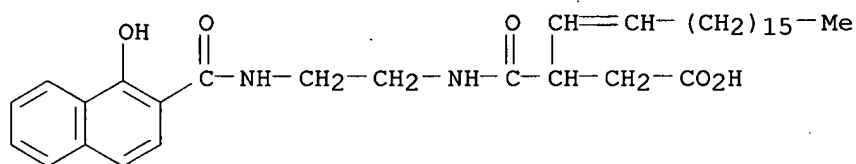
IT 7651-25-4

RL: USES (Uses)

(photog. coupler combinations containing, for black image formation in x-ray films)

RN 7651-25-4 CAPLUS

CN 4-Heneicosenoic acid, 3-[[[2-[[[1-hydroxy-2-naphthalenyl)carbonyl]amino]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



DOCUMENT NUMBER: 85:28825

AUTHOR(S): Galardy, Richard E.; Jamieson, James D.

SOURCE: Gastrointest. Horm., Symp. (1975), Meeting Date 1974,

CODEN: 32RYAA

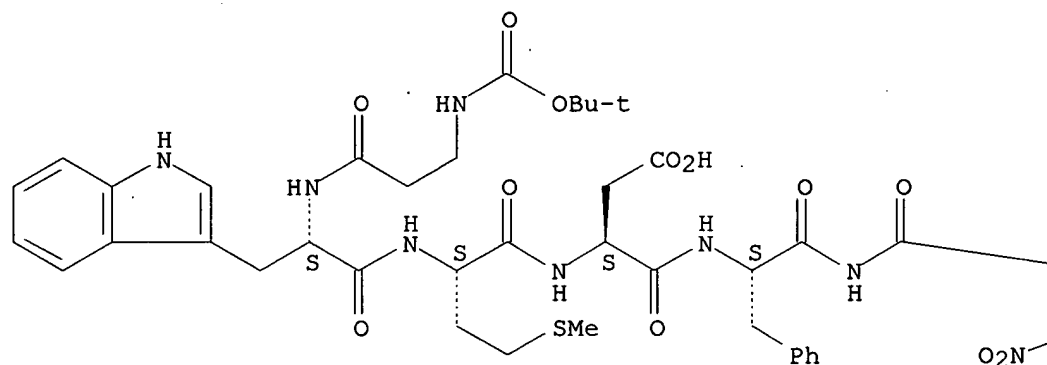
LANGUAGE: English

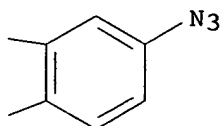
IT 53053-06-8

(protein secretion stimulation by, in pancreas acinar cells, pancreozymin in relation to)

CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]- β -alanyl-L-tryptophyl-L-methionyl-L- α -aspartyl-N-(5-azido-2-nitrobenzoyl)-(9CI) (CA INDEX NAME)

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L11 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:143036 CAPLUS

DOCUMENT NUMBER: 84:143036

TITLE: Cyanide images

INVENTOR(S): Deguchi, Hidetaka; Wada, Hajime; Endo, Takaya;
Kikuchi, Shoji; Hori, Haruo

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan

SOURCE: Ger. Offen., 65 pp.

CODEN: GWXXBX

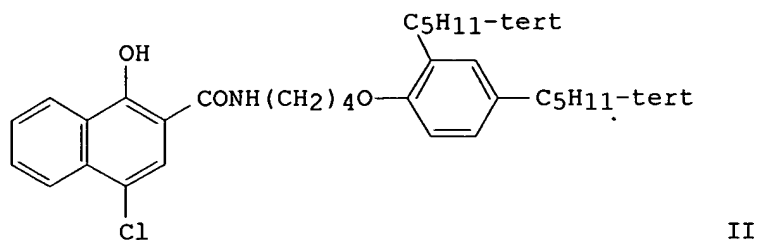
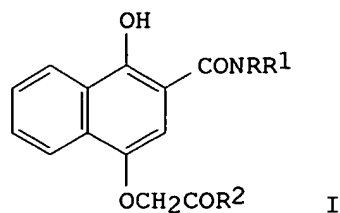
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2504844	A1	19750814	DE 1975-2504844	19750206
DE 2504844	C2	19820408		
JP 50117422	A2	19750913	JP 1974-16057	19740208
JP 54037822	B4	19791117		
US 4052212	A	19771004	US 1975-547405	19750205
GB 1501122	A	19780215	GB 1975-4936	19750205
PRIORITY APPLN. INFO.:			JP 1974-16057	19740208
GI				



AB 1-Hydroxy-2-naphthamides with an -OCH₂CO divalent linkage in the 4-position (I; R = H, Et; R₁ = γ -(2,4-di-tert-amylphenoxy)butyl, dodecyl, γ -(3-dodecyloxyphenoxy)butyl, β -(lauroylamidophenyl)ethyl, potassiosulfoethyl, 3,5-dicarboxyphenyl, 2-tetradecyloxyphenyl; R₂ = Ph, PhNH, p-NO₂C₆H₄O, 4-(1-hydroxy-3,6-disodiosulfo-2-naphthylazo)phenoxy, PhCH₂NH, EtO, EtNH, PhEtN, C₁₂H₂₅NH, p-NH₂C₆H₄Nh) are described for use as 2-equivalent cyan couplers in photog. emulsions. These compds. have a high color image formation speed, only a slight tendency to form fog or color fog, a high dispersibility and stability, the ability to give cyan images that are heat-, light-, and moisture-resistant, excellent absorption characteristics, and do not inhibit development. Thus, I (R = H; R₁ = γ -(2,4-di-tert-amylphenoxy)butyl; R₂ = PhNH) 10 g in a solution of di-Bu phthalate 20 and EtOAc 60 ml was added along with 10% aqueous Alkanol B 5 ml to 5% aqueous

gelatin

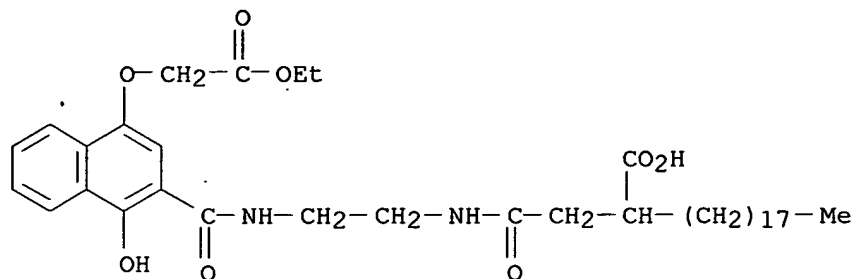
20 ml and this mixture colloid milled to give a dispersion. This dispersion was then added to 500 g of a neg. gelatin-Ag(Br, I) (6 mole % AgI) emulsion and the emulsion then coated on a cellulose acetate support, dried, exposed through an optical wedge, and color developed, bleached, and fixed to give a cyan image with a sensitivity, γ , D_{max}, λ_{max} , light resistance, and heat-moisture resistance of 130, 1.15, 2.22, 700 m μ , 90%, and 74% vs. 100, 1.00, 1.95, 700 m μ , 90%, and 68% for a control containing II.

IT 58852-78-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 58852-78-1 CAPLUS

CN Eicosanoic acid, 2-[2-[[2-[[[4-(2-ethoxy-2-oxoethoxy)-1-hydroxy-2-naphthalenyl]carbonyl]amino]ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1975:132132 CAPLUS

DOCUMENT NUMBER: 82:132132

TITLE: Photographic two-equivalent cyan couplers

INVENTOR(S): Wada, Jahime; Kimura, Kazuhiko; Hori, Haruo; Kikuchi, Shoji; Endo, Takaya

PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd.

SOURCE: Ger. Offen., 62 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2424946	A1	19741219	DE 1974-2424946	19740522
DE 2424946	C2	19830217		
JP 50010135	A2	19750201	JP 1973-57829	19730525
JP 53034733	B4	19780922		
GB 1466728	A	19770309	GB 1974-22825	19740522
BR 7404267	A	19760203	BR 1974-4267	19740524
FR 2325082	A1	19770415	FR 1974-18082	19740524
CH 600386	A	19780615	CH 1974-7135	19740524
US 4138264	A	19790206	US 1978-872387	19780126
PRIORITY APPLN. INFO.:			JP 1973-57829	19730525
			US 1974-472477	19740522
			US 1976-656346	19760209

GI For diagram(s), see printed CA Issue.

AB The (carbamoyloxy)naphthamides I (e.g. R = H or alkyl; R1 = R3, C12H25, or 4,5-(HO2C)2C6H3; R2 = R4, Et, Ph, PhH2, or p-ClC6H4) were used as highly dispersible photog. two-equivalent couplers of high dye formation rate, low fog formation, and leading to light- and humidity-resistant images. Thus, a Ag(Br,I) emulsion containing 10 g I (R = H, R1 = R3, R2 = Ph)/500 g had relative sensitivity 163, γ 1.83, Dmax. 2.44, and gave images of light resistance (exposure to Xe light for 16 hr) 92% and humidity resistance (storage at 80% relative humidity for 2 weeks) 73% vs. 100, 1.60, 2.28, 90%, and 65% for an emulsion containing a coupler with Cl instead of the PhNHCO2 group in the 4 position.

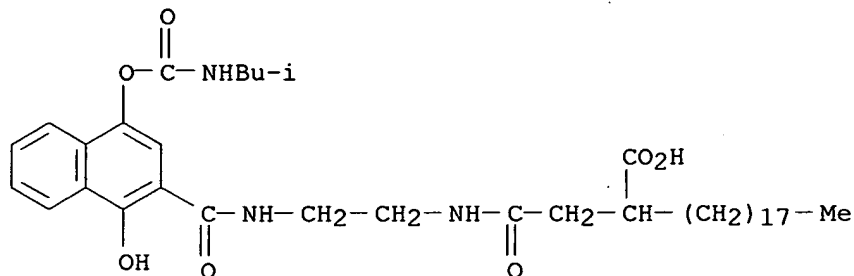
IT 54978-71-1

RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)

RN 54978-71-1 CAPLUS

CN Eicosanoic acid, 2-[2-[[2-[[[1-hydroxy-4-[[[2-

methylpropyl)amino]carbonyl]oxy]-2-naphthalenyl]carbonyl]amino]ethyl]amino
]-2-oxoethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1974:532544 CAPLUS

DOCUMENT NUMBER: 81:132544

TITLE: Photoaffinity labeling of peptide hormone binding sites

AUTHOR(S): Galardy, Richard E.; Craig, Lyman C.; Jamieson, James D.; Printz, Morton P.

CORPORATE SOURCE: Rockefeller Univ., New York, NY, USA

SOURCE: Journal of Biological Chemistry (1974), 249(11), 3510-18

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The known binding of a derivative of the COOH-terminal tetrapeptide of gastrin with high biol. activity to bovine serum albumin suggests a convenient model system for testing the affinity labeling of hormone binding sites. Photolysis of 4-azidobenzoylpentagastrin, 2-nitro-5-azidobenzoylpentagastrin, 4-acetylbenzoylpentagastrin, and 4-benzoylpentagastrin in the presence of bovine serum albumin attaches each peptide derivative covalently to the protein to the extent of 50 mole % using a 3-fold excess of peptide and moderate photolysis times. Under similar conditions using lysozyme for the protein, only 8 mole % peptide is bound. Oleic acid was found to compete for the binding sites on serum albumin. When serum albumin labeled with 4-azidobenzoylpentagastrin was split with cyanogen bromide most of the label was found on 2 of the peptides located in the middle of the albumin chain. A degree of specificity is thus indicated. These results suggest that the peptide derivs. should be capable of labeling their binding sites on tissue that is stimulated by the hormones gastrin and pancreozymin. In addition, the model photoreactions of acetophenone and benzophenone with glycine were investigated and the photoaddn. products isolated. The results of these model reactions and the successful labeling of albumin with ketone derivs. of pentagastrin suggest that ketones may be useful photoaffinity probes.

IT 53053-05-7 53053-06-8 53053-07-9

53159-85-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(photolysis of, bovine serum albumin binding in relation to)

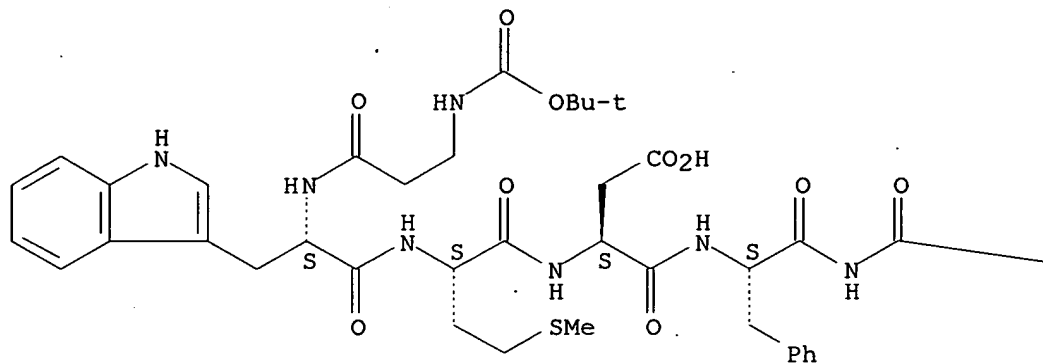
RN 53053-05-7 CAPLUS

CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-β-alanyl-L-

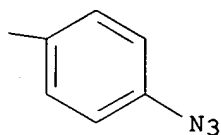
tryptophyl-L-methionyl-L- α -aspartyl-N-(4-azidobenzoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



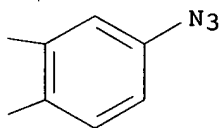
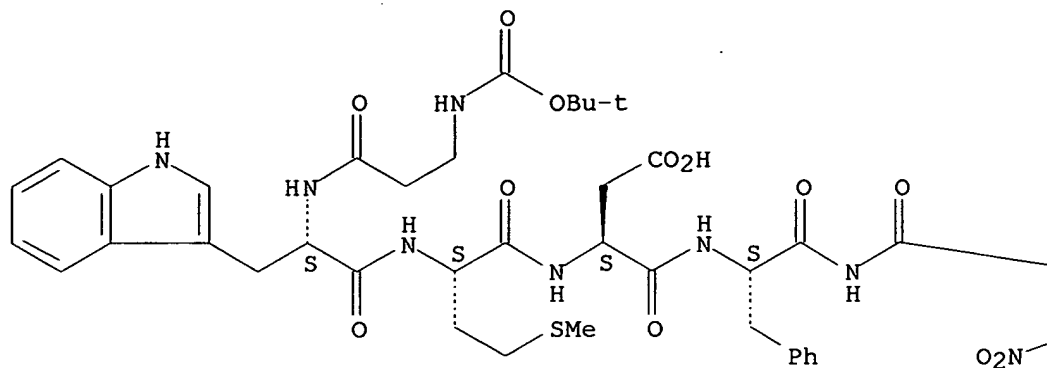
PAGE 1-B



RN 53053-06-8 CAPLUS

CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]- β -alanyl-L-tryptophyl-L-methionyl-L- α -aspartyl-N-(5-azido-2-nitrobenzoyl)- (9CI) (CA INDEX NAME)

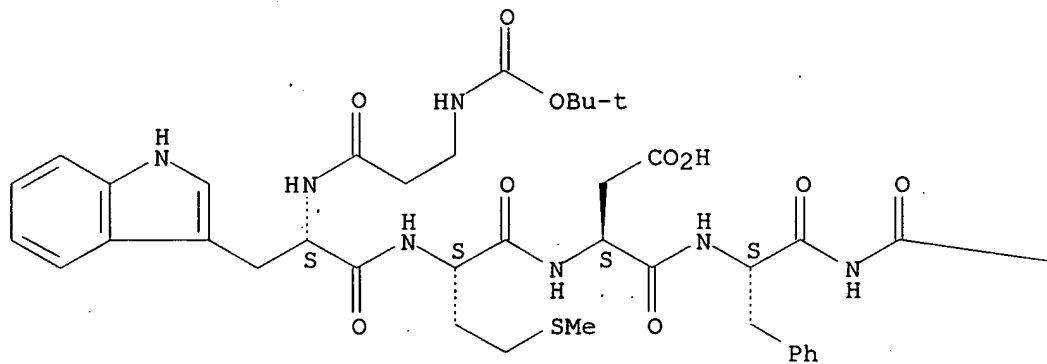
Absolute stereochemistry.



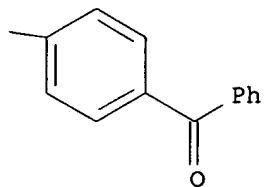
RN 53053-07-9 CAPLUS

CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-β-alanyl-L-tryptophyl-L-methionyl-L-α-aspartyl-N-(4-benzoylbenzoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



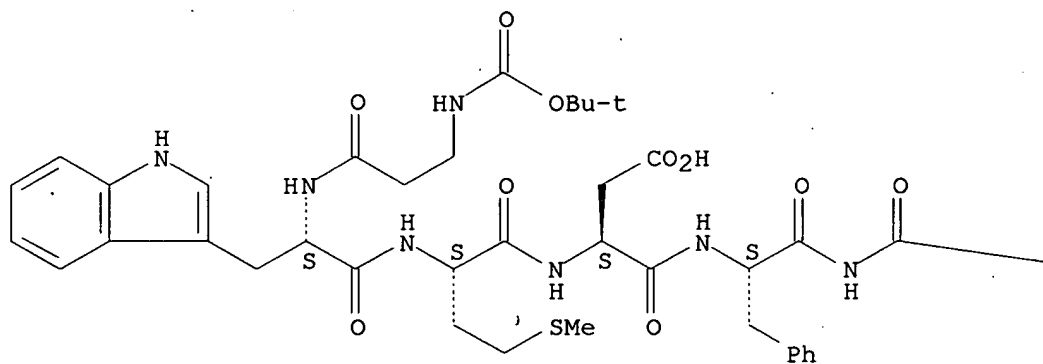
PAGE 1-B

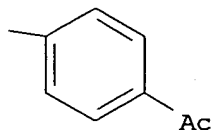


RN 53159-85-6 CAPLUS
 CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]- β -alanyl-L-tryptophyl-L-methionyl-L- α -aspartyl-N-(4-acetylbenzoyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





L11 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:466771 CAPLUS

DOCUMENT NUMBER: 79:66771

TITLE: Synthesis of alanosine

AUTHOR(S): Isowa, Yoshikazu; Kurita, Hideaki; Ohmori, Muneki;
Sato, Masanari; Mori, Kaoru

CORPORATE SOURCE: Sagami Chem. Res. Cent., Sagamihara, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1973),
46(6), 1847-50

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB DL-2-Amino-3-(N-tosyl-N-benzyloxyamino)propionic acid (I) was prepared from
Et 2,3-dibromopropionate and N-tosyl-O-benzylhydroxylamine.

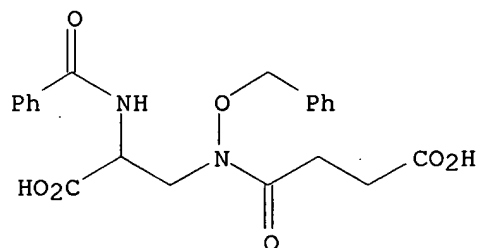
L-2-Benzoylamino-3-(N-benzoyl-N-hydroxyamino)propionic acid anilide,
obtained via the enzymic resolution of DL-2-benzoylamino-3-
benzyloxyaminopropionic acid, was converted by acid hydrolysis to
L-2-amino-3-hydroxyaminopropionic acid, which was nitrosated to give
alanosine (II).

IT **42492-78-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 42492-78-4 CAPLUS

CN Butanoic acid, 4-[[2-(benzoylamino)-2-carboxyethyl] (phenylmethoxy) amino]-4-
oxo- (9CI) (CA INDEX NAME)

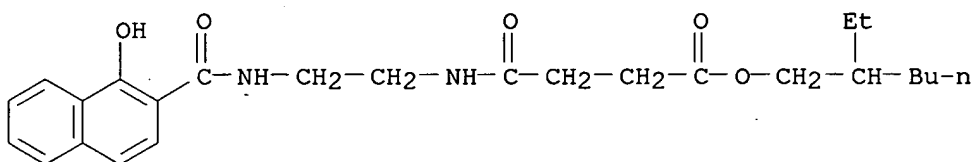


L11 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1970:478563 CAPLUS
 DOCUMENT NUMBER: 73:78563
 TITLE: Photographic color couplers
 INVENTOR(S): Iwama, Masakuni; Inoue, Isaburo; Hanzawa, Teruo;
 Sakamoto, Kenro; Endo, Takaya
 PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd.
 SOURCE: Ger. Offen., 32 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1940719	A	19700226	DE 1969-1940719	19690809
US 3658544	A	19720425	US 1969-848069	19690806
GB 1268852	A	19720329	GB 1969-1268852	19690811
PRIORITY APPLN. INFO.:			JP 1968-56727	19680812

GI For diagram(s), see printed CA Issue.
 AB The title compds. containing a $\text{NHCOCHRCH}_2\text{CO}_2\text{R}_1$ or $\text{NHCOCH}_2\text{CHRCO}_2\text{R}_1$ group are low melting, very soluble in $\text{o-C}_6\text{H}_4(\text{CO}_2\text{Bu})_2$ and $(\text{MeC}_6\text{H}_4\text{O})_3\text{PO}$ so that concentrated stable coupler dispersions can be prepared in min. amts. of solvents, and show no tendency to crystallize in the emulsion. Thus, succinic anhydride was heated with $\text{n-C}_{12}\text{H}_{25}\text{OH}$ to give $\text{XCOCH}_2\text{CH}_2\text{CO}_2\text{C}_{11}\text{H}_{25}$ (I, X = OH) which with SOCl_2 gave I (X = Cl) (II). $2\text{-MeOC}_6\text{H}_4\text{NHCOCH}_2\text{COC}_6\text{H}_4\text{NH}_2\text{-3}$ was acylated with II to give 74% III, m. $103\text{-}4^\circ$ ($\text{C}_{6}\text{H}_6\text{-C}_6\text{H}_{14}$). Similarly 16 other couplers were prepared (R = H, Me, or Bu, $\text{R}_1 = \text{C}_{12}\text{H}_{25}$, $\text{C}_{18}\text{H}_{35}$, or CH_2CHBuEt) from amine derivs. of pyrazolinone, naphthol, or β -dicarbonyl couplers.
 IT **29228-56-6P**
 RL: IMF (Industrial manufacture); PREP (Preparation) (preparation of)
 RN 29228-56-6 CAPLUS
 CN Succinamic acid, N-[2-(1-hydroxy-2-naphthamido)ethyl]-, 2-ethylhexyl ester (8CI) (CA INDEX NAME)



L11 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1970:43286 CAPLUS
 DOCUMENT NUMBER: 72:43286
 TITLE: Naphthamide coupling agent in silver halide photosensible material for color photography
 PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd.
 SOURCE: Fr., 6 pp.
 CODEN: FRXXAK

DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1558147		19690221		

PRIORITY APPLN. INFO.: JP 19670322

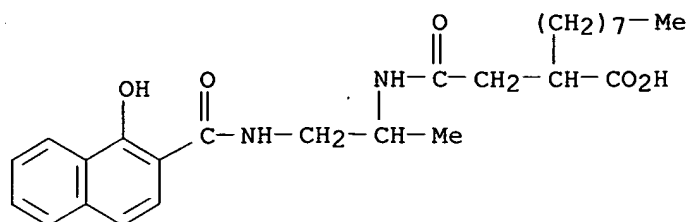
GI For diagram(s), see printed CA Issue.

AB New cyan dye coupling agents with general formula (I) are prepared and the preparation of a silver halide photosensitive emulsion containing I for color photography is described. I are prepared as follows: A mixture of 53 g phenyl 1-hydroxy-2-naphthoate (II), 45 g 1,3-propanediamine (III), and 200 cm³ MeCN is stirred 2 hr to give N-(3-aminopropyl)-1-hydroxy-2-naphthamide (IV), m. 183-4° (BuOH); a mixture of 12 g IV, 18 g n-octadecylsuccinic anhydride (V), and 60 cm³ AcOH is heated 15 min at 70-80° and cooled to give I [X = H, Y = (CH₂)₃, R = C₁₈H₃₇] (VI), m. 115-16°. In a similar way phenyl 1-hydroxy-4-chloro-2-naphthoate (VII), III, and n-dodecylsuccinic anhydride give N-(3-aminopropyl)-1-hydroxy-4-chloro-2-naphthamide, m. 190°, and I [X = Cl, Y = (CH₂)₃, R = C₁₂H₂₅]; II, 1,2-propanediamine (VIII), and n-octylsuccinic anhydride give N-(2-methyl-2-aminoethyl)-1-hydroxy-2-naphthamide (IX), m. 231°, and I (X = H, Y = CH(Me)CH₂, R = C₈H₁₇), m. 180-1°; VII, VIII, and n-hexadecylsuccinic anhydride give N-(2-methyl-2-aminoethyl)-1-hydroxy-4-chloro-2-naphthamide, m. 227-8°, and I (X = Cl, Y = CH(Me)CH₂, R = C₁₆H₃₃). A mixture of 59 g VI and 240 cc. AcOH is refluxed 3 hr and cooled to give N-[3-(n-octadecylsuccinimido)propyl]-1-hydroxy-2-naphthamide (X), m. 87-8°. Chlorosulfonic acid (35 cm³) is added slowly with stirring to a mixture of 58 g X and 500 cm³ MeCN and the mixture heated at 45-50° and kept at room temperature to give I [X = SO₃Na, Y = (CH₂)₃, R = C₁₈H₃₇], m. 169-74°. Working as before, IX and V give N-[2-methyl-2-(n-octadecylsuccinimido)ethyl]naphthamide, which with chlorosulfonic acid gives I (X = SO₃Na, Y = CH(Me)CH₂, R = C₁₈H₃₇), m. 160-5°. A typical photosensitive emulsion is prepared as follows: I (X = Cl, Y = (CH₂)₃, R = C₁₂H₂₅) (3 g) in 30 cm³ aqueous N KOH is diluted to 100 cm³ with water, the solution added to 1 kg. AgCl emulsion red sensitive, and the pH adjusted at 6.7 with an aqueous solution of citric acid; this mixture is poured over barited paper and dried. A study of the working conditions, and characteristics of these products is also presented.

IT 27393-90-4P 27393-91-5P 27393-92-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

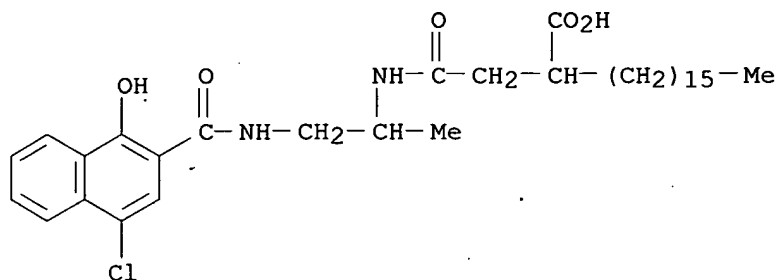
RN 27393-90-4 CAPLUS

CN Succinamic acid, N-[2-(1-hydroxy-2-naphthamido)-1-methylethyl]-2-octyl-
 (8CI) (CA INDEX NAME)



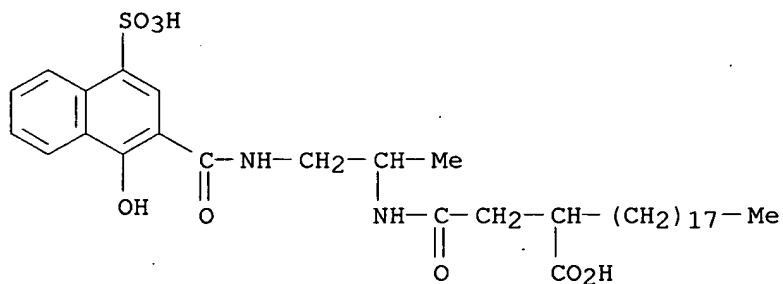
RN 27393-91-5 CAPLUS

CN Succinamic acid, N-[2-(4-chloro-1-hydroxy-2-naphthamido)-1-methylethyl]-2-hexadecyl- (8CI) (CA INDEX NAME)



RN 27393-92-6 CAPLUS

CN Succinamic acid, N-[2-(1-hydroxy-4-sulfo-2-naphthamido)-1-methylethyl]-2-octadecyl-, monosodium salt (8CI) (CA INDEX NAME)



● Na

L11 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1966:99993 CAPLUS

DOCUMENT NUMBER: 64:99993

ORIGINAL REFERENCE NO.: 64:18777d-e

TITLE: Color photographic emulsions

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd.

SOURCE: 23 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 657955		19650430	BE	
FR 1420821			FR	

PRIORITY APPLN. INFO.:

JP

19640106

AB Mixts. containing (a) a colored coupler, such as a 4-arylaazo-1-naphthol or 4-arylazophenol, and (b) 4-50 weight % (of a) antifoggant colorless coupler, such as a 1-naphthol or phenol containing a solubilizing group in the 2-, 3-, 5-, 6-, 7-, or 8-position, are added to Ag halide emulsions, and the emulsions are developed with a derivative of p-C₆H₄(NH₂)₂. Thus, 100 parts Ag(Br,I) emulsion is treated with a red-sensitive sensitizer, 15 parts alkaline solution containing 5% 2,4,1-C₁₈H₃₇NHCO(p-HO₂CC₆H₄N:N)C₁₀H₅OH, and 3 parts

alkaline solution containing 5%

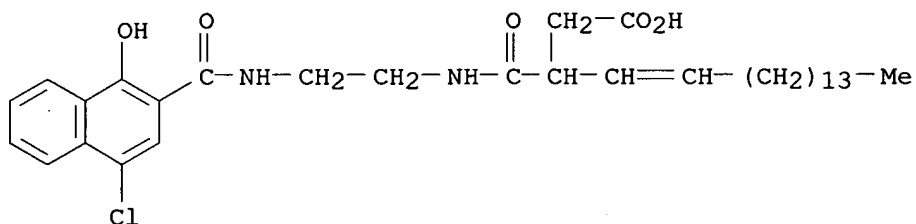
1,2-HOC₁₀H₆CONHCH₂CH₂NHCOCH(CH₂CO₂H)CH:CHC₁₅H₃₃ (I), the composition is coated on a support and developed 10 min. at 20° with a solution containing p-Et₂NC₆H₄NH₂, bleached, and fixed to give fog d. 0.12 as compared with 0.26 for the control without I.

IT **7576-54-7**, 4-Nonadecenoic acid, 3-[[2-(4-chloro-1-hydroxy-2-naphthamido)ethyl]carbamoyl]- **7576-55-8**, 4-Heneicosenoic acid, 3-[[2-(1-hydroxy-4-sulfo-2-naphthamido)-ethyl]carbamoyl]- **7651-25-4**, 4-Heneicosenoic acid, 3-[[2-(1-hydroxy-2-naphthamido)ethyl]carbamoyl]-

(in photographic color emulsions)

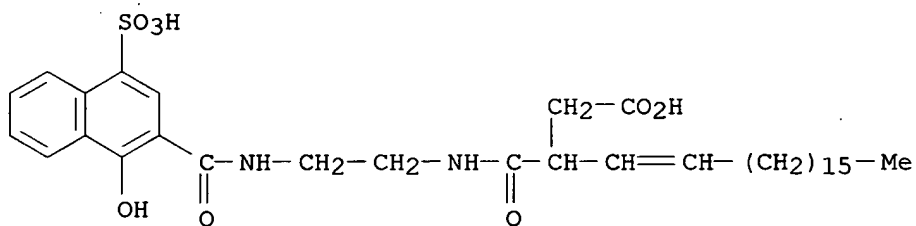
RN 7576-54-7 CAPLUS

CN 4-Nonadecenoic acid, 3-[[2-(4-chloro-1-hydroxy-2-naphthamido)ethyl]carbamoyl]- (8CI) (CA INDEX NAME)



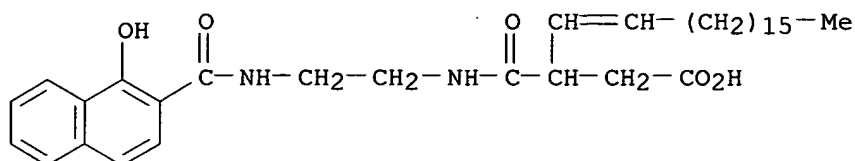
RN 7576-55-8 CAPLUS

CN 4-Heneicosenoic acid, 3-[[2-(1-hydroxy-4-sulfo-2-naphthamido)ethyl]carbamoyl]- (8CI) (CA INDEX NAME)



RN 7651-25-4 CAPLUS

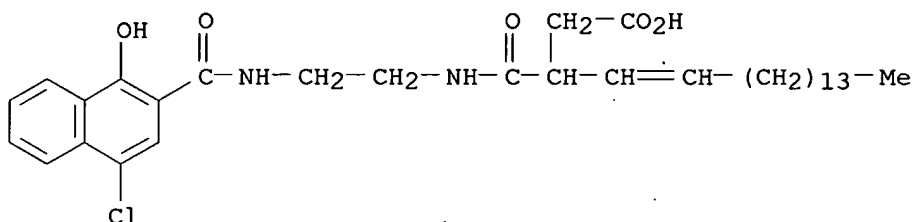
CN 4-Heneicosenoic acid, 3-[[[2-[[[(1-hydroxy-2-naphthalenyl)carbonyl]amino]ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



IT 7576-54-7, Succinamic acid, N-[2-(4-chloro-1-hydroxy-2-naphthamido)ethyl]-3-(1-hexadecenyl)- (photographic emulsion containing)

RN 7576-54-7 CAPLUS

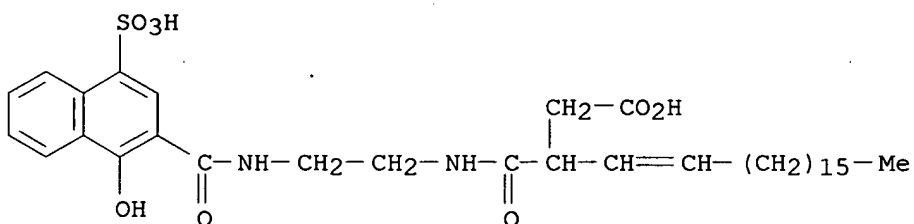
CN 4-Nonadecenoic acid, 3-[[2-(4-chloro-1-hydroxy-2-naphthamido)ethyl]carbonyl]- (8CI) (CA INDEX NAME)



IT 7576-55-8, Succinamic acid, N-[2-(1-hydroxy-4-sulfo-2-naphthamido)ethyl]-3-(1-octadecenyl)- (photographic emulsions containing)

RN 7576-55-8 CAPLUS

CN 4-Heneicosenoic acid, 3-[[2-(1-hydroxy-4-sulfo-2-naphthamido)ethyl]carbonyl]- (8CI) (CA INDEX NAME)



L11 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1961:1186 CAPLUS

DOCUMENT NUMBER: 55:1186

ORIGINAL REFERENCE NO.: 55:189d-i,190a-i,191a-e

TITLE: Color couplers

INVENTOR(S): Greenhalgh, Colin W.

PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.

DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 830797		19600323	GB	
DE 1115129			DE	
US 3133815		1964	US	

AB The preparation of color couplers for photographic use is described. A solution

of 1-phenyl-3-amino-5-pyrazolone (I) 3.5 and stearylaminosuccinic anhydride (II) 7.6 in AcOH 15 parts was heated 15 min. at 95-100°, cooled to 20° 100 parts MeOH added, the mixture cooled to 0°, and the product precipitated with H₂O. Precipitation from acetone with H₂O

gave

1-phenyl-3-(β -stearyl-amino- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -stearyl-amino- β -carboxypropionamido)-5-pyrazolone, m. 105-10°. The following couplers were similarly prepared from the reactants shown: 1-phenyl-3-[4-(β -stearyl-amino- β -carboxypropionamido)phenoxyacetamido]-5-pyrazolone and (or) 1-phenyl-3-[4-(α -stearyl-amino- β -carboxypropionamido)phenoxyacetamido]-5-pyrazolone, m. 166-8°, from II and 1-phenyl-3-(4-aminophenoxyacetamido)-5-pyrazolone (III); 1-phenyl-3-[4-(β -stearyl-amino- β -carboxypropionamido)benzylamino]-5-pyrazolone and (or) 1-phenyl-3-[4-(α -stearyl-amino- β -carboxypropionamido)benzylamino]-5-pyrazolone, m. 82-132°, from II and 1-phenyl-3-(4-aminobenzylamino)-5-pyrazolone (IV); 4-cyanoacetyl- β -stearyl-amino- β -carboxypropionanilide and (or) 4-cyanoacetyl- α -stearyl-amino- β -carboxypropionanilide, m. 164-6°, from II and 4-cyanoacetylaniline; 1-hydroxy-2-naphth-N-[2-(β -stearyl-amino- β -carboxypropionamido)ethyl]amide and (or) 1-hydroxy-2-naphth-N-[2-(α -stearyl-amino- β -carboxypropionamido)ethyl]amide, m. 134-7°, from II and 1-hydroxy-2-naphth-N-(2-aminoethyl)amide; p-anisoylacetyl-4-(β -stearyl-amino- β -carboxypropionamido)-2-methoxyanilide and (or) p-anisoylacetyl-4-(α -stearyl-amino- β -carboxypropionamido)-2-methoxyanilide, m. 142-6°, from II and p-anisoylacetyl-4-amino-2-methoxyanilide; 1-phenyl-3-[β -(N-benzylacetamido)- β -carboxypropionamido]-5-pyrazolone and (or) 1-phenyl-3-[α -(N-benzylacetamido)- β -carboxypropionamido]-5-pyrazolone, m. 177-9° (decompose), from I and N-acetylbenzylaminosuccinic anhydride; 1-phenyl-3-(α -lauroyl-amino- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(β -lauroyl-amino- β -carboxypropionamido)-5-pyrazolone, m. 115-20° (decompose), from I and lauroylaminosuccinic anhydride; 2-(β -carboxy- β -stearylaminopropionamido)-4-chloro-5-methylphenol and (or) 2-(α -stearyl-amino- β -carboxypropionamido)-4-chloro-5-methylphenol, m. 154-6°, from II and 2-amino-4-chloro-5-methylphenol; 5-(β -stearyl-amino- β -carboxypropionamido)-1-naphthol and (or) 5-(α -stearyl-amino- β -carboxypropionamido)-1-naphthol, m. 208-10°, from II and 1-amino-5-naphthol; 1-phenyl-3-[4-(β -stearyl-amino- β -carboxypropionamido)phenylacetamido]-5-pyrazolone and (or) 1-phenyl-3-[4-(α -stearyl-amino- β -carboxypropionamido)phenylacetamido]-5-pyrazolone, m. 202-6°, from II and 1-phenyl-3-(4-aminophenylacetamido)-5-pyrazolone; 1-(4-carbethoxyphenyl)-3-(β -

stearoylamino- β -carboxypropionamido)-5-pyrazolone and (or) 1-(4-carbethoxyphenyl)-3-(α -stearoylamino- β -carboxypropionamido)-5-pyrazolone (V), m. 155-60°, from II and 1-(4-carbethoxyphenyl)-3-amino-5-pyrazolone (VI); 1-(4-carboxyphenyl)-3-(β -stearoylamino- β -carboxypropionamido)-5-pyrazolone and (or) 1-(4-carboxyphenyl)-3-(α -stearoylamino- β -carboxypropionamido)-5-pyrazolone, m. 196-8°, from V and KOH; 1-(p-chlorophenyl)-3-(β -stearoylamino- β -carboxypropionamido)-5-pyrazolone and (or) 1-(p-chlorophenyl)-3-(α -stearoylamino- β -carboxypropionamido)-5-pyrazolone, m. 150-4°, from II and 1-(p-chlorophenyl)-3-amino-5-pyrazolone; 1-phenyl-3-(β -[N-(n-octadecyl)acetamido]- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -[N-(n-octadecyl)acetamido]- β -carboxypropionamido)-5-pyrazolone, m. 149-58°, from I and N-(n-octadecyl)acetamidossuccinic anhydride (VII); 1-phenyl-3-(4-(β -[N-(n-octadecyl)acetamido]- β -carboxypropionamido)benzamido)-5-pyrazolone and (or) 1-phenyl-3-(4-(α -[N-(n-octadecyl)acetamido]- β -carboxypropionamido)benzamido)-5-pyrazolone, m. 94-110°, from II and 1-phenyl-3-(4-aminobenzamido)-5-pyrazolone; 1-(4-chlorophenyl)-3-(β -[N-(n-octadecyl)acetamido]- β -carboxypropionamido)-5-pyrazolone and (or) 1-(4-chlorophenyl)-3-(α -[N-(n-octadecyl)acetamido]- β -carboxypropionamido)-5-pyrazolone, m. 156-60°, from II and 1-(4-chlorophenyl)-3-amino-5-pyrazolone; 1-phenyl-3-(4-(β -[N-(n-octadecyl)acetamido]- β -carboxypropionamido)-phenylacetamido)-5-pyrazolone and (or) 1-phenyl-3-(4-(α -[N-(n-octadecyl)acetamido]- β -carboxypropionamido)-phenylacetamido)-5-pyrazolone, m. 120-4°, from II and 1-phenyl-3-(4-aminophenylacetamido)-5-pyrazolone; 1-phenyl-3-(β -[N-(n-octadecyl)isobutyrylamino]- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -[N-(n-octadecyl)isobutyrylamino]- β -carboxypropionamido)-5-pyrazolone, m. 180-3°, from I and N-(n-octadecyl)isobutyryl-aminosuccinic anhydride (X); 1-phenyl-3-(4-(β -[N-(n-octadecyl)isobutyrylamino]- β -carboxypropionamido)-phenylacetamido)-5-pyrazolone and (or) 1-phenyl-3-(4-(α -[N-(n-octadecyl)isobutyrylamino]- β -carboxypropionamido)-phenylacetamido)-5-pyrazolone, m. 129-42°, from X and 1-phenyl-3-(p-aminophenylacetamido)-5-pyrazolone (XI); 1-phenyl-3-(β -[N-(n-octadecyl)isovalerylamino]- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -[N-(n-octadecyl)isovalerylamino]- β -carboxypropionamido)-5-pyrazolone from I and N-(n-octadecyl)isovalerylaminosuccinic anhydride (XII); 1-phenyl-3-(β -[N-(n-hexadecyl)acetamido]- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -[N-(n-hexadecyl)acetamido]- β -carboxypropionamido)-5-pyrazolone, m. 169-72°, from I and N-(n-hexadecyl)acetamidossuccinic anhydride (XIII); 1-phenyl-3-(β -[N-(n-hexadecyl)benzamido]- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -[N-(n-hexadecyl)benzamido]- β -carboxypropionamido)-5-pyrazolone, m. 167-74°, from I and N-(n-hexadecyl)benzamidosuccinic anhydride (XV); 1-phenyl-3-(β -[N-[p-(n-dodecyl)phenyl]acetamido]- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -[N-[p-(n-dodecyl)phenyl]acetamido]- β -carboxypropionamido)-5-pyrazolone, m. 170-2°, from I and N-[p-(n-dodecyl)phenyl]acetamidossuccinic anhydride (XVI); 1-phenyl-3-(β -[N-[p-(n-dodecyl)phenyl]isobutyrylamino]- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -[N-[p-(n-dodecyl)phenyl]isobutyrylamino]- β -carboxypropionamido)-5-pyrazolone, m. 177-8°, from I and N-[p-(n-dodecyl)phenyl]isobutyrylaminosuccinic anhydride (XVIII); 2-(β -[N-[p-(n-dodecyl)phenyl]isobutyrylamino]-

β -carboxypropionamido)-4-chloro-5-methylphenol and (or) 2-[α -(N-[p-(n-dodecyl)phenyl]isobutyrylamino)- β -carboxypropionamido]-4-chloro-5-methylphenol, m. 85-7°, from XVIII and 2-amino-4-chloro-5-methylphenol (XIX); 1-phenyl-3-[β -(N-butylacetamido)- β -carboxypropionamido]-5-pyrazolone and 1-phenyl-3-[α -(N-butylacetamido)- β -carboxypropionamido]-5-pyrazolone, m. 195-6°, from I and N-butylacetamidossuccinic anhydride (XX); 1-phenyl-3-[β -(n-hexadecyl)sulfonamido- β -carboxypropionamido]-5-pyrazolone and (or) 1-phenyl-3-[α -(n-hexadecyl)sulfonamido- β -carboxypropionamido]-5-pyrazolone, m. 120-30°, from I and n-hexadecylsulfonamidossuccinic anhydride (XXI); α -(p-anisoyl)acet-5-[β -(n-hexadecyl)sulfonamido- β -carboxypropionamido]-2-methoxyanilide and (or) α -(p-anisoyl)acet-5-[α -(n-hexadecyl)sulfonamido- β -carboxypropionamido]-2-methoxyanilide, m. 142-5°, from II and α -anisoyl-5-amino-2-methoxyacetanilide; 2-[β -(n-hexadecyl)sulfonamido- β -carboxypropionamido]-4-chloro-5-methylphenol and (or) 2-[α -(n-hexadecyl)sulfonamido- β -carboxypropionamido]-4-chloro-5-methylphenol, m. 135-46°, from II and XIX; 1-(p-chlorophenyl)-3-[β -(n-hexadecyl)sulfonamido- β -carboxypropionamido]-5-pyrazolone and (or) 1-(p-chlorophenyl)-3-[α -(n-hexadecyl)sulfonamido- β -carboxypropionamido]-5-pyrazolone from II and 1-(p-chlorophenyl)-3-amino-5-pyrazolone; 1-phenyl-3-[β -(p-tolyl)sulfonamido- β -carboxypropionamido]-5-pyrazolone and (or) 1-phenyl-3-[α -(p-tolyl)sulfonamido- β -carboxypropionamido]-5-pyrazolone, m. 105-14°, from I and p-tolylsulfonamidossuccinic anhydride; 1-phenyl-3-(β -benzamido- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -benzamido- β -carboxypropionamido)-5-pyrazolone, m. 120°, from I and benzamidossuccinic anhydride; and 1-phenyl-3-(β -phthalimido- β -carboxypropionamido)-5-pyrazolone and (or) 1-phenyl-3-(α -phthalimido- β -carboxypropionamido)-5-pyrazolone, m. 90-105°, from I and phthalimidossuccinic anhydride. DL-Aspartic acid 52, stearoyl chloride 68, and EtOAc 200 parts were refluxed 18 hrs., the mixture was filtered, and the filtrate was cooled to 0°. The precipitate on cooling was crystallized from petr. ether to give II, m. 115-16°. Other intermediates were prepared from the reagents shown: III, m. 175-7°, from 1-phenyl-3-(4-nitrophenoxyacetamido)-5-pyrazolone (from I and 4-nitrophenoxyacetyl chloride), AcOH, and Fe filings; IV, m. 201-2°, from 1-phenyl-3-(4-nitrobenzylamino)-5-pyrazolone (from I and p-nitrobenzyl chloride) and N₂H₄.H₂O with Raney Ni; VI, m. 195°, from 4-carbethoxyphenylhydrazine and Et β -ethoxy- β -iminopropionate-HCl; VII, m. 88-90°, from maleic anhydride (XXII), n-octadecylamine (XXIII), and AcCl; X, m. 90-2°, from XXII, XXIII, and isobutyryl chloride; XI from 1-phenyl-3-(4-nitrophenylacetamido)-5-pyrazolone (from I and 4-nitrophenylacetyl chloride), AcOH, and Fe filings; XII, m. 89-90°, from XXII, XXIII, and isovaleryl chloride; XIII, m. 86-8°, from di-Na n-hexadecylaminosuccinate (XXIV) and Ac₂O; XV, m. 92-3°, from XXIV and BzCl; XVI, m. 130-2°, from di-Na p-(n-dodecyl)anilinosuccinate (XXV) and Ac₂O; XVIII, m. 92-3°, from XXV and isobutyryl chloride; XX, m. 112-14°, from butyrylaminosuccinic acid and Ac₂O; and XXI, m. 102-4°, from n-hexadecanesulfonyl chloride, di-Et aspartate, pyridine, and AcCl.

IT 123079-82-3, Succinamic acid, N-[2-(1-hydroxy-2-naphthamido)ethyl]-3-stearamido-(?)
 (preparation of)
 RN 123079-82-3 CAPLUS

CN Succinamic acid, N-[2-(1-hydroxy-2-naphthamido)ethyl]-3-stearamido- (6CI)
(CA INDEX NAME)

